## **EAST Search History**

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	206	(548/466).CCLS.	US-PGPUB; USPAT; EPO; DERWENT	OR	OFF	2006/02/27 10:09
L2	1207	(514/414).CCLS.	US-PGPUB; USPAT; EPO; DERWENT	OR	OFF	2006/02/27 10:09
L3	2	("6645970").PN.	US-PGPUB; USPAT; EPO; DERWENT	OR	OFF	2006/02/27 10:10

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```
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                 "Ask CAS" for self-help around the clock
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NEWS 3 DEC 05
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NEWS 5 DEC 14
                2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
NEWS 6 DEC 14 CA/Caplus to be enhanced with updated IPC codes
NEWS 7 DEC 21 IPC search and display fields enhanced in CA/CAplus with the
                IPC reform
NEWS 8 DEC 23 New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/
                USPAT2
                IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 9
        JAN 13
        JAN 13 New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to
NEWS 10
                INPADOC
                Pre-1988 INPI data added to MARPAT
NEWS 11 JAN 17
NEWS 12 JAN 17
                IPC 8 in the WPI family of databases including WPIFV
NEWS 13 JAN 30 Saved answer limit increased
NEWS 14 JAN 31 Monthly current-awareness alert (SDI) frequency
                added to TULSA
NEWS 15 FEB 21 STN AnaVist, Version 1.1, lets you share your STN AnaVist
                visualization results
                Status of current WO (PCT) information on STN
NEWS 16
       FEB 22
NEWS 17
        FEB 22
                The IPC thesaurus added to additional patent databases on STN
NEWS 18
       FEB 22 Updates in EPFULL; IPC 8 enhancements added
             FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
NEWS EXPRESS
             CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
             AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
             V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
             http://download.cas.org/express/v8.0-Discover/
NEWS HOURS
             STN Operating Hours Plus Help Desk Availability
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             General Internet Information
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=> fil reg
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FILE 'REGISTRY' ENTERED AT 10:57:31 ON 27 FEB 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 26 FEB 2006 HIGHEST RN 875270-69-2 DICTIONARY FILE UPDATES: 26 FEB 2006 HIGHEST RN 875270-69-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

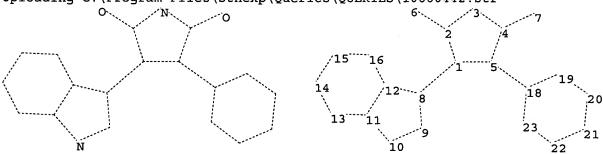
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

Uploading C:\Program Files\Stnexp\Queries\QUERIES\10660442.str



chain nodes : ring nodes : 1 2 3 4 5 8 9 10 11 12 13 14 15 16 18 19 20 21 22 chain bonds : 1-8 2-6 4-7 5-18 ring bonds : 1-2 1-5 2-3 3-4 4-5 8-9 8-12 9-10 10-11 11-12 11-13 12-16 13-14 14-15 15-16 18-19 18-23 19-20 20-21 21-22 22-23

exact/norm bonds:
1-2 1-5 1-8 2-3 2-6 3-4 4-5 4-7 5-18 8-9 8-12 9-10 10-11 11-12 11-13
12-16 13-14 14-15 15-16 18-19 18-23 19-20 20-21 21-22 22-23
isolated ring systems:
containing 1: 8:

## Match level :

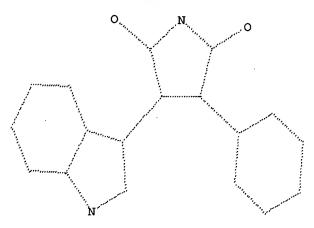
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom

## L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 11:00:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 194 TO ITERATE

100.0% PROCESSED 194 ITERATIONS 29 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 3045 TO 4715
PROJECTED ANSWERS: 257 TO 903

L2 29 SEA SSS SAM L1

=> s l1 full FULL SEARCH INITIATED 11:00:35 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 4178 TO ITERATE

100.0% PROCESSED 4178 ITERATIONS 709 ANSWERS SEARCH TIME: 00.00.01

L3 709 SEA SSS FUL L1

=> s l3 and caplus/lc

49835185 CAPLUS/LC L4 638 L3 AND CAPLUS/LC

=> s 13 not 14 L5 71 L3 NOT L4

=> d 15 1-71

ANSWER 1 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 793665-33-5 REGISTRY Entered STM: 07 Dec 2004 | The Pyrnole-2,5-dione, 3-(1,3-benzodioxol-4-yl)-4-[1-(4-piperidinyl)-1h-indol-3-yl]- (9CI) (CA INDEX NAME) 3D CONCRD CALL NAME) COMPAND CALL NAME CA L5 RN ED CN FS MF CI SR

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- ANSWER 2 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 792185-23-0 REGISTRY Entered STN: 05 Dec 2004 | H-Pytrole-2,5-done, 3-(6-fluoro-2,3-dihydro-7-benzofuranyl)-4-[1-(2R,4R)-2-methyl-4-piperidinyl)-1H-indol-3-yl]-, rel-(9CI) (CA INDEX NAME) | STEREOSEARCH C26 H24 F N3 O3 COM CA
- Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 ANSWER 5 OF 71 REGISTRY COPYRIGHT 2006 ACS ON STN RN 790656-70-1 REGISTRY ED Entered STN: 30 Nov 2004 (19-Pyrrole-2, 5-dione, 3-(6-fluoro-7-benzofuranyl)-4-[1-(3-piperidinyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME) FS 3D CONCORD HF C25 H20 F N3 03 CL COM SR CA

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ANSWER 7 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 788155-08-8 REGISTRY Entered STN: 25 Nov 2004 H-Pyrrole-2,5-dione, 3-[5-[4-(diethylamino)butoxy]-1-(1-methylethyl)-1H-indol-3-yl]-4-(5,6-difluoro-7-benzofuranyl)- (9CI) (CA INDEX NAME) DC CONCORD C31 H33 F2 N3 O4 COM CA L5 RN ED CN

ANSWER 6 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 788220-02-0 REGISTRY Entered STN: 26 Nov 2004 | HP-Pyrcole-2,5-diome, 3-(2,2-difluoro-1,3-benzodioxol-4-yl)-4-[1-(4-piperidinyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME) 3D CONCORD C24 H19 F2 N3 04 COM CA L5 RN ED CN FS MF CI SR

ANSWER 8 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 787546-43-4 REGISTRY Entered STN: 24 Nov 2004 1H-Pyrrole-2,5-dione, 3-(6-fluoro-2,3-dihydro-7-benzofuranyl)-4-[5-(3-hydroxypropyl)-1-(1-methylethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME) 3D CONCORD C26 H25 F N2 O4 CCM CA L5 RN ED CN

FS MF CI SR

$$\mathbb{F}^{\mathbb{R}}$$

```
LS ANSWER 9 OF 71 REGISTRY COPYRIGHT 2006 ACS ON STN
RN 786639-53-2 REGISTRY
ED Entered STN: 23 Nov 2004
11-Pyrcole-2,5-dione,
3-(6-fluoro-2,3-dihydro-7-benzofuzanyl)-4-[7-methyl-
1-(4-piperidinyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C26 H24 F N3 O3
CI COM
SR CA
```

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

```
LS ANSWER 11 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN
RN 785768-96-9 REGISTRY
ED Entered STN: 22 Nov 2004
R1H-Fyrrole-2,5-dione, 3-[3-[{(2R)-2,3-dihydroxypropyl]amino]phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)
SS STEROSEARCH
MF C22 H21 N3 O4
CC COM
SR CA
```

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L5 ANSWER 10 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN
  RN 785774-30-3 REGISTRY
  ED Entered STN: 22 Nov 2004
  1H-Pytrole-2,5-dione, 3-[6-chloro-1-(4-piperidinyl)-1H-indol-3-yl]-4-(6-fluoro-7-benzofuranyl)- [9CI] (CA INDEX NAME)
  3D CONCORD
  MF C25 H19 C1 F N3 O3
  CI COM
  SR CA

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

```
L5 ANSWER 13 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 784137-30-0 REGISTRY ED Entered STN: 19 Nov 2004 CN 1H-Pyrrole-2, 5-dione, 3-(5-chloro-7-benzofurany1)-4-[1-(4-piperidiny1)-1H-ind-3-y1]- (9CI) (CA INDEX NAME) FS 3D CONCORD MF C25 H20 C1 N3 03 CL COM SR CA
```

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*

```
L3 ANSWER 15 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN
RN 782447-56-7 REGISTRY
ED Entered STN: 16 Nov 2004
N 1H-Pyrcole-2,5-doine, 3-(7-benzofurany1)-4-[4-fluoro-1-[trans-4-[(2-methylpropy1)amino]cyclohexy1]-1H-indol-3-y1]- (9CI) (CA INDEX NAME)
STEREOSEARCH
MF C30 H30 F N3 O3
CCI COM
SR CA
```

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

```
L5 ANSWER 16 OF 71 REGISTRY COPYRIGHT 2006 ACS ON STN
RN 781612-18-8 REGISTRY
ED Entered STN: 16 Nov 2004
CN 1H-Pyrrole-2,5-dione,
3-(6-fluoro-7-benzofuranyl)-4-[1-[(2R,4R)-2-methyl-4-
piperidinyl]-1H-indol-3-yl]-, rel- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C26 H22 F N3 03
CI COM
SR CA
```

Relative stereochemistry.

```
L5 ANSWER 17 OF 71 REGISTRY COPYRIGHT 2006 ACS ON STN
RN 780035-96-3 REGISTRY
ED Entered STN: 14 Nov 2004
CN 1H-Pyrrole-2, 5-dione propoxy)-1-(1-methylethyl)-1H-
indol-3-yl]-4-(5-fluoro-7-benzofuranyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C30 H32 F N3 04
CC COM
SR CA
```

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

```
L5 ANSWER 18 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN RN 779322-87-1 REGISTRY CD Entered STN: 12 Nov 2004 CN 1H-Pyrrole-2,5-dione, 3-(6-fluoro-7-benzofuranyl)-4-[1-[3-{hydroxymethyl}-4-piperidinyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME) B COMCOND MF C26 H22 F N3 04 CI COM SR CA
```

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*

```
L5 ANSWER 21 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN
776292-36-5 REGISTRY
ED Entered STN: 08 Nov 2004
1H-Pyrrole-2, 5-dione, 3-[4-[2-(diethylamino)ethoxy]-7-benzofuranyl]-4-[1-
(1-methylethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)
83 CONCORD
MF C29 H31 N3 04
CC COM
SR CA
```

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

```
L5 ANSWER 23 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN
RN 175282-73-0 REGISTRY
ED Entered STN: 05 Nov 2004
CN Piperarine,
1-acetyl-4-[3-[3-(4-(7-benzofuranyl)-2,5-dihydro-2,5-dioxo-1H-
pyrrol-3-yl]-1-(1-methylethyl)-1H-indol-5-yl]oxy]propyl]- (9CI) (CA
INDER NAME)
S 3D CONCORD
MF C32 H34 N4 05
CI COM
SR CA
```

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

```
L5 ANSWER 22 OF 71 REGISTRY COPYRIGHT 2006 ACS ON STN
RN 775577-07-6 REGISTRY
ED Entered STN: 07 Nov 2004
CN 1H-Pyrrolo-2, 5-diomethyl-4-piperidinyl)-1H-indol-
3-15-chloro-1-(3, 3-dimethyl-4-piperidinyl)-1H-indol-
3-y1)-4-(6-fluoro-2, 3-dihydro-7-benzofuranyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C27 R25 C1 F N3 O3
CC COM
SR CA
```

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

```
L5 ANSWER 24 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN
RN 774536-05-9 REGISTRY
ED Entered STN: 04 Nov 2004

1 H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-{5-{3R}-3-pyrolidinyloxy}-7-benzofuranyl}- (9CI) (CA INDEX NAME)
FS STREDSEARCH
MF C25 H21 N3 04
CCI COM
SR CA
```

Absolute stereochemistry.

```
L5 ANSWER 25 OF 71 REGISTRY COPYRIGHT 2006 ACS ON STN RN 773052-74-7 REGISTRY ED Entered STN: 01 Nov 2004 CN 1H-Pytrole-2,5-dione, 3-(6-fluoro-7-benzofuranyl)-4-[1-(2R,4S)-2-methyl-4-piperidinyl)-1H-indol-3-yl]-, rel- (9CI) (CA INDEX NAME) FS STEREOSEARCH MF C26 H22 F N3 O3 CI COM SR CA
```

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 RN ED CN

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

```
ANSWER 31 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 767627-34-9 REGISTRY Entered STN: 24 Oct 2004 | The-Pyrrole-2,5-dione, 3-(6-fluoro-7-benzofuranyl)-4-[1-(4-piperidinyl)-5-(trifluoromethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME) DC COKORD C26 H19 F4 N3 O3 COM CA
L5
RN
ED
CN
```

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

LS ANSWER 33 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN RN 755897-46-9 REGISTRY ED Entered STN: 20 Oct 2004 Cl H-Pyrrole-2, 5-dione, 3-(5,6-difluoro-7-benzofuranyl)-4-[1-(4-piperidinyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME) SD CONCORD C25 H19 F2 N3 O3 CC COM SR CA

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ANSWER 35 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 763924-38-5 REGISTRY Entered STN: 17 Oct 2004 | H-Pyrrole-2,5-dione, 3-[4-[2-(diethylamino)ethoxy]-7-benzofuranyl]-4-(l-ethyl-4-fluoro-1H-indol-3-yl)- (9CI) (CA INDEX NAME) 3D CONCORD C28 H28 F N3 O4 CCM CA L5 RN ED CN

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- ANSWER 34 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 164645-67-2 REGISTRY Entered STN: 18 Oct 2004 IR-Pyrrole-2,5-dione, 3-(7)-benzofuranyl)-4-[5-[2-(diethylamino)ethoxy]-1-methyl-1H-indol-3-yl]- (9CI) (CA INDEX NAME) 3D CONCORD C27 H27 N3 O4 COM
- -CH2-CH2-NEt2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L5 RN ED CN
- ANSWER 36 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 763081-08-9 REGISTRY Entered STN: 15 Oct 2004 | H-Pyrrole-2,5-dione, 3-(6-fluoro-7-benzofurany1)-4-[5-methoxy-1-(4-piperidiny1)-1H-indol-3-y1]- (9CI) (CA INDEX NAME) 3D CONCORD C26 H22 F N3 O4 COM CA

```
ANSWER 37 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN
10.7 62238-11-9 REGISTRY
10. Entered STN: 14 Oct 2004
11. H-Pyrcole-2,5-dione, 3-(6-fluoro-7-benzofuranyl)-4-[5-methyl-1-(4-piperidinyl)-1+i-indol-3-yl]- (9CI) (CA INDEX NAME)
13. DCONCORD
15. C26 H22 F N3 O3
15. CA
```

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ANSWER 41 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 759455-70-4 REGISTRY Entered STN: 10 Oct 2004 IR-Pyrrole-2,5-dione, 3-{5-chloro-1-(4-piperidinyl)-1H-indol-3-yl]-4-(6-fluoro-2,3-dihydro-7-benzofuranyl)- (9CI) (CA INDEX NAME) DC CONCORD COS H21 Cl F N3 O3 COM CA L5 RN ED CN FS MF CI SR

L5 ANSWER 43 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN
RN 756811-11-7 REGISTRY
ED Entered STN: 05 Oct 2004
CN 1H-Pytrole-2,5-dione,
3-[7-[3-[(trans-4-hydroxycyclohexyl)amino]propyl]-1Hindol-3-yl]-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)
FS STEROSEARCH
MF C30 H32 N4 O3
CC COM
SR CA

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ANSWER 42 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 756815-95-9 REGISTRY COPYRIGHT 2006 ACS on STN 756815-95-9 REGISTRY COPYRIGHT 2006 ACS on STN 11-95 Per 105 L5 RN ED CN FS MF CI SR

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

LS ANSWER 45 OF 71 REGISTRY COPYRIGHT 2006 ACS ON STN RN 754975-54-7 REGISTRY ED Entered STN: 01 Oct 2004 CN 1H-Pyrrole-2, 5-dione, 3-(4-[3-(dimethylamino)propoxy]-7-benzofuranyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME) SD CONCORD MF C26 M25 N3 O4 CL COM SR CA

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- ANSWER 46 OF 71 REGISTRY COPYRIGHT 2006 ACS ON STN
  754183-57-0 REGISTRY
  Entered STN: 30 Sep 2004
  HP-Pyrcole-2,5-d-done, 3-(6-fluoro-7-benzofuranyl)-4-[7-methyl-1-(4-piperidinyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)
  3D CONCORD
  C26 H22 F N3 O3
  COM
  CA

L5 RN ED CN

ANSWER 48 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 750568-71-9 REGISTRY Entered STN: 24 Sep 2004 |
H-Pyrcole-2,5-dione, 3-[5-chloro-1-[(2R,4S)-2-methyl-4-piperidinyl]-1H-indol-3-yl]-4-(6-fluoro-7-benzofuranyl)-, rel- (9CI) (CA INDEX NAME) STERROSEARCH C26 H21 C1 F N3 O3 COM CA

Relative stereochemistry.

L5 ANSWER 49 OF 71 REGISTRY COPYRIGHT 2006 ACS ON STN RN 749205-95-6 REGISTRY ED Entered STN: 22 Sep 2004 (14-Pyrrole-2,5-dione, 3-[1-(7-azaspiro[4.5]dec-10-y1)-1H-indol-3-y1]-4-(6-fluoro-7-benzofuzany1)- (9CI) (CA INDEX NAME) HF C29 H26 F N3 O3 CI COM SR CA

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 ANSWER 51 OF 71 REGISTRY COPYRIGHT 2006 ACS ON STN
748130-13-4 REGISTRY
ED Entered STN: 20 Sep 2004
CN 1H-Pytrole-2,5-dione, 3-[1-(3,3-dimethyl-4-piperidinyl)-1H-indol-3-yl]-4(6-fluoro-2,3-dihydro-7-benzofuranyl)- (9CI) (CA INDEX NAME)
75 3D CONCORD
76 C27 H26 F N3 O3
CC COM
77 CC CA

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ANSWER 53 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 744195-13-9 REGISTRY Entered STN: 14 Sep 2004 | H-Pyrcole-2,5-dione, 3-(7-benzofurany1)-4-(1-methy1-5-(2-((phenylmethy1)amino)ethoxy)-1H-indol-3-y1}- (9CI) (CA INDEX NAME) 3D CONCORD C30 H25 N3 O4 COM CA

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*

ANSWER 54 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 743417-58-5 REGISTRY Entered STN: 13 Sep 2004 HI-Pyrrole-2,5-dione, 3-(7-benzofurany1)-4-(5-[2-(ethylamino)ethoxy]-1-methyl-lH-indol-3-yl]- (9CI) (CA INDEX NAME) 3D CONCORD C25 H23 N3 O4 CCM CA L5 RN ED CN FS MF CI SR

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

Relative stereochemistry.

```
LS ANSWER 57 OF 71 REGISTRY COPYRIGHT 2006 ACS ON STN
RN 741669-01-2 REGISTRY
ED Entered STN: 09 Sep 2004

1H-Pytrole-2, 5-dione, 3-(1-methyl-1H-indol-3-yl)-4-{4-[2-(4-morpholinyl)ethoxy]-7-benzofuranyl]- (9CI) (CA INDEX NAME)
S3 DCONCORD
MF C27 H25 N3 O5
CCI COM
SR CA
```

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

```
LS ANSWER 58 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN

RN 741247-70-1 REGISTRY
ED Entered STN: 08 Sep 2004

1H-Pyrole-2, 5-dione, 3-(7-benzofuranyl)-4-(4-fluoro-1-[cis-4-[(2-methylpropyl) amino]cyclohexyl}-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

SS STEROSEARCH

FF C30 H30 F N3 03

CA
```

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ANSWER 61 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 733736-87-3 REGISTRY Entered STN: 27 Aug 2004 | H-Pyrrole-2,5-dione, 3-(5-hydroxy-7-benzofuranyl)-4-[1-[3-[1-pyrrolidinyl]propyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME) 10 CONCORD CZ7 H25 N3 O4 COM CA L5 RN ED CN FS MF CI SR

L5 RN ED CN

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ANSWER 63 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 729557-73-7 REGISTRY Entered STN: 21 Aug 2004 | H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-{1-[1-{(tetrahydro-2H-pyran-4-yl)methyl}-4-piperidinyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME) C30 CONCOD C31 H31 N3 O4 COM CA

L5 RN ED CN FS MF CI SR

L5 RN ED CN

FS MF CI SR

Et2N-CH2-CH2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*

ANSWER 62 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 730936-53-5 REGISTRY Entered STN: 22 Aug 2004 IH-Pyrcole-2,5-dione, 3-(2,3-dihydro-4-methoxy-7-benzofuranyl)-4-[1-(4-piperidinyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME) 3D CONCORD C26 H25 N3 04 COM CA

ANSWER 64 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 726125-30-0 REGISTRY Entered STN: 12 Aug 2004 IH-Pyrrole-2,5-dione, 3-[4-[2-(diethylamino)ethoxy]-7-benzofurany1]-4-[4-fluoro-1-(1-methylethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME) 3D CONCORD 20 H30 F N3 O4 COM CA

LS ANSWER 65 OF 71 REGISTRY COPYRIGHT 2006 ACS ON STN

723241-84-7 REGISTRY
ED Entered STN: 05 Aug 2004

1H-Pyrrole-2,5-dione, 3-(6-fluoro-2,3-dihydro-3-methyl-7-benzofuranyl)-4[1-(4-piperidinyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

5 3D CONCORD

HF C26 H24 F N3 O3
CCI COM
SR CA

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ANSMER 69 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 611234-10-7 REGISTRY Entered STN: 31 Oct 2003 IH-Pyrcole-2,5-dione, 3-[2-chloro-3-methyl-5-(4-methyl-1-piperazinyl)phenyl)-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME) 3D CONCORD C24 H23 C1 N4 O2 COM CA

L5 RN ED CN FS MF CI SR

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*

L5 RN ED CN

ANSWER 71 OF 71 REGISTRY COPYRIGHT 2006 ACS on STN 408355-80-6 REGISTRY Entered STN: 26 Apr 2002 L-Serine, N-[3-[3-1-2,5-didydro-4-[1-methyl-1H-indol-7-yl)-2,5-dioxo-1H-pyrrol-3-yl)-6-methoxy-1H-indol-1-yl]propyl}-, methyl ester (9CI) (CA INDEX NAME) STEREOSEARCH C29 H30 N4 O6 COM CA

Absolute stereochemistry. Rotation (+).

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 ANSWER 70 OF 71 REGISTRY COPYRIGHT 2006 ACS ON STN RN 408355-96-4 REGISTRY ED Entered STN: 26 Apr 2002 CN IH-Pyrrole-2,5-disone, 3-[7-{2-(2-hydroxyethyl)amino]ethyl]-IH-indol-3-yl}-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME) FS 3D CONCORD HF C25 H24 N4 O3 CI COM SR CA

HO- CH2- CH2- NH- CH2- CH2

=> fil caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 308.80 309.01

FILE 'CAPLUS' ENTERED AT 11:01:17 ON 27 FEB 2006
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http://www.cas.org/infopolicy.html

L6 ANSWER 1 OF 57
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:

INVENTOR(5):
PATENT ASSIGNEE(5):
Singapore:
ACCESSION NUMBER:
2006:53816 CAPLUS
144:13032
Modulation of glycogen synthase kinase-3β
(GSK-3β) and method of treating proliferative disorders
Yu, Qiang
Agency for Science, Technology and Research,

INVENTOR(S):
PATENT ASSIGNEE(S):
Singapore
SOURCE:

PCT Int. Appl., 67 pp. CODEN: PIXXD2 Patent English 1 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT	NO.		KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
				_									-		
WO 2006	006939		A1		2006	0119	1	WO 2	005-	SG22	3		2	0050	708
W:	AE, A	G, AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	CN, C	O, CR,	Cυ,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE, G	H, GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	K2,
	LC, LK, LR,					LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
	NG, NI, NO, SL, SM, SY,					PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,
						TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,
	ZA, Z	M, ZW													
RW:	AT, B	E, BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	IE,
	IS, I	T, LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,
	CF, CG, CI,					GQ,	G₩,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
	GM, KE, LS,					SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
	KG, K	RU,	TJ,	TM											
PRIORITY APP	LN. IN	FO.:					1	US 2	004-	5862	96P	1	P 2	0040	709

The invention provides methods and uses for promoting cell death, when combined with chemotherapeutic agents, in an abnormally proliferating cell, and for treating a proliferative disorder in a subject, which methods and uses involve contacting a cell with, or administering to a subject, an agent that modulates glycogen synthase kinase-3β activity to a cell that is being treated with a chemotherapeutic agent.

280744-09-4, SB-216763
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (glycogen synthase kinase-3β modulators in treatment of proliferative disorders)

280744-09-4 CAPLUS
18-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2005:1290025 CAPLUS

DOCUMENT NUMBER: TITLE:

144:36329
Thiazole compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy
Epple, Robert; Cow, Christopher; Xie, Yongping; Wang, Xing; Russo, Ross; Azimioara, Mihai; Saez, Enrique
IRM LLC, Bermuda
PCT Int. Appl., 187 pp.
CODEN: PIXXD2
Patent
English INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT	NO.		KINI	)	DATE			APPL	CAT	ION	NO.		D	ATE	
				-									-		
WO 2005	116000		Al		2005	1208		WO 2	005-1	US18	167		2	0050	524
W:	AE, AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	CN, CO,	CR,	CU,	cz,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE, GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	ΚZ,
	LC, LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	ΜX,	MZ,	NA,
	NG, NI,														
	SL, SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,
	ZA, ZM,	ZW													
RW;	BW, GH,	GΜ,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
	AZ, BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
	EE, ES,	FI,	FR,	GB,	GR,	нU,	IE,	ıs,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
	RO, SE,	SI,	sĸ,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
	MR, NE,	SN,	TD,	TG											
PRIORITY APP	PRIORITY APPLN. INFO.:						1	US 20	004-	5741	37 P	i	P 20	0040	524
								JS 2	005-	5489	85P	1	P 21	0050	131

OTHER SOURCE(S): MARPAT 144:36329

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

TRUCTURE DIAGRAM TOO LARGE FOR DISPLRY - AVAILABLE VIA OFFLINE PRINT \*

The invention relates to thiazole compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPAR6. In compds. I, p is 0-3; L is selected from -XOX-, -XS(0)mX-, and -XS(0)mX-, where m is 0-2 and X is a bond or (un)substituted C1-4 alkylene; R1 is selected from halo, C1-6 alkyl, C1-6 haloskyl, C1-6 haloskyl, C1-6 haloskyl, (un)substituted C3-12 cycloskyl, (un)substituted C3-8 heterocyclyl; (un)substituted C3-12 cycloskyl, and (un)substituted C3-8 heterocyclyl; R2 is -XOXCO2R5 or -XCO2R5, where X is as defined previously and R5 is H or C1-6 alkyl; and R8 and R4 are independently selected from R6 and R6Y, where R6 is (un)substituted C3-12 cycloskyl, (un)substituted C3-13 heteroaryl, and (un)substituted C3-13 heteroaryl, and Y is selected from C1-6 alkylene, C2-6 alkenylene, -C(0)R(R5)-, and -OX-, where X and R5 are as defined previously, or R3 and R4, together with the atoms to which they are attached, form fused bi- or tricyclic C5-14 heteroaryl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I,

ANSWER 1 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT

ANSWER 2 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) pharmaceutical compns. comprising a therapeutically effective amt. of compd. I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders assocd. with PPRA activity. Cyclocondensation of 2-bromo-4'-methoxyacetophenone with thioacetamide followed by insation.

Ination, demethylation, and alkylation with iso-Pr iodide gave bromothiazole II, which was brominated and substituted with phenol III (prepn. in 3 steps from 4-hydroxy-3-methylacetophenone given) to give thiazole IV. Compd.

underwent Suzuki coupling with 4-(trifluoromethoxy)phenylboronic acid and ester hydrolysis to give thiazole V. Most preferred compds. of the invention express an EC50 value for PPARS of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPARS over PPARS.

280744-09-4, SB-216763
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of thiazole compds. as PPAR modulators and their use for treatment and prevention of diseases associated with PPARS activity) 280744-09-4 CAPLUS
1H-PYRTOL=2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT:

FORMAT

L6 ANSWER 3 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2005:1289979 CAPLUS DOCUMENT NUMBER: 144:36326

DOCUMENT NUMBER:

144:36326
Oxazole compounds as PPAR modulators, their
preparation, pharmaceutical compositions, and use in
therapy
Epple, Robert; Xie, Yongping; Wang, Xing; Cow,
Christopher; Russo, Ross
IRM LLC, Bermuda
PCT Int. Appl., 75 pp.
CODEN: PIXXD2
Patent TITLE:

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. CO PATENT INFORMATION: English COUNT:

P	TEN	T I	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
							_									-		
WC	20	05	1160	16		A1		2005	1208		WO 2	005-	US 18	166		2	0050	524
	W	:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN.	co,	CR.	cu.	CZ.	DE,	DK.	DM,	DZ.	EC,	EE.	EG,	ES,	FI,	GB,	GD,
			GE.	GH.	GM.	HR.	HU,	ID,	IL,	IN.	IS.	JP,	KE.	KG,	KM,	KP,	KR,	KZ,
									LV,									
			NG,	NI.	NO,	NZ,	OM,	PG,	PH,	PL,	PT.	RO,	RU,	sc,	SD,	SE,	SG,	SK,
			SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	us,	UZ,	VC,	VN,	YU,
			ZA,	ZM,	ZW													
	R	w:	BW.	GH.	GM.	KE.	LS.	MW.	MZ,	NA.	SD,	SL.	SZ.	TZ.	UG,	ZM.	ZW,	AM,
			AZ,	BY,	KG,	KZ,	MD,	RU,	TJ.	TM,	AT.	BE.	BG,	CH,	CY,	CZ,	DE,	DK,
			EE.	ES.	FI.	FR.	GB.	GR.	HU,	IE.	is.	IT.	LT.	LU.	MC.	NL.	PL,	PT.
			RO.	SE.	SI.	SK.	TR.	BF.	BJ,	CF.	CG.	CI.	CM.	GA,	GN,	GQ,	GW,	ML,
			MR.	NE.	SN.	TD.	TG			-	-		-	-				

PRIORITY APPLN. INFO.: US 2004-574137P P 20040524

> US 2005-649671P P 20050202

OTHER SOURCE(S):

MARPAT 144:36326

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

TRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The invention relates to oxazole compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPARS. In compds. I, p is 0-3; L is selected from -XOX-, -XS(0)mX-, and -XS(0)mX-, where m is 0-2 and X is a bond or (un) substituted C1-4 alkylene; Rl is selected from halo, C1-6 alkyl, C1-6 haloslay, (un) substituted C3-12 cycloalkyl, and (un) substituted C3-8 heteroaryl, (un) substituted C3-12 cycloalkyl, and (un) substituted C3-8 heterocyclyl; R2 is -XOXCO2R5 or -XCO2R5, where X is as defined previously and R5 is H or C1-6 alkyl; and R8 and R4 are independently selected from R6 and R6Y, where R6 is (un) substituted C3-12 cycloalkyl, (un) substituted C3-13 heteroaryl, and via selected from C1-6 alkylene, C2-6 alkynylene, -C(0)N(R5)-, and -OX-, where X and R5 are as defined previously, or R3 and R4, together with the atoms to which they are attached, form fused bi- or tricyclic C5-14 heteroaryl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I,

L6 ANSWER 4 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1262399 CAPLUS

144:22712 Triary| Compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Epple, Robert; Azimioara, Mihai

ITM LLC, Bermuda
FOT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Endish

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT	NO.			KIN	D	DATE			APPL	I CAT	ION	NO.		D	ATE	
						-									-		
wo :	2005	1135	06		A1		2005	1201	1	WO 2	005-	US16	747		2	0050	513
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	Cυ,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GΜ,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
	LC, LK, LR,					LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
	NG, NI, NO,				NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,
		SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UΑ,	UG,	US,	UZ,	vc,	VN,	YU,
		ZΑ,	ZM,	ZW													
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
	EE, ES, FI					GB,	GR,	ΗU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
	RO, SE, SI,					TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
	MR, NE, SN																
PRIORITY	APP	LN.	INFO	. :					- 1	US 20	004-	5710	04P		P 21	0040	514

OTHER SOURCE(S): MARPAT 144:22712

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The invention relates to aryl compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPARS. In compds. I, m is 0-3; X, Y, and Z are independently selected from CH and N; L is (un)substituted (CH2)no(CH2)n or (CH2)ns(O)p(CH2)n, where each n is independently selected from 0-4 and p is 0-2; R1 and R2 are independently selected from un)substituted C3-12 cycloalkyl-A-, (un)substituted C3-8 heterocyclyl-A-, (un)substituted

C6-10

aryl-A-, and (un)substituted C3-8 heterocyclyl-A-, (un)substituted
aryl-A-, and (un)substituted C5-13 heteroaryl-A-, where A is a bond, C1-6
alkylene, C2-6 alkenylene, or C2-6 alkynylene; R3 is selected from halo,
C1-6 alkyl, C1-6 alkoyx, C1-6 hydroxyalkyl, C1-6 haloalkoxy, (un)substituted C5-10 aryl, (un)substituted C5-10 heteroaryl,
(un)substituted C3-12 cycloalkyl, and (un)substituted C5-10 heteroaryl,
and R4 is selected from (CH2)nO(CH2)nOCR5 and (CH2)nCO2R5, where n is as
defined previously and R5 is H or C1-6 alkyl; including pharmaceutically
acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The
invention also relates to the preparation of I, pharmaceutical compns.
compliant of the properties of the compound I in
combination
with one or more pharmaceutically acceptable.

with one or more pharmaceutically acceptable excipients, as well as to

ANSWER 3 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) pharmaceutical compns. comprising a therapeutically effective amt. of compd. I in combination with one or more pharmacautically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders assocd. with PPAR activity. Diszotization of 4-trifluoromethoxy) acetophenone followed by heterocyclization with acetonitrile, and bromination gave bromooxazole II, which was brominated and substituted with phenol III (prepn. in 3 steps from 4-hydroxy-3-methylacetophenone glven) to glve oxazole IV. Compd. IV underwent Suzuki coupling with 2-isopropxypyridin-5-ylboronic acid (prepn. from 2-chloro-5-bromopyridine given) and ester hydrolysis to give oxazole V. Most preferred compds. of the invention express an EC50 value for PPARS of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPARS over PPARY. 280744-09-4, SB-216763
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of oxazoles as PPAR modulators and their use for atment and prevention of diseases associated with PPARS activity) 280744-09-4 CAPLUS
1H-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 4 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) use of the compns. to treat or prevent diseases or disorders assocd. with PPRR activity. Substitution of Me bromacetate with 4-hydroxy-3-methylacetophenone followed by Baeyer-Villiger oxidn. and methanolysis gave phenoxyacetate II, which underwent substitution of 3,5-dibromobenzyl bromide to give dibromobenzyl ether III. Treatment of III with an excess of 4-trifluoromethylphenylboronic acid and ester hydrolysis resulted in the formation of terphenyl IV. Most preferred compds. of the invention express an ECSO value for PPAR8 of less than 100 nm. The compds. of the invention are at least 100-fold selective for PPAR8 over

the invention are at least 100-fold selective for PPARS over PPARY. 280744-09-4, SB-216763 Rt: PAC (Phatmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of triaryl compds. as PPAR modulators and their use for treatment and prevention of diseases associated with PPARS activity) 280744-09-4 CaPLUS HH-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 5 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2005:1259697 CAPLUS DOCUMENT NUMBER: 144:22803

144:22803 Substituted pyrrole-2,5-diones as protein kinase C inhibitors, and their preparation, pharmaceutical compositions, and use as therapeutics, particularly TITLE:

immunomodulators

Van Eis, Maurice; Wagner, Juergen; Von Matt, Peter Novartie AG, Switz.; Novartie Pharma GmbH PCT Int. Appl., 22 pp. CODEN: PIXXD2 INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE A1 20051201 W0 2005-EP5183 20050512
AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
HR, HJ, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
LS, LT, LU, LV, MA, MD, MG, MX, MM, MM, MX, MX, MA,
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, ES, GS, KX,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, W0 2005113545

W1 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LK, LS, LT, LU, LV, AA, MD, MG, MX, NM, MM, MX, AZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SZ, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, LM, UG, US, UZ, VC, VN, VU, ZA, ZM, ZW

RW: BM, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, RD, SE, ST, FR, GB, GR, NU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO:

20050512

20050512

20050512

20050512

20050512

20050513

20050513

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20050513

20050513 WO 2005113545

(Continued)

OTHER SOURCE(S):

MARPAT 144:22803

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I are disclosed, as well as processes for their production, their uses (in particular in transplantation), and pharmaceutical compns. containing them. Claims cover compds. I [wherein Ra is H, Cl-4 alky], or Cl-4

containing them. Claims cover compds. I (wherein Ra is H, C1-4 alky), 1-4
alkyl substituted by OH, NH2, NH-C1-4-alkyl or N-(C1-4alkyl)2; Rb is H,
halo, C1-6 alkyl, or C1-6 alkoxy; each of R1 and R2, independently, is H
or Me; R3 is F, C1, acetamide, nitro, or amino; R4 is H, CH3, CF3, F, or
C1; R4 being other than H, CH3, or CF3 when R3 is C1; or a salt thereof).
Fourteen specific compds. are claimed by name, and a slightly different
list of 14 compds. are demonstrated in examples. Claims also cover the
use of I and their salts and pharmaceutical compns. in the manufacture of
medicaments for the treatment or prevention of diseases or disorders
mediated by T lymphocytes and/or protein kinase C (PKC). Specifically
Claimed diseases include T-cell mediated acute or chromic inflammatory
disorders, autoimmune diseases, graft rejection, cancer, and infectious
diseases. Pharmaceutical agents containing I may also contain other
ve

active
ingredients, including immunosuppressants, immunomodulators,
antiinflammatories, chemotherapeutics, antiproliferatives, and

ANSWER 5 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

870274-06-9 CAPLUS
1H-Pyrrole-2,5-dione, 3-[2-amino-5-(4-methyl-1-piperazinyl)phenyl]-4-(1H-indol-3-yl)- (SCI) (CA INDEX NAME)

870274-07-0 CAPLUS

RN 870274-07-0 CAPLUS CN Acetamide, N-[2-[2,5-dihydro-4-(1H-indol-3-yl)-2,5-dioxo-1H-pyrrol-3-yl]-4-(4-methyl-1-piperarinyl)phenyl]- (9CI) (CA INDEX NAME)

870274-08-1 CAPLUS
1H-Pyrrole-2,5-dione, 3-(7-methyl-1H-indol-3-yl)-4-(5-(4-methyl-1-piperasinyl)-2-nitrophenyl)- (9CI) (CA INDEX NAME)

(1-methyl-1H-indol-3-yl)pyrrole-2,5-dione \$70274-16-1P,

3-{2,4-Difluoro-5-(4-methylpiperazin-1-yl)phenyl]-4-(1,7-dimethyl-1H-indol-3-yl)pyrrole-2,5-dione \$70274-17-2P, 3-[4-Chloro-2-fluoro-5-(4-methylpiperazin-1-yl)phenyl]-4-(1H-indol-3-yl)pyrrole-2,5-dione \$70274-18-3P, 3-(4-Chloro-2-fluoro-5-(4-methylpiperazin-1-yl)phenyl]-4-(7-methyl-1H-indol-3-yl)pyrrole-2,5-dione \$70274-23-0P \$70274-24-1P \$70274-26-3P \$70274-26-1P \$70274-26-3P \$70274-26-3P \$70274-26-3P \$70274-26-3P \$70274-26-3P \$70274-30-3P, 3-[2-Chloro-5-(4-methylpiperazin-1-yl)phenyl]-4-(7-methyl-1H-indol-3-yl)pyrrole-2,5-dione \$70274-30-9P, 3-[2-Chloro-6-fluoro-5-(4-methylpiperazin-1-yl)phenyl)-4-(7-methyl-1H-indol-3-yl)pyrrole-2,5-dione \$70274-31-0P, 3-[2-Chloro-6-fluoro-5-(4-methylpiperazin-1-yl)phenyl)-4-(7-methyl-1H-indol-3-yl)pyrrole-2,5-dione RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); Blol (Blological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of pyrrolediones as protein kinase C inhibitors for use as immunomodulators)
RN \$70274-05-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-[5-(4-methyl-1-piperazinyl)-2-nitrophenyl)- (9CI) (CA INDEX NAME)

ANSWER 5 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

870274-09-2 CAPLUS
lH-Pyrrole-2,5-dione, 3-[2-amino-5-(4-methyl-1-piperazinyl)phenyl]-4-(7-methyl-1+rindol-3-yl)- (9CI) (CA INDEX NAME)

870274-10-5 CAPLUS

Acctamide, N={2-[2,5-dihydro-4-(7-methyl-1H-indol-3-yl)-2,5-dioxo-1H-pyrrol-3-yl]-4-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 870274-11-6 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[2-fluor-5-(4-methyl-1-piperazinyl)phenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

870274-12-7 CAPLUS
1H-Pyrrole-2,5-dione, 3-{2-fluoro-5-(4-methyl-1-piperazinyl)phenyl}-4-{1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

870274-13-8 CAPLUS
1H-Pytrole-2,5-dione, 3-{2-fluoro-5-(4-methyl-1-piperazinyl)phenyl}-4-{7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 870274-14-9 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-{2,4-difluoro-5-(4-methyl-1-piperazinyl)phenyl}-4-{H-1ndol-3-yl)-(9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

870274-17-2 CAPLUS
1H-Pyrrole-2,5-dione, 3-[4-chloro-2-fluoro-5-(4-methyl-1-piperazinyl)phenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

870274-18-3 CAPLUS
1H-Pyrrole-2,5-dione, 3-(4-chloro-2-fluoro-5-(4-methyl-1-piperazinyl)phenyl)-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 870274-23-0 CAPLUS

L6 ANSWER 5 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

RN 870274-15-0 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[2,4-difluoro-5-(4-methyl-1-piperaxinyl)phenyl]-4(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 870274-16-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[2,4-difluoro-5-(4-methyl-1-piperazinyl)phenyl]-4-(1,7-dimethyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

ANSWER 5 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
1H-Fyrrole-2,5-dione, 3-[2-amino-5-(4-methyl-1-piperazinyl)phenyl]-4-(1H-indol-3-yl)-, acetate (9CI) (CA INDEX NAME)

CM 1 CRN 870274-06-9 CMF C23 H23 N5 O2

CRN 64-19-7 CMF C2 H4 O2

CM 2

о || но-с-снз

870274-24-1 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(5-(4-methyl-1-piperazinyl)-2-nitrophenyl)-, acetate (9CI) (CA INDEX NAME)

CM 1

CRN 870274-05-8 CMF C23 H21 N5 O4

CM 2

CRN 64-19-7

870274-26-3 CAPLUS

RN 8/02/19-20-3 CARDOS CN Acetamide, N-[2-[2,5-dihydro-4-(1H-indol-3-yl)-2,5-dioxo-1H-pyrrol-3-yl]-4-(4-methyl-1-piperazinyl)phenyl]-, acetate (9CI) (CA INDEX NAME)

CM 1

CRN 870274-07-0 CMF C25 H25 N5 O3

2

64-19-7 C2 H4 O2

870274-29-6 CAPLUS 1H-Pyrrole-2,5-dione, 4-difluoro-5-(4-methyl-1-piperazinyl)phenyl]-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 57 CAPLUS COPYRIGHT 2006 ACS on STM (Continued) REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 5 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

870274-30-9 CAPLUS
IH-Pyrrole-2,5-dione, 3-[2-chloro-4-fluoro-5-(4-methyl-1piperazinyl)phenyl)-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

870274-31-0 CAPLUS 1H-Pyrrole-2,5-dione, 3-[2-chloro-4-fluoro-5-(4-methyl-1-piperazinyljphenyl]-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 6 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2005:1259663 CAPLUS DOCUMENT NUMBER: 144:22911 Isoxazole Compounds as PPAR mc

144:22911
Isoxazole compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy Epple, Robert; Russo, Ross; Azimioara, Mihai; Xie,

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

therapy Epple, Robert; Russo, Yongping IRM LLC, Bermuda PCT Int. Appl., 79 pp. CODEN: PIXXD2 Patent English

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2005113519 A1 20051201 WO 2005-US16672 20050512
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CM, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FT, GB, GD, GE, GH, GM, HR, HU, ID, II, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MM, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, FG, FH, FL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NI, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GM, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO:

OTHER SOURCE(S): MARPAT 144:22911

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

TRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VAR OFFILING PRAIN

The invention relates to isoxazole compds. of formula I, which are
modulators of peroxisome proliferator-activated receptors (PPAR),
particularly PPARS. In compds. I, R1 is selected from
(un) substituted C6-6 alkyl, (un) substituted C3-12 cycloalkyl,
(un) substituted C3-8 heterocyclyl, (un) substituted C6-10 aryl, and
(un) substituted C5-10 heteroaryl; R2 is selected from (CR2)noCR2), CR2,
(CR2)noR5, CO2R, CC, CO)N(R4) (CR2)noR5, CC) (CR2)no

C6-10

aryl, and (un)substituted C5-10 heteroaryl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of 1, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to

the

L6 ANSWER 6 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
use of the compns. to treat or prevent diseases or disorders assocd. With
PPAR activity. Esterification of 3-bromophenylacetic acid followed by
coupling with cyanide, redn. of the nitrile to an aldehyde, condensation
with hydroxylamine, and chlorination gave chloroxime II.
N-Boc-2-bromoethylamine was substituted with 2,4-dichlorophenol followed
by deprotection, amidation with Et benzoylacetate to give
benzoylacetamide
III, which underwent cyclocondensation with chloroxime II and ester
hydrolysis, resulting in the formation of isoxazole IV. Most preferred
compds. of the invention express an EC50 value for PPARS of less
than 100 nM. The compds. of the invention are at least 100-fold
selective

than avo ....

selective
for PPARS over PPARy.
for PPARS over PPARy.
IT 280744-09-4, Sa-216763
RL: PRAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(compds. and compns. as PPAR modulators and their use for treatment prevention of diseases associated with activity of PPAR families,
particularly PPARS)
280744-09-4 CAPLUS
H-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)(9CI) (CA INDEX NAME)

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT:

FORMAT

ANSWER 7 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

869110-78-1 CAPLUS 1H-Pyrrole-2,5-dione, 3-(2,6-dichlorophenyl)-4-(1H-indol-3-yl)- (9CI) RN CN (CA INDEX NAME)

869110-80-5 CAPLUS
1H-Pyrrole-2,5-dione, 3-(2-chloro-4-fluorophenyl)-4-(1H-indol-3-yl)-(CA INDEX NAME)

REFERENCE COUNT: THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 57
ACCESSION NUMBER:
DOCUMENT NUMBER:
131:454391
Preparation of maleimide derivatives as plant growth regulators
Bastianans, Henricus M. M.; Donn, Guenter; Knittel, Nathalie; Martelletti, Arianna; Rees, Richard; Schwall, Michael; Whitford, Ryan
Bayer CropScience G.m.b.H., Germany
PATENT ASSIGNEE(S):
SOURCE:
PATENT TYPE:
DOCUMENT TYPE:
LANGUAGE:
PAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT	NO.			KIN	D	DATE			APPL					D	ATE	
						-									-		
WO	2005	1074	65		Al		2005	1117		WO 2	005-	EP46	88		2	0050	430
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	cu,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	ΚZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NΑ,
		NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,
		SM,	SY.	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	vc,	VN,	YU,	ZA,
		ZM.	ZW														
	RW:	BW.	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM.	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,
		MR.	NE.	SN.	TD.	TG											

MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: EP 2004-11255 A 20040512

OTHER SOURCE(S): MARPAT 143:454391

The 3,4-disubstituted maleimide derivs. I or salts thereof, wherein: X is aryl or heteroaryl which groups are unsubstituted or substituted: Y is NH or a covalent bond; and Z is aryl or heteroaryl which groups are unsubstituted or substituted, are prepared as plant growth regulators. 221233-43-89 86910-79-19 86910-80-59
RL: AGR (Agricultural use): SPN (Synthetic preparation); BIOL (Biological study): PREP (Preparation); USES (Uses)
(preparation as plant growth regulator)
221233-43-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 8 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1026867 CAPLUS
DOCUMENT NUMBER: 143:319140
TITLE: Methods and compositions related to regulation of cytokine production by glycogen synthase kinase 3 (GSK-3)

INVENTOR (S):

(GSK-3)
Martin, Michael
The Uab Research Foundation, USA
PCT Int. Appl., 70 pp.
CODEN: PIXXD2
Patent PATENT ASSIGNEE(S): SOURCE:

English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	TENT	NO.			KIN	D	DATE			appl	ICAT	ION	NO.		D.	ATE	
						-									-		
WO	2005	0868	14		A2		2005	0922	1	WO 2	005-	US75	86		2	0050	309
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	co,	CR,	Cυ,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NΑ,	NI,
		NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	SM,
		SY,	ΤJ,	TM,	TN,	TR,	TT,	ΤZ,	UA,	υG,	US,	υz,	vc,	VN,	Yυ,	ZA,	ZM,
ZW																	
	RW:	BW,	GH,	GΜ,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,
		MR,	ΝE,	SN,	TD,	TG											
PRIORITY	APP	LN.	INFO	.:					1	US 2	004-	5516	46P		P 2	0040	309

This invention relates generally to a method of treating inflammation and associated diseases and disorders by administering an agent that inhibita glycogen synthase kinase 3 activity. 280744-09-4, SB216763 RE: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (methods and compons. related to regulation of cytokine production by inhibitors of glycogen synthase kinase 3 for treatment of

ammation)
280744-09-4 CAPLUS
1H-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)(9CI) (CA INDEX NAME)

LG ANSWER 9 OF 57
CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
144:121206
GSK-3B inhibitors attenuate the organ
injury/dysfunction caused by endotoxemia in the rat
Dugo, Laura; Collin, Marika; Allen, David A.; Patel,
Nimesh S. A.; Bauer, Inge: Mervaala, Eero M. A.;
Louhelainen, Marjiut; Foster, Simon J.; Yaqoob,
Muhammad M.; Thiemermann, Christoph
CORPORATE SOURCE:
Centre for Experimental Nedicine, Nephrology and
Critical Care Medicine, The William Harvey Research
Institute, St. Bartholomew's and The Royal London
School of Medicine and Dentistry, London, UK
Critical Care Medicine (2005), 33(9), 1903-1912
CODEN: CCMDC7; ISSN: 0090-3493
Lippincott Williams & Wilkins
DOCUMENT TYPE:
LANGUAGE:
Brighish
GGSK)-3 is involved in regulation of many cell functions, but its role in
regulation of inflammatory response is unknown. Here we investigate the
effects of GSK-3B inhibition on organ injury/dysfunction caused by
lippoplyaaccharide or comministration of lippoplyaaccharide and
peptidoglycan in the rat. Design: Prospective, randomized study.
Setting: University-based research laboratory Subjects: Ninety-nine
anesthetized male Wistar rats. Interventions: Study 1: Rats received
either i.v. Excherichia coll lippoplyaaccharide (6 mg/kg) or vehicle (1
mL/kg; saline). Study 2: Rats received either i.v. E. coli
lippoplyaaccharide (1 mg/kg) and Staphylococcus aureus peptidoglycan (0.3
mg/kg) or vehicle. The potent and selective GSK-3B inhibitors TDZD-8
(1 mg/kg i.v.), SE216763 (0.6 mg/kg i.v.), and SSH15286 (1 mg/kg i.v.) or
vehicle (100 DMSO) was administered 30 mins before lippoplyaaccharide or
lippoplyaaccharide and main resulted
minotransferase (markers for hepatocellular injury), lipase (indicator
of pancreatic injury), and creatine kinase (indicator of neuromuscular
injury). Coeministrative of lippoplyaarcharide and meridentives.

aminotransferase (markers for hepatocellular injury), lipase (indicator pancreatic injury), and creatine kinase (indicator of neuromuscular injury). Coadministration of lipopolysaccharide and peptidoglycan resulted in hepatocellular injury and renal dysfunction. All GSK-3B inhibitors attenuated the organ injury/dysfunction caused by lipopolysaccharide or lipopolysaccharide and peptidoglycan. GSK-3B inhibition reduced the Ser53B phosphorylation of nuclear factor-kB-dependent proinflammatory mediators but had no effect on the nuclear factor-kB-dependent proinflammatory mediators but had no effect on the nuclear factor-kB-dependent proinflammatory mediators but had no effect on the nuclear factor-kB-dipendent proinflammatory mediators but had no effect on the nuclear factor-kB-dipendent proinflammatory mediators but had no effect on the nuclear factor-kB-dipendent proinflammatory mediators but had no effect on the nuclear factor-kB-dipendent proinflammatory mediators but had no effect on the nuclear factor-kB-dependent proinflammatory mediators but had no effect on the nuclear factor-kB-dependent proinflammatory mediators but had no effect on the nuclear factor-kB-dependent proinflammatory mediators but had no effect on the nuclear factor-kB-dependent proinflammatory mediator-kB-dependent proinflammatory mediators but had no effect on the nuclear factor-kB-dependent proinflammatory mediators but had no effect on the nuclear factor-kB-dependent proinflammatory mediators but had no effect on the nuclear factor-kB-dependent proinflammatory mediators but had no effect on the nuclear factor-kB-dependent proinflammatory mediators but had no effect on the nuclear factor-kB-dependent proinflammatory mediators but had no effect on the nuclear factor-kB-dependent proinflammatory mediators but had no effect on the nuclear factor-kB-dependent proinflammator proinflammatory mediators but had no effect on the nuclear factor-kB-dependent proinflammator proinflammator proinflammator proinflammator proinflammator proinflammator pr

associated
with local or systemic inflammation.
120074-09-4, SB216763
RI: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(GSK-3B inhibitors SB216763 reduced renal dysfunction,

hepatocellular, pancreatic and neuromuscular injury caused by coadministration of LPS and peptidoglycan with induced ser9

ANSWER 9 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) phosphorylation on GSK-3β and decreased p65 activity in rat) 280744-09-4 CAPLUS .

1H-Pyrrole-2,5-dione, 3-{2,4-dichlorophenyl}-4-{1-methyl-1H-indol-3-yl}-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

FORMAT

THERE ARE 35 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 10 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:941554 CAPLUS
DOCUMENT NUMBER: 143:399728
GSK-3B inhibitors reduce protein degradation in muscles from septic rats and in dexamethasone-treated myotubes

myotubes Evenson, Amy R.; Fareed, Moin U.; Menconi, Michael

CORPORATE SOURCE:

Mitchell, Jamie C.; Hasselgren, Per-Olof Department of Surgery, Beth Israel Deaconess Medic Center, Harvard Medical School, Boston, MA, 02215,

USA SOURCE: International Journal of Biochemistry & Cell Biology (2005), 37(10), 2226-2238 CODEN: IJBBFU; ISSN: 1357-2725 Elsewier Ltd. Journal

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

JAGE: English
Sepsis is associated with muscle wasting, mainly reflecting increased

proteolysis. Recent studies suggest that inhibition of GSK-3B activity may counteract catabolic stimuli in skeletal muscle. We tested the hypothesis that treatment of muscles from septic rats with the SSK-3B inhibitors. Licl and TDZD-8 would reduce sepsis-induced muscle proteolysis. Because muscle wasting during sepsis is, at least in part, mediated by gluccoorticoids, we also tested the effects of GSK-3B inhibitors on protein degradation in dexamethasone-treated cultured when the state of the control of the state of the control of TDZD-8 reduced basal and sepsis-induced protein breakdown rates. When cultured myotubes were treated with LiCl or one of the GSK-3B inhibitors SBZ16763 or SB415286, protein degradation was reduced.

inhibitors SB216763 or SB415288, protein degradation was reduced.

tament

of incubated muscles or cultured myotubes with LiCl, but not the other

GSK-3B inhibitors, resulted in increased phosphorylation of

SSK-3B at Ser5, consistent with inactivation of the kinase and

suggesting that the other inhibitors used in the present expts inhibit

GSK-3B by phosphorylation-independent mechanisms. The present

results suggest that GSK-3B inhibitors may be used to prevent or

treat sepsis-induced, glucocorticoid-regulated muscle proteolysis.

280744-09-4, SB216763

RL: PAC (Pharmacological activity): BIOL (Biological study)

(GSK-3B inhibitors reduce protein degradation in muscles from septic

rats and in dexamethasone-treated myotubes)

280744-09-4 CAPLUS

IR-PYPTOLO-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)
(9CI) (CA INDEX NAME)

REFERENCE COUNT:

55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 11 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN pyrrol-3-y1]- (9CI) (CA INDEX NAME) (Continued)

864963-57-5 CAPLUS Benzoic acid, 2-[2,5-dihydro-4-[1H-indol-3-y1)-1-methyl-2,5-dioxo-1H-pyrrol-3-y1]-, methyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

FORMAT

21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 11 OF 57
ACCESSION NUMBER:
DOCUMENT NUMBER:
13:306120
First efficient synthesis of novel
oxophenyl-arcytiaflavin analogs
Bourderioux, Aurelie: Routier, Sylvain: Beneteau,
Valerie: Merour, Jean-Yves
CORPORATE SOURCE:
Institut de Chimie Organique et Analytique, UMR CNRS
6005, Universite d'Orleans, Orleans, 45067, Fr.
Tetrahedron Letters (2005), 46(36), 6071-6074
CODDE: TELERY: ISSN: 0040-4039
FUBLISHER:
Elsevier B.V.
Journal

DOCUMENT TYPE: LANGUAGE: Journal English

New oxophenylarcyriaflavins I and II were synthesized in a few efficient steps. The key steps involved at first a palladium cross-coupling

steps. The key steps involved at first a palladium cross-coupling een the 3-bromo-4-(1H-indol-3-yl)1-methylpyrrole-2,5-dione and the 2-formylphenylboronic acid or a Me 2-trialkylstannylbenzoate, followed by an intramol. acylation in a C-2 indolic position. All the sequence was carried out without any indolic protective group. 86495-47-39 864963-57-59 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (first efficient synthesis of novel oxophenyl-arcyriaflavin analogs) 864963-47-3 CAPLUS Benzaldehyde, 2-[2,5-dihydro-4-(1H-indol-3-yl)-1-methyl-2,5-dioxo-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)

864963-49-5 CAPLUS Benzoic acid, 2-[2,5-dihydro-4-(1H-indol-3-yl)-1-methyl-2,5-dioxo-1H-

L6 ANSWER 12 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2005:673288 CAPLUS DOCUMENT NUMBER: 1443:153287 Preparation

143:13328/ Preparation of indolylmaleimide derivatives as PKC inhibitors

inhibitors
Van Els, Maurice; Von Matt, Peter; Wagner, Juergen;
Evenou, Jean-Pierre; Schuler, Walter
Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
PCT Int. Appl., 61 pp.
CODEN: PIXXD2
Patent
English 2
2
2 INVENTOR(S):

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
						-									-		
WO	2005	0684	55		A1		2005	0728	,	WO 2	005-	EP50	2		2	0050	119
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZΑ,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ĒS,	FI,	FR,	GB,	GR,	HU,	IE,	ıs,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SÍ,	sĸ,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,
		•			mn.	-											

MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: GB 2004-1089 A 20040119

A 20040119 GR 2004-1090

OTHER SOURCE(S): MARPAT 143:153287

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Title compds. I [R1 = H or (un)substituted alkyl; one of R2-5 = halo, alkoxy, alkyl, etc. and the other three are each H or all are H; R = (un)substituted naphthyl or pyridyl) and their pharmaceutically

salts, are prepared and disclosed as inhibitors of protein kinase C (PKC)

Salts, are prepared and disclosed as inninitors of protein kinase C13.

Thus, e.g., the bis-acetate salt of II was prepared by coupling of 2-(2-chloro-7-dimethylaminomethyl-naphthalan-1-yl)-acetamide (preparation given) with (1-methyl-1H-indol-3-yl)-oxo-acetic acid Me ester. The activity of I was evaluated in protein kinase C8 assay and it was revealed that compds. of the invention inhibit PKC8 with an IC50 if less or equal to lyM. I was inhibitor of PKC should prove useful in the treatment of infectious diseases, cardiovascular diseases and cancer. Pharmaceutical compns. comprising I are disclosed.

860468-10-069 860468-11-79 860468-12-89
860468-13-99 860468-14-09 860468-18-49
860468-19-59 86048-20-89 860468-24-29
860468-25-39 860468-23-19 860468-24-29
860468-25-39 860468-26-49 860468-27-59

ANSWER 12 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN 850468-28-6P 850468-29-7P 860468-30-0P 850468-11-1P 850468-32-2P 860468-33-3P 850468-34-4P 850468-35-5P 860468-36-6P 850468-37-7P (Continued)

Wasted-J/-FF
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(Uses)
(prepn. of indolylmaleimide derivs. as PKC inhibitors)
860468-10-6 CAPLUS
H-Pyrrole-2,5-dione, 3-{2-chloro-7-{(dimethylamino)methyl}-1-naphthalenyl}-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

860468-11-7 CAPLUS
1H-Pyrrole-2,5-dione, 3-[2-chloro-7-[(dimethylamino)methyl]-1-naphthalenyl]-4-(1-methyl-1H-indol-3-yl)-, diacetate (9CI) (CA INDEX NAME)

CM 1

CRN 860468-10-6 CMF C26 H22 C1 N3 O2

CM 2

CRN 64-19-7 CMF C2 H4 O2

ANSWER 12 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

860468-15-1 CAPLUS 1H-Pyrrole-2,5-dione, 2-chloro-7-[(methylamino)methyl]-1-naphthalenyl]-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 860468-16-2 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[2-chloro-7-[(methyl]amino)methyl]-1-naphthalenyl]4-(6-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 860468-17-3 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[2-chloro-7-[(methylamino)methyl]-1-naphthalenyl}-

L6 ANSWER 12 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

860468-12-8 CAPLUS IH-Pyrrole-2,5-dione, 3-(7-(aminomethyl)-2-chloro-1-naphthalenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

860468-13-9 CAPLUS 1H-Pyrrole-2,5-dione, -chloro-7-[(methylamino)methyl]-1-naphthalenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

860468-14-0 CAPLUS 1H-Pyrrole-2,5-dione, 2-chloro-7-[(methylamino)methyl]-1-naphthalenyl]-4-{1-methyl-1H-indol-3-yl}- {9CI} (CA INDEX NAME)

ANSWER 12 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN 4-(5-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME) (Continued)

860468-18-4 CAPLUS IH-Pyrrole-2, 5-dione, 3-[2-chloro-7-[(dimethylamino)methyl]-1-naphthalenyl]-4-(7-methyl]-1H-indol-3-yl)- [9CI] (CA INDEX NAME)

860468-19-5 CAPLUS
1H-Pyrrole-2,5-dione, 3-[2-chloro-7-[(dimethylamino)methyl]-1-naphthalenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

860468-20-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-[2-chloro-7-[(dimethylamino)methyl]-1naphthalenyl]-4-(6-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 860468-21-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[2-chloro-7-[(dimethylamino)methyl]-1naphthalenyl]-4-(5-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 860468-22-0 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[2-chloro-7-[(ethylmethylamino)methyl]-1naphthalenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 860468-23-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[2-chloro-7-[(diethylamino)methyl]-1-naphthalenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 12 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 860468-27-5 CAPLUS CN 1H-Pyrcole-2,5-dione, 3-[2-chloro-7-(1-pyrcolidinylmethyl)-1-naphthalenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 860468-28-6 CAPLUS
CN 1H-Fyrrole-2,5-dione, 3-[7-(aminomethyl)-2-methyl-1-naphthalenyl]-4-(1,7-dimethyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 860468-29-7 CAPLUS CN H-Pyrcle-2,5-dione, 3-[7-(aminomethyl)-2-methyl-1-naphthalenyl]-4-(7methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME) L6 ANSWER 12 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 860468-24-2 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[2-chloro-7-[(ethylamino|methyl]-1-naphthalenyl]-4(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

N 860468-25-3 CAPLUS

1H-Pyrrole-2,5-dione, 3-{2-chloro-7-{{(1-methylethyl)amino}methyl}-1-naphthalenyl}-4-{1-methyl-1H-indol-3-yl}- (9CI) (CA INDEX NAME)

RN 860468-26-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[2-chloro-7-[(4-methyl-1-piperazinyl)methyl)-1-naphthalenyl]-4-{1-methyl-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 12 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 860468-30-0 CAPLUS
CN IH-Pyrrole-2,5-dione, 3-[7-(aminomethyl)-2-methyl-1-naphthalenyl]-4-(IH-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 860468-31-1 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[7-(aminomethyl)-2-methyl-1-naphthalenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 860468-32-2 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[7-{aminomethyl}-1-naphthalenyl]-4-(1H-indol-3-yl)-(9CI) (CA INDEX NAME)

860468-33-3 CAPLUS
1H-Pyrrole-2,5-dione, 3-{7-(aminomethyl)-1-naphthalenyl}-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

860468-34-4 CAPLUS 1H-Pyrrole-2,5-dione, 3-(7-amino-1-naphthalenyl)-4-(1-methyl-1H-indol-3-yl)- (8C1) (CA INDEX NAME)

860468-35-5 CAPLUS 1H-Pyrrole-2,5-dione, 3-(7-amino-1-naphthalenyl)-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 13 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:673287 CAPLUS
TITLE: 143:172756
Freparation of indolyhmaleimide derivatives as PKC inhibitors

INVENTOR(S): Van Els, Maurice; Von Matt, Peter; Wagner, Juergen; Evenou, Jean-Pierre
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: PATENT ASSIGNEE ENGLISH PATENT INFORMATION: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION: PIXED 2

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
						-											
WO	2005	0684	54		A1		2005	0728		WO 2	005-	EP50	1		2	0050	119
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UΑ,	UG,	us,	υz,	vc,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SŽ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	ΑŤ,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	is,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,
		MR,	ΝE,	SN,	TD,	TG											
PRIORITY	APP	LN.	INFO	.:						GB 2	004-	1089		i	A 2	0040	119

GB 2004-1090 A 20040119

OTHER SOURCE(S): MARPAT 143:172756

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Title compds. I (R1 = H or (un) substituted alkyl; one of R2-5 = halo, alkoxy or alkyl and the other three are each H or R2, R4 and R5 are all

 $\ensuremath{\text{R}}$  = substituted naphthyl] and their pharmaceutically acceptable salts,

prepared and disclosed as inhibitors of protein kinase C (PKC). Thus,

e.g.,

II was prepared by coupling of

2-(2-chloro-6-dimethylaminomethyl-naphthalen1-yl)-acetamide (preparation given) with

(1-methyl-IH-indol-3-yl)-oxo-acetic

acid Me ester. The activity of II was evaluated in protein kinase

Ca assay and it was revealed that it inhibits PKCs with an

IC50 of 17.6 nm. I was inhibitor of PKC should prove useful in the

treatment of infectious diseases, inflammatory disease and cancer.

Pharmaceutical compns. comprising I are disclosed.

IT 850546-70-49 850546-71-59 850545-72-69

850546-73-79 850546-77-19

860545-76-09 850546-77-19

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

860468-36-6 CAPLUS
1H-Pyrrole-2,5-dione, 3-[7-[(dimethylamino)methyl]-2-fluoro-1naphthalenyl]-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

860468-37-7 CAPLUS
1H-Pyrrole-2,5-dione, 3-[7-((dimethylamino)methyl)-2-fluoro-1-naphthalenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 13 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(prepn. of indolylmaleimide derivs. as PKC inhibitors)
860646-70-4 CAPLUS
HH-Pyrrole-2,5-dione, 3-[2-chloro-6-[(dimethylamino)methyl]-1naphthalenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

860646-71-5 CAPLUS
1H-Pyrrole-2,5-dione, 3-[6-(aminomethyl)-1-naphthalenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

860646-72-6 CAPLUS HH-Pyrrole-2,5-dione, 3-[6-(aminomethyl)-1-naphthalenyl]-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

ANSWER 13 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN L6

860646-73-7 CAPLUS
1H-Pyrrole-2,5-dione, 3-[2-chloro-6-[(dimethylamino)methyl]-1-nephthalenyl]-4-(H-indol-3-yl)- (9CI) (CA INDEX NAME)

(Continued)

860646-74-8 CAPLUS |H-Pyrrole-2,5-dione, 3-(2-chloro-6-|(dimethylamino)methyl)-1-naphthalenyl)-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

860646-75-9 CAPLUS 1H-Pyrrole-2.5-dione, -chloro-6-[(methylamino)methyl]-1-naphthalenyl}-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 13 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) ANSWER 13 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 860646-76-0 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[2-chloro-6-{(methylamino)methyl}-1-naphthalenyl}-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

860646-77-1 CAPLUS 1H-Pyrrole-2,5-dione, (aminomethyl)-1-naphthalenyl}-4-(1H-indol-3-yl)-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 14 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2005:612449 CAPLUS DOCUMENT NUMBER: 143:127818 Hethod for

143:127818

Method for in vitro differentiation of neuronal stem cells or cells derived from neuronal stem cells Maurer, Martin H.; Feldmann, Robert E.; Kuschinsky, Wolfgang: Schneider, Armin Axaron Bioscience A.-G., Germany PCT Int. Appl., 64 pp. CODEN: PIXXD2
Patent German
1 INVENTOR (S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

The method for in vitro differentiation of neuronal stem cells comprises the following: the cells are brought into contact with a substance which inhibits a reaction of the Wmt signal transduction path, and said cells are cultivated in conditions enabling the cells to multiply end/or differentiate. In a preferred embodiment of the method, the neuronal stem

cells differentiate to form cells which are similar to brain cells. 280744-09-4

RE: BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

s)

(method for in vitro differentiation of neuronal stem cells or cells
derived from neuronal stem cells)
280744-09-4 CAPLUS
HR-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)(9CI) (CA INDEX NAME)

ANSWER 14 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 15 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

THERE ARE 26 CITED REFERENCES AVAILABLE FOR

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 15 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

DOCUMENT NUMBER:

13:193881

Inhibition of hydrogen peroxide-induced necrotic cell
death with 3-amino-2-indolylmaleimide derivatives

Dodo, Kosuker Katoh, Miho; Shimizu, Tadashi;
Takahashi, Masahiro; Sodeoka, Mikiko

Institute of Multidisciplinary Research for Advanced
Materials (INRAM), Tohoku University, Abba, Sendai,
Miyagi, 980-8577, Japan

Bioorganic & Medicinal Chemistry Letters (2005),
15(12), 3114-3118

COEME: Biocycapnic & Medicinal Chemistry Letters (2005),
15(12), 3114-3118

COEME: Elsevier B.V.
JOURNAL

JOURNAL

AB Novel analogs of (indolyl)umleimide derivs, were synthesized and tested
for cell death-inhibitory activity. It was found that
2-(1H-indol-3-y1)-3-(pentylamino)maleimide (I) was the most effective

Cell

cell death inhibitor among the compds. tested. I inhibited necrotic cell death

induced by H2O2, but not apoptotic cell death induced by etoposide. These

results indicated that this novel cell death inhibitor is distinct from the well-known caspase inhibitor, 2-VAD, which can block apoptotic cell death, but not necrotic cell death. I is expected to be a powerful bioprobe for clarifying the unique signaling pathway of necrotic cell

bioprobe for clarifying the unique signaling pathway of necrotic cell death.

327602-10-89
RE: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of (indolyl)maleimide derivs. and study of their activity toward inhibition of hydrogen peroxide-induced necrotic cell death and etoposide-induced apoptotic cell death)

327602-10-8 CAPLUS
H-Pyrrole-2,5-dione, 1-methyl-3-(1-methyl-1H-indol-3-yl)-4-phenyl- (9CI) (CA INDEX NAME)

IT

125313-97-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (indoly1)maleimide derivs. and study of their activity toward inhibition of hydrogen peroxide-induced necrotic cell death and etoposide-induced apoptotic cell death)
125313-97-5 CAPLUS
IH-PYTROIE-2,5-dione, 3-(1-methy1-1H-indol-3-y1)-4-pheny1- (9CI) (CA INDEX NAME)

Wagner, Juergen; Zimmermann, Kaspar Novartis Ag, Switz.; Novartis Pharma GmbH PCT Int. Appl., 24 pp. CODEN: PIXXD2 Patent English 1 PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.				KIN	D	DATE APPLICATION NO.								DATE				
						-												
WO :	2005	0395	49		A1 20050506			,	WO 2	004-		20041026						
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	co,	CR,	Cυ,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GΕ,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ŤĴ,	TM,	TN,	TR,	TT,	TZ,	UΑ,	UG,	US,	υz,	vc,	VN,	YU,	ZA,	ZM,	2W	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	υG,	ZM,	ZW,	AM,	
		AZ,	BY,	KG,	ĸz,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
		SI,	SK,	TR,	BF,	BJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	MI,,	MR,	NE,	
		SN,	TD,	TG														
TORITY	DPP	I.N	TNFO							GR 2	- 200	2503	,		2	0031	027	

PRIORITY APPLN. INFO.:

GB 2003-25176

OTHER SOURCE(S): MARPAT 142:423872

The invention relates to the use of an inhibitor of formula I (where Ra = C1-4 alkyl, etc., Rb = H, C1-4 alkyl, R = radical formula), or a pharmaceutically acceptable salt thereof having an activity on protein kinases PKC alpha, PKC beta, PKC gamma, PKC genino, PKC theta, CDK-1, KDR, PKA, FIt-1, FIt-2, FIt-3 or FIt-4, or on a combination of the above enzymes, for the treatment and/or prevention of neurol and vascular disorders related to beta-amyloid generation and/or aggregation such as neurodegenerative diseases like Down's Syndrome, memory and cognitive impairment, dementia, amyloid neuropathies, brain inflammation, nerve and brain trauma, vascular amyloidosis, or cerebral hemorrhage with

ANSWER 16 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN L6 (Continued)

amyloidosis 850798-87-7 IT

850798-87-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
 (indolyl-pyrroledione derivs. for treatment of neurol, and vascular
 disorders related to beta-amyloid generation and/or aggregation)
850798-87-7 CAPLUS
HR-Pyrrole-2,5-dione, 3-{2-chloro-5-(4-methyl-1-piperazinyl)-3(trifluoromethyl)phenyl)-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 17 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: THIS

59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 17 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2005:366859 CAPLUS DOCUMENT NUMBER: 143:473102

TITLE: Gene array analysis of Wnt-regulated genes in C3H1OT1/2 cells

C3H1071/2 cells
Jackson, Amanda; Vayssiere, Beatrice; Garcia, Teresa;
Newell, William: Baron, Roland; Roman-Roman, Sergio;
Rawadi, Georges
Proskelia Pharmaceuticals, Romainville, 93230, Fr.
Bone (San Diego, CA, United States) (2005), 36(4),
353-398 AUTHOR (S):

CORPORATE SOURCE: SOURCE:

CODEN: BONEDL; ISSN: 8756-3282 Elsevier

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

NAME: JOURNAL MAGE: English English Whit/P-catenin signaling is involved in a large variety of modeling and remodeling processes including cell polarity, cell differentiation, and cell migration. Recently, a role of the Wht pathway in bone biol.

been demonstrated. However, the precise mechanism by which Wnt proteins regulate bone formation still remains to be elucidated. We have previously shown that the Wnt pathway mediates induction of alkaline phosphatase, an osteoblast differentiation marker, in the pluripotent mesenchymal cells C3H10T1/2. In the present study, we performed a genome-wide expression anal. using Affymetrix oligonuclectide chips to determine the Wnt3a-induced gene expression profile in C3H10T1/2 cells.

expression profiles of 447 Wnt3a-regulated genes, classified into distinct

Inct functional families, are presented here. Our data reveal that Wnt3a regulates several genes that are involved in osteoblast and adipocyte differentiation. Importantly, Wnt3a induces the expression of osteoprotegerin by a B-catenin dependent mechanism indicating that the Wnt pathway may also affect osteoclastogenesis. Through the analour expression profiling data, we have established a Tagwan panel as a tool to rapidly compare the expression profiles of a specific set of

tool to rapidly compare the expression profiles of a specific set of s induced by distinct stimuli acting in the Wnt/B-catenin pathway. Using the TaqWan panel, we have compared the gene expression profiles induced by Wntl. Wnt2, and Wnt3a in C3H10T1/2 cells, and also by two different CSK-3B inhibitors: LiCl and SB216763. Our data show that wntl and Wnt3a act in a similar manner, distinct from Wnt2. Finally, we found that LiCl and SB216763 displayed different profiles in the TaqWan panel evidencing their distinct inhibitory action toward GSK-3B. Overall, data presented herein will aid further understanding of the involvement of the Wnt signaling pathway in its regulation of osteoblast and adipocyte differentiation and function and, in addition, will enhance current knowledge of the Wnt signaling pathway itself.
280744-09-4, S8216763
RL: BSU (Biological study, unclassified): BIOL (Biological study) (effect on gene expression profile; gene array anal. of Wnt-regulated genes during osteoblast and adipocyte differentiation of pluripotent mesenchymal C3H10T1/2 cells)
280744-09-4 CAPLUS
LR-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

ANSWER 18 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN SSION NUMBER: 2005:301248 CAPLUS MENT NUMBER: 143:257354

ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

143:23/334
3-(1H-Indol-3-yl)-4-(3,4,5-trimethoxyphenyl)-2,5-dibydro-1H-pyrrole-2,5-dione
Peifer, Christian; Schollmeyer, Dieter; Dannhardt,
Gerd

AUTHOR (S):

CORPORATE SOURCE:

Gerd
Pharmazeutisches Institut, Universitaet Tuebingen,
Tuebingen, 72076, Germany
Acta Crystallographica, Section E: Structure Reports
Online (2005), E61(3), o721-o723
CODEN: ACSERI ISSN: 1600-5368 SOURCE:

CODEN: ALBERN, LOURL:
URL:
http://journals.iucr.org/e/issues/2005/03/00/bt66
00/index.html
PUBLISHER: Blackwell Publishing Ltd.
OCHMPNT TYPE: Journal; (online computer file)

LANGUAGE: Journal; (online computer file)
LANGUAGE: English
AB The crystal structure of the title compound, C21H18N2O5, was determined to study
the electrocyclic reactivity of 3,4-diaryl-1H-pyrrole-2,5-dione derivs.
Crystallog. data are given. Intermol. H bonds form sheets.

IT 863223-52-3

863223-52-3
RL: PRP (Properties)
 (crystal structure of)
863223-52-3 CAPLUS
H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(3,4,5-trimethoxyphenyl)- (9CI)
(CA INDEX NAME)

REFERENCE COUNT: THIS

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 19 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2005:296082 CAPLUS DOCUMENT NUMBER: 143:146505

REFERENCE COUNT: THIS THERE ARE 25 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 20 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L6 ANSWER 20 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2005:283611 CAPLUS DOCUMENT NUMBER: 142:349105

Methods and materials for identifying agents which modulate bone remodeling and agents identified TITLE:

Chatterjee-Kishore, Moitreyee; Robinson, John A.; Bhat, Bheem M.; Bex, Frederick James, III Wyeth, John, and Brother Ltd., USA PCT Int. Appl., 173 pp. CODEN: PIXXD2 Patent thereby INVENTOR(S):

PATENT ASSIGNEE (5):

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PR

PATENT NO.					KIND DATE				APPL	CAT							
						-									-		
WO	2005	0286	78		A2		2005	0331	1	WO 2	004-	US17	951		2	0040	607
WO	2005	0286	78		A3		2005	0909									
	W:	AE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	.CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	ΚU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,
							LV,										
							PL,										
							TZ,										
	RW:						MW,										
		AZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	sĸ,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	ΝE,
		SN,	TD,	TĢ													
CA	2526	845			AA		2005	0331		CA 2						0040	
HIORIT	Y APP	LN.	INFO	.:					1	US 2	003-	4761	64 P	1	P 2	0030	606
										US 2	003-	5013	98P	1	P 2	0030	910

AB The invention discloses compns., compds., apparatuses and methods of using

them to study bone mineralization and identify agents that regulate bone mineralization. Methods of using bone mineralization gene profiles and signatures for compound screening and research are also disclosed.

Reagents

for modulating bone mineralization are provided for both therapeutic and research usage.

IT 280744-09-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
[methods and materials for identifying agents which modulate bone remodeling and agents identified thereby)

RN 28074-09-4 CAPJUS

CN 1H-Pyrcole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(SCI) (CA INDEX NAME)

WO 2004-US17951

W 20040607

ANSWER 21 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN SSION NUMBER: 2005:128220 CAPLUS HENT NUMBER: 142:373633

ACCESSION NUMBER:

DOCUMENT NUMBER: TITLE:

142:373633
Synthesis and biological evaluation of novel naphthocarbazoles as potential anticancer agents Routier, Sylvain; Peixoto, Paul; Merour, Jean-Yves; Coudert, Gerard; Dias, Nathalie; Bailly, Christian; Pierre, Alain; Leonce, Stephane; Caignard, AUTHOR (S):

Coudert, Gerard; Dias, Nathalie; Bailly, Christian; Plerre, Alain; Leonce, Stephane; Caignard, Daniel-Henry Institut de Chimie Organique et Analytique, UMR CNRS 6005, Universite d'Orleans, Orleans, 45067, Fr. June 1 (2005), 48(5), 1401-1413 CORPORATE SOURCE:

SOURCE:

CODEN: JMCMAR; ISSN: 0022-2623 American Chemical Society PUBLISHER:

Journal

DOCUMENT TYPE: LANGUAGE: English CASREACT 142:373633 OTHER SOURCE(S):

The efficient synthesis involving palladium-catalyzed reactions and biol. evaluation of naphthocarbazoles, e.g., I, designed as potential anticancer

ancer agents, are reported. The use of 5- and 6-benzyloxyindoles generated three substitution sites which were successively exploited to introduce several hydrophilic side chains. The cytotoxicity of the designed

ds.

was evaluated on three cell lines. Several compds. showed a marked cytotoxicity with IC50 values in the sub-micromolar range. This was the case for I, bearing a dimethylaminoethyl side chain, which was extremely cytotoxic to L1210 and DU145 cells IC50: 36 nM, 108 nM) and induced an accumulation of L1210 cells in the G2+M phases of the cell cycle. Some

the most cytotoxic compds. were tested for inhibition of CDK-5, GSK-3 and topoisomerase I, and their interaction with DNA was also evaluated. Interaction with DNA was detected, suggesting that nucleic acids

represent a privileged target for these mols.

IT 386235-54-79 386235-55-89 386235-67-09 386235-80-59 386235-59-29 386235-80-59 386235-80-59 386235-80-59 386235-80-59 386235-80-59 386235-80-59 386235-80-59 386235-80-59

ANSWER 21 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
849404-42-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and anticancer activity of naphthocarbazole deriva. starting
from indolyl(bromo)pyrrolediones and arylboronic acid or aryltin using
cross-coupling reactions as the key steps)
386235-34-7 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(3-methoxy-2-naphthalenyl)-1methyl- (9CI) (CA INDEX NAME)

386235-55-8 CAPLUS

RN 386235-55-8 CAPLUS CN 1H-Indole, 3-[2,5-dihydro-4-(3-methoxy-2-naphthaleny1)-1-methy1-2,5-dioxo-1H-pyrrol-3-y1]-1-(phenylsulfony1)- (9CI) (CA INDEX NAME)

386235-57-0 CAPLUS
1H-Pyrrole-2,5-dione, 3-(3-hydroxy-2-naphthalenyl)-4-(1H-indol-3-yl)-1-methyl- (9CI) (CA INDEX NAME)

386235-58-1 CAPLUS

CN 1H-Indole, 3-[2,5-dihydro-4-(3-hydroxy-2-naphthalenyl)-1-methyl-2,5-dioxo-1H-pyrrol-3-yl]-1-[phenylsulfonyl)- (9CI) (CA INDEX NAME)

L6 ANSMER 21 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
1H-Indole,
1-2,5-dihydro-4-(3-hydroxy-2-naphthalenyl)-1-methyl-2,5-dioxo1H-pyrrol-3-yl)-5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 849404-39-3 CAPLUS
CN IN-Indole,
[2, 5-dihydro-4-(3-hydroxy-2-naphthaleny1)-1-methy1-2,5-dioxo1H-pyrrol-3-yl]-6-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI)
NAME)

849404-41-7 CAPLUS Methanesulfonic acid, trifluoro-, 3-{2,5-dihydro-1-methyl-2,5-dioxo-4-{5-dihydro-1-methyl-2,1-dihydro-1-methyl-2,5-dioxo-4-{5-dihydro-1-methyl-2-fonic acid, trifluoro-, 3-{2,5-dihydro-1-methyl-2,5-dioxo-4-{5-dihydro-1-methyl-2-fonic acid, trifluoro-, 3-{2,5-dihydro-1-methyl-2,5-dioxo-4-{5-dihydro-1-methyl-2-fonic acid, trifluoro-, 3-{2,5-dihydro-1-methyl-2,5-dioxo-4-{5-dihydro-1-methyl-2,5-dioxo-4-{5-dihydro-1-methyl-2,5-dioxo-4-{5-dihydro-1-methyl-2,5-dioxo-4-{5-dihydro-1-methyl-2,5-dioxo-4-{5-dihydro-1-methyl-2,5-dioxo-4-{5-dihydro-1-methyl-2,5-dioxo-4-{5-dihydro-1-methyl-2,5-dioxo-4-{5-dihydro-1-methyl-2,5-dioxo-4-{5-dihydro-1-methyl-2,5-dioxo-4-{5-dihydro-1-methyl-2,5-dioxo-4-{5-dihydro-1-methyl-2,5-dioxo-4-{5-dihydro-1-methyl-2,5-dioxo-4-{5-dioxo-4-{5-dioxo-4-dioxo-1-methyl-2,5-dioxo-4-{5-dioxo-4-dioxo-1-methyl-2,5-dioxo-4-{5-dioxo-4-dioxo-1-methyl-2,5-dioxo-4-{5-dioxo-4-dioxo-1-methyl-2,5-dioxo-4-{5-dioxo-4-dioxo-1-methyl-2,5-dioxo-4-{5-dioxo-4-dioxo-1-methyl-2,5-dioxo-4-{5-dioxo-4-

L6 ANSWER 21 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

386235-59-2 CAPLUS

Methanesulfonic acid, trifluoro-, 3-[2,5-dihydro-4-{1H-indol-3-yl}-1-methyl-2,5-dioxo-1H-pyrrol-3-yl]-2-naphthalenyl ester (9CI) (CA INDEX NAME)

386235-60-5 CAPLUS
Methanesulfonic acid, trifluoro-, 3-{2,5-dihydro-1-methyl-2,5-dioxo-4-{1-(phenylsulfonyl)-1H-indol-3-yl]-1H-pyrrol-3-yl]-2-naphthalenyl ester

(CA INDEX NAME)

849404-38-2 CAPLUS

ANSWER 21 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

849404-42-8 CAPLUS Methanesulfonic acid, trifluoro-, 3-{2,5-dihydro-1-methyl-2,5-dioxo-4-{6-(phenylmethoxy)-1-(phenylsulfonyl)-1H-indol-3-yl}-1H-pyrrol-3-yl}-2- naphthalenyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 22 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2004:1057908 CAPLUS

DOCUMENT NUMBER: TITLE:

2004:1057908 CAPLUS
142:192931
Exploitation of KESTREL to identify NDRG family
members as physiological substrates for SGK1 and GSK3
Murray, James T.: Campbell, David G.: Morrice,
Nicholas; Auld, Gillian C.; Shpiro, Natalia: Marquez,
Rodolpho; Peggie, Mark; Bain, Jenny; Bloomberg, AUTHOR (S):

Graham

B.: Grahammer, Plorian; Lang, Florian; Wulff, Peer;
Kuhl, Dietmarr Cohen, Philip

CORPORATE SOURCE: MRC Protein Phosphorylation Unit, School of Life
Sciences, University of Dundee, Dundee, DD1 5EH, UK
SOURCE: Blockemical Journal (2004), 384(3), 477-488
CODEN: BJOAN: ISSN: 0264-6021

POULLESHER: Portland Press Ltd.

DOCUMENT TYPE: Journal
LANGUAGE: Brajlish
BW detected a protein in rabbit skeletal muscle exts. that was
phosphorylated rapidly by SGK1 (serum- and glucocorticoid-induced kinase
1), but not by protein kinase Bo, and identified it as NDRG2 (N-myc
downstream-regulated gene 2). SGK1 phosphorylated NDRG2 at Thr330,
Ser332

and Thr346 in vitro. All three residues were phosphorylated in skeletal muscle from wild-type mice, but not from mice that do not express SGK1. SGK1 also phosphorylated the related NDRG1 isoform at Thr328, Ser330 and Thr346 (equivalent to Thr330, Ser332 and Thr348 of NDRG2), as well as

ob and Thr366. Residues Thr346, Thr356 and Thr366 are located within identical decapeptide sequences GTRSRSHTSE, repeated three times in

identical decapeptide sequences GTRSRSHTSE, repeated three times in 1.

These threonines were phosphorylated in NDRGI in the liver, lung, spleen and skeletal muscle of wild-type mice, but not in SGK1-/- mice.

Knock-down of SGK1 in HeLa cells using small interfering RNA also suppressed phosphorylation of the threonine residues in the repeat region of NDRGI. The phosphorylation of NDRGI by SGK1 transformed it into an excellent substrate for GSK3 (glycogen synthase kinase 3), which could then phosphorylate Ser342, Ser352 and Ser362 in the repeat region. Incubation of HeLa cells with the specific GSK3 inhibitor CT 99021 increased the electrophoretic mobility of NDRGI in HeLa cells, demonstrating that this protein is phosphorylated by GSK3 in cells. Our results identify NDRGI and NDRG2 as physiol. substrates for SGK1, and demonstrate that phosphorylation of NDRGI by SGK1 primes it for phosphorylation by GSK3.

RL: BSU (Biological study, unclassified); BIOL (Biological study) (phosphorylation of NDRGI in liver, lung, spleen and skeletal muscle

bу

serum/glucocorticoid-inducible protein kinase 1)
280744-09-4 CAPLUS
HH-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)(9CI) (CA INDEX NAME)

ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

AUTHOR (S):

CORPORATE SOURCE:

ANSWER 23 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN

2004:916807 CAPLUS

142:232469

Involvement of c-Myc in growth inhibition of Hep 3B human hepatoma cells by a vitamin K analog

GC, Lisheng, Wang, Ziqiu: Wang, Meifang: Kar,
Siddhartha; Carr, Brian I.
Department of Surgery, Liver Cancer Center, Starzl
Transplant Institute, School of Medicine, University of Pittsburgh, Pittsburgh, PA, 15213, USA

JOURNAL Of Hepatology (2004), 41(5), 823-829

CODEN: JOHEEC; ISSN: 0168-8278

LISHER:
MEMT TYPE:
JOURNAL SURGE:
English

SOURCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

UNGE: English
Background/Aims: A synthetic vitamin K analog, compound 5 (Cpd 5), is a
potent inhibitor of cell growth. The aim was to investigate whether

c-Myc
was involved in Cpd 5-induced cell growth inhibition. Methods: Human
hepotoma cells (Hep 3B) were cultured and treated with Cpd 5, and c-Myc
protein expression and phosphorylation were investigated using Western
blot anal. Results: Cpd 5 was found to inhibit c-Myc protein expression
and induce c-Myc phosphorylation in Hep 3B cells. The phosphorylation of
c-Myc was induced by both Cpd 5-mediated persistent extracellular
signal-regulated kinase (ERK) phosphorylation and Cpd 5 increased
glycogen
synthase kinase-3 (GSK-3) activity. When using GSK-3 inhibitor,
SB216783,

6763, c-Myc phosphorylation was significantly decreased and c-Myc levels wer restored in Cpd 5 treated cells, suggesting that Cpd 5-mediated increa of GSK-3 activity enhanced c-Myc degradation and resulted in reduction Mayor

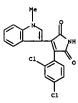
of c-Myc levels. The lower c-Myc levels were found to cause altered expression of two c-Myc target genes, growth arrest gene gadd45 and ornithine decarboxylase (ODC). Conclusions: The results suggest that Cpd

L6 ANSWER 22 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

THERE ARE 52 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 23 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



FORMAT

REFERENCE COUNT: THIS

THERE ARE 30 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 24 OF 57
ACCESSION NUMBER:
DOCUMENT NUMBER:
111:400891
INVENTOR(8):
INVENTOR(8):
PATENT ASSIGNEE(8):
SOURCE:
POOLUMENT TYPE:
LANGUAGE:
DOCUMENT TYPE:
PAILUX ACC. NUM. COUNT:
LANGUAGE:
PAILUX ACC. NUM. COUNT:
PATENT ASSIGNEE(8):
SOURCE:
PAILUX ACC. NUM. COUNT:
PARENT ASSIGNEE(8):
SOURCE:
PAILUX ACC. NUM. COUNT:
SOURCE:
PAILUX ACC. NUM. COU

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.					KIND DATE					APPL	DATE						
WO	2004	0916	63		A1 20041028			1	WO 2	004-		20040416					
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN.	co.	CR.	CU.	CZ,	DE,	DK,	DM,	DZ,	EC.	EE,	EG,	ES,	FI,	GB,	GD,
								IL.									
		LK.	LR.	LS.	LT.	LU.	LV.	MA,	MD.	MG.	MK.	MN.	MW.	MX.	MZ.	NA,	NI,
								PT,									
								UA,									
	RW:							MZ,									
								TN.									
								IE,									
								CI,									
		TD.													•		-
					AA		2004	1028		CA 2	004-	2522	712		21	0040	416
RIORITY APPLN. INFO.:										JP 2	003-	1145	79	1	A 2	0030	418
										40.2	004-	1955	03	,	a 2	0040	416
											004-	0233				0010	

OTHER SOURCE(s): MARPAT 141:400891 AB It is intended to provide a drug for nerve degeneration, a nerve stem cell

neurogenesis promoter, a neuron obtained by culturing a nerve stem cell

the presence of the neurogenesis promoter, and a method of producing the neuron. To achieve the above objects, a drug for nerve degeneration

which contains as the active ingredient a substance inhibiting the activity of

glycogen synthase kinase-3, a nerve stem cell neurogenesis promoter

containing
this substance as the active ingredient, a neuron obtained by culturing a
nerve stem cell in the presence of the neurogenesis promoter, and a

of producing the neuron are provided. The above-described drugs are useful as remedies for nerve diseases such as Parkinson's disease, Alzheimer's disease, Down's disease, ecrebrovascular disorder, cerebral stroke, spinal injury, Huntington's chorea, multiple sclerosis, amyotrophic lateral sclerosis, epilepsy, anxiety disorder, integration dysfunction syndrome, depression and manic-depressive. The effects of lithium chloride, Kenpaullone, indirubin-3'-monoxime, and short interference RNA (siRNA) on neurogenesis promotion were in vitro tested. Also, a tablet SB-216763 S mg/100 mg tablet was formulated. 280744-09-4P, SB 216763
RE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

ANSWER 24 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

280744-11-8 CAPLUS
1H-Pyrrole-2.5-dione, 3-[1-(3-aminopropyl)-1H-indol-3-yl]-4-(2-chlorophenyl)-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

FORMAT

15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 24 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN L6 (Continued)

(glycogen synthase kinase-3 inhibitors for nerve regeneration) 280744-09-4 CAPLUS

ZeU/44-Uy-4 CAFLUS 1H-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(SCI) (CA INDEX NAME)

125314-07-0 280744-10-7 280744-11-8 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (glycogen synthase kinase-3 inhibitors for nerve regeneration) 125314-07-0 CAPLUS

1H-Pyrrole-2,5-dione, 3-(2-chlorophenyl)-4-(1-methyl-1H-indo1-3-yl)-

(CA INDEX NAME)

RN 280744-10-7 CAPLUS CN 1H-Fyrrole-2,5-dione, 3-(2-chlorophenyl)-4-(1-(3-hydroxypropyl)-1H-indol-3-yl)- (3CI) (CA INDEX NAME)

ANSWER 25 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN SSION NUMBER: 2004:839779 CAPLUS MENT NUMBER: 141:360317

ACCESSION NUMBER:

DOCUMENT NUMBER: TITLE:

141:36U31/ Inhibition of glycogen synthase kinase-3 represses androgen receptor activity and prostate cancer cell growth Mazor, Michal; Kawano, Yoshiaki; Zhu, Hanneng;

AUTHOR(S): Waxman,

CORPORATE SOURCE:

SOURCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

An,

ORATE SOURCE:

Prostate Cancer Research Group, Department of Cancer Cell Biology, Division of Medicine, Imperial College, London, W12 DNN, UK

CE:

Oncogene (2004), 23(47), 7882-7892
CODEN: ONCNES; ISSN: 0950-9232

ISHER:

Nature Publishing Group
WENT TYPE:

UAGE:

The transcriptional

interaction with various coregulators, one of which is β-catenin. Interest in the role of β-catenin in prostate cancer has been atimulated by reports showing that it is aberrantly expressed in the cytoplasm and/or nucleus in up to 38% of hormone-refractory tumors and that overexpression of β-catenin results in activation of RR transcriptional activity. We have examined the effect of depleting endogenous β-catenin on AR activity using Axin and RNA interference. Axin, which promotes β-catenin degradation, inhibited AR transcriptional activity. However, this did not require the β-catenin-binding domain of Axin. Depletion of β-catenin using RNA interference increased, rather than decreased, AR activity, suggesting that endogenous β-catenin is not a transcriptional coactivator for the AR. The glycogen synthase kinases—3 (GSK-3)-binding domain of Axin prevented formation of a GSK-3-AR complex and was both necessary and sufficient for inhibition of AR-dependent transcription. A second GSK-3-binding ein.

inhibition of AR-dependent transcription. A second con-3 sincing
protein,
FRAT, also inhibited AR transcriptional activity, as did the CSK-3
inhibitors SB216763 and SB415286. Finally, inhibition of GSK-3 reduced
the growth of AR-expressing prostate cancer cell lines. Our observations
suggest a potential new therapeutic application for GSK-3 inhibitors in
prostate cancer.

IT 280744-09-4, SB216763
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
[inhibition of GSK3 represses AR activity and prostate cancer cell
growth)

growth)
280744-09-4 CAPLUS
HH-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 26 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN 748153-32-4P 748153-33-5P 748153-34-6P 748153-31-6P 748153-31-9P 748153-31-9P 748153-31-9P 748153-31-9P 748153-41-5P 748153-41-5P 748153-42-6P 748153-43-7P 748153-44-0P (Continued) RE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indolylmaleimides for preventing or treating disorders or diseases mediated by T lymphocytes and/or PKC or GSK-3ß)
RN 748153-05-1 CAPLUS
CN 1H-Pyrrole-2, 5-dione,
3-[5-chloro-2-[(dimethylamino)methyl]-1H-indol-4-yl]4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 748153-06-2 CAPLUS
CN 1H-Pyrcole-2, 5-dione,
3-[5-chloro-2-[(dimethylamino)methyl]-1H-indol-4-yl]4-(1,7-dimethyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

748153-07-3 CAPLUS
1H-Pyrrole-2,5-dione,
-chloro-2-[dismethylamino]methyl]-1H-indol-4-yl]4-[1-(1-methylethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 26 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2004:696367 CAPLUS DOCUMENT NUMBER: 141:225308

DOCUMENT NUMBER:

141:225308
Preparation of indolylmaleimides for preventing or treating disorders or diseases mediated by T lymphocytes and/or PKC or GSK-3B
Von Matt, Peter: Wagner, Juergen
Novartis AG, Switz.: Novartis Pharma GmbH
PCT Int. Appl., 28 pp.
CODEN: PIXXD2
Patent TITLE:

INVENTOR (S): PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

2	'A7	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
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		2004									WO 2	004-	EP13	23		2	0040	212
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			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD.	MG,	MK,	MN,	MW,	MX,	ΜZ,	NΑ,	NI
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			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	ΗU,	sĸ	
PRIORI	T	APP	LN.	INFO	.:						GB 2	003-	3319		1	A 2	0030	213
											WO 20	004-	EP13	23	,	¥ 2	0040	212

OTHER SOURCE(S): MARPAT 141:225308

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The title compds. [I; Ra = H, alkyl, hydroxyalkyl, aminoalkyl, etc.; Rb = H, halo, alkyl, alkoxy; R = II, III (wherein R1, R3 = heterocyclyl, XRCY; X = a direct bond, O, S, NRI1; R11 = H, alkyl; Rc = (un)aubstituted alkylene; Y = OH, (un)substituted NH2, etc.; R2, R4 = H, halo, alkyl, alkoxy, CF3, CN, NO2, NH2)], were prepared E.g., a multi-step synthesis

IV which showed, for example, IC50 of 5.4 nM against PKC9 and IC50 of 18 nM against GSK-3B, is given. The pharmaceutical composition comprising the compound I is claimed. 746153-05-19 746153-06-2P 746153-07-3P 746153-10-8P 746153-19-19 746153-12-0P 746153-13-1P 746153-13-19-P 746153-15-3P 746153-13-19-P 746153-15-3P 746153-12-0P 746153-19-7P 746153-29-3P 746153-23-3P 746153-31-3P

L6 ANSWER 26 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN

748153-08-4 CAPLUS |H-Pyrrole-2,5-dione, | 5-chloro-2-[(dimethylamino)methyl]-1H-indol-4-yl]-| 4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

748153-09-5 CAPLUS
1H-Pyrrole-2,5-dione,
-[dimethylamino]methyl]-5-methyl-1H-indol-4-yl]4-(1,7-dimethyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 748153-10-8 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[2-[(dimethylamino)methyl)-5-methyl-1H-indol-4-yl)-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 748153-11-9 CAPLUS CN 1H-Pyrcole-2,5-dione, 3-[2-[(dimethylamino)methyl]-5-methyl-1H-indol-4-yl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 748153-12-0 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[2-[(dimethylamino)methyl]-5-methyl-1H-indol-4-yl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 748153-13-1 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[2-[{dimethylamino}methyl]-1H-indol-4-yl]-4-(1,7-dimethyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 748153-14-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[2-[(dimethylamino)methyl]-1H-indol-4-yl]-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 26 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 748153-18-6 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[2-[(dimethylamino)methyl)-5-fluoro-1H-indol-4-yl]-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 748153-19-7 CAPLUS CN 1H-Pyrcole-2,5-dione, 3-[2-[(dimethylamino)methyl)-5-fluoro-1H-indol-4-yl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 748153-20-0 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[2-[(dimethylamino)methyl]-5-fluoro-1H-indol-4-yl]4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Me<sub>2</sub>N-CH<sub>2</sub> H HN Me

N 748153-15-3 CAPLUS
N 1H-Pyrrole-2,5-dione, 3-[2-[(dimethylamino)methyl]-1H-indol-4-yl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 748153-16-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[2-[(dimethylamino)methyl]-lH-indol-4-yl]-4-(lH-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 748153-17-5 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[5-chloro-2-[(dimethylamino)methyl]-1H-indol-4-yl]4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 26 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 748153-21-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[5-chloro-2-[[(cyclopropy|methyl)amino|methyl]-1Hindol-4-yl]-4-[1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 748153-22-2 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[5-chloro-2-[[(cyclopropylmethyl)amino]methyl]-1Hindol-4-yl]-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 748153-23-3 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[5-chloro-2-[[(cyclopropylmethyl)methylamino]methy
1]-1H-indol-4-y1]-4-(1H-indol-3-y1)- (9CI) (CA INDEX NAME)

RN 748153-24-4 CAPLUS CN 1H-Pyrrole-2.5-dione, 3-[5-chloro-2-([(cyclopropylmethyl)methylamino]methy 1]-1H-indol-4-yl)-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME) RN 748153-25-5 CAPLUS
CN H-Pyrrole-2,5-dione, 3-[2-[{(cyclopropylmethyl)methylamino]methyl}-1Hindol-4-yl)-4-{1-methyl-1H-indol-3-yl}- (SCI) (CA INDEX RAME)

CH2-N-

RN 748153-26-6 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-{2-[[(cyclopropylmethyl)methylamino|methyl]-1H-indol-4-yl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

CH<sub>2</sub>-N-CH<sub>2</sub>

RN 748153-27-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[2-[[(cyclopropylmethyl)amino]methyl]-1H-indol-4yl]-4-(1-methyl]-1H-indol-3-yl)- (9C1) (CA INDEX NAME)

L6 ANSWER 26 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued

RN 748153-31-3 CAPLUS
CN lH-Pyrrole-2,5-dione, 3-{5-chloro-2-{[{2-methoxyethyl}amino]methyl}-1H-indol-4-yl}-4-{7-methyl-1H-indol-3-yl}- {9CI} (CA INDEX NAME)

RN 748153-32-4 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[5-chloro-2-[([2-methoxyethyl)methylamino]methyl]-1H-indol-4-yl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

CH2-N-CH2-CH2-CH2-CM6

RN 748153-33-5 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[5-chloro-2-[([2-methoxyethyl)methylamino]methyl]-1H-indol-4-yl]-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME) L6 ANSWER 26 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CH2-NH-CH2

RN 748153-28-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(5-chloro-2-[[(2-fluoroethy1)amino]methy1]-1H-indol-4-y1]-4-(1H-indol-3-y1)- (9CI) (CA INDEX NAME)

HN C1 CH2-NH-CH2-CH2F

RN 748153-29-9 CAPLUS
CN 1H-Pyrclo-2,5-dione, 3-[5-chloro-2-[[(2-fluoroethyl)amino]methyl]-1Hindol-4-yl]-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

HN C1 CH2-NH-CH2-CH2F

RN 748153-30-2 CAPLUS
CN 1H-Pytrole-2,5-dione, 3-[5-chloro-2-[[(2-methoxyethyl)amino]methyl]-1Hindol-4-yl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 26 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continue

RN 748153-34-6 CAPLUS
CN HH-Pyrrole-2,5-dione, 3-[5-chloro-2-[(2-propenylemino)methyl]-1H-indol-4yl1-4-(1H-indol-3-yl1-(9CI) (CA INDEX NAME)

C1 CH2-NH-CH2-CH=CH2

RN 748153-35-7 CAPLUS
(N H-Pyrcle)-2,5-dione, 3-[5-chloro-2-[(2-propenylamino)methyl]-1H-indol-4-yl]-4-(7-methyl-1H-indol-3-yl)- (9C) (CA INDEX NAME)

HN C1 CH2-NH-CH2-CH== CH2

RN 748153-36-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[5-chloro-2-[(methyl-2-propenylamino)methyl]-1H-indol-4-yl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

CH<sub>2</sub>-N-CH<sub>2</sub>-CH=CH<sub>2</sub>

ANSWER 26 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 748153-37-9 CAPLUS | H-Pyrrole-2,5-dione, 3-[5-chloro-2-[(methyl-2-propenylamino)methyl]-1H-indol-4-yl]-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 748153-38-0 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[5-chloro-2-[[[2-(dimethylamino)ethyl]amino]methyl ]-1H-indol-4-yl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

748153-39-1 CAPLUS
1H-Pyrrole-2,5-dione,
-chloro-2-([2-(dimethylamino)ethyl)amino]methyl
]-1H-indol-4-yl]-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{He} \\ \\ \text{He} \\ \\ \text{C1} \\ \\ \text{C1} \\ \\ \text{CH}_2 - \text{NH-CH}_2 - \text{CH}_2 - \text{NMe}_2 \\ \\ \\ \text{NH} \\ \end{array}$$

748153-40-4 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[2[(phenylamino)methyl]-1H-indol-4-yl]- (9CI) (CA INDEX NAME)

ANSWER 26 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

748153-44-8 CAPLUS
1H-Pyrrole-2,5-dione,
-chloro-2-(1-piperazinylmethyl)-1H-indol-4-yl]-4(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 26 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

748153-41-5 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-{2[(methylphenylamino)methyl)-1H-indol-4-yl]- (9CI) (CA INDEX NAME)

748153-42-6 CAPLUS 1H-Pyrrole-2,5-dione, -chloro-2-(1-piperozinylmethyl)-1H-indol-4-yl]-4-(7-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 748153-43-7 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[5-chloro-2-(1-pjerazinylmethyl)-1H-indol-4-yl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 27 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:286907 CAPLUS

140:368533 Opioid-Induced Cardioprotection Occurs via Glycogen
Synthase Kinase β Inhibition During Reperfusion
in Intact Rat Hearts

AUTHOR(S): Gross, Eric R.; Hau, Anna K.; Gross, Garrett J.

CORPORATE SOURCE: Medical College of Wisconsin, Department of Pharmacology and Toxicology, Milwaukee, WI, 53226,

USA SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

CE: Circulation Research (2004), 94(7), 960-966
CODEN: CIRUAL; ISSN: 0009-7330
ISHER: Lippincott Williams & Wilkins
Journal
UNGE: Polying
UNGE: English
Glycogen synthase kinase (GSK) inhibition produced by ischemic
preconditioning has been previously shown to be regulated through
phosphatidylinositol-3 kinase (PISK). Therefore, we determined whether
opioid-induced cardioprotection (OIC) occurs during reperfusion by
altering GSK phosphorylation through PISK and target of rapamycin (TOR).
Furthermore, we determined if selective GSK inhibitors, SB216763(SB21) o
SB415286(SB41), emulate OIC. Rats were treated with the nonselective
opioid agonist, morphine (MOR, 0.3 mg/kg), the 8-selective opioid
agonist BW373U86 (BW, 1 mg/kg), or the GSK inhibitors, SB21 (0.6 mg/kg)

SB41(1.0 mg/kg), either 10 min before ischemia or 5 min before reperfusion. Five minutes before oploid or SB21 treatment, some rats received either the PI3K inhibitor wortmannin (15 µg/kg) or LY294002 (0.3 mg/kg) or the TOR inhibitor rapamycin (3 µg/kg). After 30 min of ischemia followed by 2 h of reperfusion, infarct size was assessed. MOR, BW, SB41, and SB21 reduced infarct size compared with vehicle when administered before ischemia (42.942.6, 40.342.3, 46.641.6, 42.211.8 vs. 60.041.11, resp.; PC0.001) and showed similar protection when administered 5 min before reperfusion (43.642.3, 40.242.6, 44.842.8, 39.440.89, resp.; PC0.001). Wortmannin, LT294002, and rapamycln were found to inhibit OIC; however, they did not abrogate SB21-induced infarct size reduction At 5 min of reperfusion,

ADROGATE SEZI-Induced infarct size reduction. At 5 min of reperfusion,

NOR and BW increased P-GSKβ at Ser9 in the ischemic zone compared
with vehicle (18120, 178:15 vs. 75:17 DU, resp.: P<0.05), and
this effect was abrogated by prior administration of wortmannin or
rapamycin in MOR-treated rats. Furthermore, no differences were seen in
phosphorylation of GSKβ (Ser21 or Tyr279) or phosphorylation of
GSKβ (Tyr216). These data indicate that OIC occurs via the
phosphorylation of GSKβ at Ser9 during reperfusion.
280744-09-4, S216763
RL: PRC (Pharmacological activity); BIOL (Biological study)
(opioid-induced cardioprotection mediation by GSK-β at Ser9 during
reperfusion)
280744-09-4 CRPLUS
IH-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)(9CI) (CA INDEX NAME)

REFERENCE COUNT:

36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

141:7051
Synthetic Approaches to Indolo[6,7-a]pyrrolo[3,4-c]carbazoles: Potent Cyclin Dl/CDK4 Inhibitors
Faul, Margaret H.; Engler, Thomas A.; Sullivan, Kevin
A.; Grutsch, John L.; Clayton, Marcella T.;
Martinelli, Michael J.; Pawlak, Joseph M.;

Stanley P.; Furness, Kelly; Malhotra, Sushant; Al-Awar, Rima S.; Ray, James E. Global Chemical Process Research and Development, Indianapolis, IN, 4628, USA Journal of Organic Chemistry (2004), 69(9), 2967-2975 CODEN: JOCEAN; ISSN: 0022-3263 American Chemical Society Journal English CASREACT 141:7051

Michael: Coffey, D. Scott: Pedersen, Steven W.;

L6 ANSWER 28 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2004:261266 CAPLUS DOCUMENT NUMBER: 141:7051

DOCUMENT NUMBER: TITLE:

CORPORATE SOURCE: SOURCE .

PUBLISHER: DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

AUTHOR (S): LeTourneau,

Kolis.

Synthesis of indolo(6,7-a)pyrrolo[3,4-c)carbazoles, a new class of cyclin D1/CDX4 inhibitors, by oxidation of the corresponding aryl (indoly1)maleimides, are described. Two approaches to the synthesis of (indoly1)maleimides were identified that required new methods for the synthesis of 7-substituted indoleacetamides and N-methyl(7-indoly1)oxacetates. The chemical developed enabled introduction of functionality (-OR, NR2) at C12 and N13 facilitating structure-activity relationship (SRR) evaluation of this indoleachazole platform. Biol. test data for the compds. prepared for this study were not reported. The reaction of 1-methyl-1H-indole-7-acetamide (1) with 6-methoxy-a-oxo-1H-indole-3-acetic acid Me ester gave 3-(6-methoxy-1H-indol-3-y1)-4-(1-methyl-1H-indol-7-y1)-1H-pyrrole-2,5-dione (II). Further photochem. cyclization of II gave 9-methoxy-3-methyl-3H-indol6,7-a]pyrrolo[3,4-

L6

ANSWER 28 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) c]carbazole-4,6(5H,1H)-dione (III).
408354-39-2P, 3-(6-Methoxy-1H-indol-3-y1)-4-(1-methyl-1H-indol-7-y1)pyrrole-2,5-dione 408354-72-P, 3-[1-(3-Hydroxypropyl)-6-methoxy-1H-indol-3-y1)-4-(1-methyl-1H-indol-7-y1)pyrrole-2,5-dione 408355-60-2P, 3-[1-(3-Bromopropyl)-6-methoxy-1H-indol-3-y1]-4-(1-methyl-1H-indol-7-y1)pyrrole-2,5-dione 408355-62-P, 3-[7-(2-Hydroxyethyl)-1H-indol-7-y1)pyrrole-2,5-dione 408355-63-93, 3-[7-(2-Hydroxyethyl)-1H-indol-3-y1)-4-(1-methyl-1H-indol-7-y1)pyrrole-2,5-dione 408355-63-93, 3-[7-(2-Hydroxyethyl)-1H-indol-3-y1)-4-(1-methyl-1H-indol-7-y1)pyrrole-2,5-dione 40835-63-93-93 (-1-2-Hydroxyethyl)-1H-indol-3-y1)-4-(1-methyl-1H-indol-7-y1)pyrrole-2,5-dione 40836-439-3-2 CAPLUS (Preparation of indolo[6,7-a]pyrrolo[3,4-c]carbazoles (cyclin Dl/CDK4 protein kinase inhibitors))
408354-39-2 CAPLUS (H-Pyrrole-2,5-dione, 3-(6-methoxy-1H-indol-3-y1)-4-(1-methyl-1H-indol-7-y1)- (9CI) (CA INDEX NAME) IT

RN 408354-72-3 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[1-(3-hydroxypropy)]-6-methoxy-1H-indol-3-yl]-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)

(CH2)3-OH

408355-60-2 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1-(3-bromopropyl)-6-methoxy-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)

ANSWER 28 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

408355-82-8 CAPLUS 1H-Pyrrole-2,5-dione, 3-{7-(2-bromoethyl)-1H-indol-3-yl}-4-(1-methyl-1H-indol-7-yl)- (CG INDEX NAME)

RN 408355-83-9 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[7-(2-hydroxyethy]-1H-indol-3-y1]-4-(1-methyl-1H-indol-7-y1)- (9CI) (CA INDEX NAME)

ANSWER 28 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

THERE ARE 44 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 29 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

The title compds. [I; R = Ph, naphthy1, furyl, thienyl, etc.; Rl = H, (un) substituted alkyl, alkenyl, aryl, etc.; R2 = H, (un) substituted alkyl,

l,
alkenyl, CONH2, etc.; R3 = H, CHO, CONH2, CO2H, etc.; R4 = H,
(un)substituted alkyl, CHO, CO2H, etc.; X = N, CR11; R11 = H, halo,
(un)substituted alkyl, aryl, etc.], useful as protein kinase C or
oren

(un) substituted early, early etc., (un) substituted early, early etc., early egyptimes gynthase kinase-3β inhibitors, were prepared E.g., a multi-step synthesis of II (starting from (5-chlorobenzo[b]thien-3-yl)acetic acid, and 2-(3-indolyl)-2-exoacetic acid) which showed IC50 of 0.081 μM and 0.083 μM against PKC β-II and PKC α, resp., was given. The pharmaceutical composition comprising the compound I is claimed.

IT 63460-97-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

IT 634604-97-0P
RI: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); TMU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of substituted 1H-pyrrole-2,5-diones as kinase inhibitors)
RN 634604-97-0 CAPLUS
CN 3-Pyridinecarboxylic acid,
5-[5-chloro-3-[2,5-dinydro-4-(2-methoxyphenyl)-2,5-dioxo-1H-pyrrol-3-yl]-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 29 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:991343 CAPLUS
DOCUMENT NUMBER: 14042028
TITLE: Preparation of substituted 1H-pyrrole-2,5-diones as kinase inhibitors
INVENTOR(S): Zhang, Han-cheng; Maryanoff, Bruce E.; Mccomsey, havid

INVENTOR(S): David

F.; White, Kimberly; Ye, Hong; Hecker, Leonard; Conway, Bruce R.; Demarest, Keith Janssen Pharmaceutica N.V., Belg. PCT Int. Appl., 101 pp. CODEN: PIXXO2 Patent

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

	PATENT NO.						DATE			APPL	ICAT	ION	NO.		DATE				
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	WO 2003103663				A2 20031218					WO 2	003-	US17	20030604						
	WO	2003	1036	63		A3		2004	0708										
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	US	2004	0541	80		A1		2004	0318	1	US 2	003-	4545	61		20	0030	504	
	EP	1513	520			A2		2005	0316		EP 2	003-	7315	28		20	0030	504	
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WO 2003-US17518

W 20030604

OTHER SOURCE(S): MARPAT 140:42028

L6 ANSWER 29 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT 634604-61-8P 634604-62-9P 634604-64-1P
634604-66-3P 634604-68-5P 634604-69-6P
634604-70-9P 634604-72-1P 634604-73-2P
634604-73-4P 634604-772-1P 634604-73-2P
634604-81-2P 634604-83-4P 634604-85-6P
634604-89-1P 634604-89-1P 634604-99-0P
634604-98-1P 634604-99-0P
634604-98-1P 634604-99-0P
634605-01-9P 634603-93-6P 634605-03-1P
634605-01-9P 634603-93-5P 634605-03-1P
634605-04-2P 634605-03-3P
634605-34-P 634605-55-9P 634605-36-0P
634605-34-P 634605-55-9P 634605-36-0P
634605-34-P 634605-47-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted 1H-pyrrole-2,5-diones as kinase inhibitors)
NN 634604-61-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-ethenyl-1H-indol-3-yl)-4-{4-(2-hydroxy-3-(1-piperidinyl)propoxylphenyl)- (9CI) (CA INDEX NAME)

634604-73-2 CAPLUS

634604-72-1 CAPLUS
1H-Pyrrole-2,5-dione, 3-(3-bromophenyl)-4-[1-[3-(methyl-2-propynylamino)propyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

634604-70-9 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-y1)-4-[4-[2-(methylamino)ethoxy]pheny1}- (9CI) (CA INDEX NAME)

634604-62-9 CAPLUS
1M-Pyrrole-2,5-dione, 3-[3-[3-(dimethylamino)-2-hydroxypropoxy]phenyl]-4-(1-ethenyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

ANSWER 29 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 634604-64-1 CAPLUS 1H-Pyrrole-2,5-dione, 3-(4-[3-(dimethylamino)-2-hydroxypropoxy]phenyl]-4-(1-ethenyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

634604-68-5 CAPLUS 1H-Pyrrole-2,5-dione, 3-[1-(2,2-diethoxyethyl)-1H-indol-3-yl]-4-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

ANSWER 29 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
1R-Pyrrole-2,5-dione, 3-(3-bromophenyl)-4-[1-(5-hexynyl)-1H-indol-3-yl](9CI) (CA INDEX NAME)

634604-75-4 CAPLUS
1H-Pytrole-2,5-dione, 3-[4-[3-(dimethylamino)-2-hydroxypropoxy]phenyl]-4[1-[3-(dimethylamino)propyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

634604-77-6 CAPLUS
1H-Pyrrole-2,5-dione, 3-[2-[3-(dimethylamino)-2-hydroxypropoxy)phenyl]-4[1-{3-(dimethylamino)propyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

634604-79-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-[2-[3-(dimethylamino)-2-hydroxypropoxy]phenyl]-4-

Me2N-CH2-CH-CH2

нс== с- (сн2) 4

Me2N- (CH2) 3

Me2N- (CH2) 3

CH2

L6 ANSWER 29 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) [1-(2-hydroxyethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 634604-81-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(3-bromophenyl)-4-[1-[3-(3-butynylmethylamino)propyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 634604-83-4 CAPLUS CN 1H-Fyrrole-2,5-dione, 3-(1-{3-(3-butynylmethylamino)propyl]-1H-indol-3-yl]-4-(2-iodophenyl)- (9CI) (CA INDEX NAME)

RN 634604-85-6 CAPLUS
CN 1H-Pyrrole-2,5-dlone,
3-[2-bromo-5-[3-(dimethylamino)propoxy]phenyl]-4-{1H-

L6 ANSWER 29 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 634604-92-5 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(2-hydroxyphenyl)-4-[1-(3-pyridinyl)-1H-indol-3-yl]- (9C1) (CA INDEX NAME)

RN 634604-93-6 CAPLUS

(N H-Pyrrole-2,5-dione, 3-(4-hydroxyphenyl)-4-[1-(3-pyridinyl)-1H-indol-3-yl] (9CI) (CA INDEX NAME)

RN 634604-96-9 CAPLUS

L6 ANSWER 29 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) indol-3-yl)- (9CI) (CA INDEX NAME)

RN 634604-87-8 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[2-bromo-5-[3-(dimethylamino)propoxy]phenyl]-4-(1phenyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 634604-89-0 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(2-methoxyphenyl)-4-[1-(5-pyrimidinyl)-1H-indol-3yl)- (9CI) (CA INDEX NAME)

RN 634604-91-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(2-methoxyphenyl)-4-(1-(3-pyridinyl)-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 29 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) IH-Pyrrole-2,5-dione,
3-(2-methoxyphenyl)-4-[1-(tetrahydro-2H-pyran-4-yl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 634604-98-1 CAPLUS
CN 3-Pyridinecarboxylic acid,
5-[5-chloro-3-[2,5-dihydro-4-(2-methoxyphenyl)2,5-dioxo-1H-pyrrol-3-yl]-1H-indol-1-yl]-, methyl ester (9CI) (CA INDEX NAME)

RN 634604-99-2 CAPLUS
CN 3-Pyridinecarboxamide,
5-[5-chloro-3-[2,5-dhydro-4-[2-methoxyphenyl]-2,5-dioxo-lH-pyrrol-3-yl]-lH-indol-1-yl]- (9CI) (CA INDEX NAME)

RN 634605-00-8 CAPLUS
CN H-Pyrcle-2,5-dione, 3-(1-ethenyl-1H-indol-3-yl)-4-(2-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 634605-01-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[2-[3-(dimethylamino)-2-hydroxypropoxy]-1-naphthalenyl]-4-(1-ethenyl-1H-indol-3-y1)- (9CI) (CA INDEX NAME)

RN 634605-02-0 CAPLUS

L6 ANSWER 29 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Pytrole-2,5-dione, 3-(1-naphthalenyl)-4-[1-(5-pyrimidinyl)-1H-indol-3-y1]- (9CI) (CA INDEX NAME)

RN 634605-33-7 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-{1-{2-{(2-hydroxyethyl)methylamino|ethyl}-1H-indol-3-yl}-4-{2-methoxyphenyl}- (9CI) (CA INDEX NAME)

RN 634605-34-8 CAPLUS
CN HH-Fyrrole-2,5-dione, 3-[1-[3-(dimethylamino)propyl]-1H-indol-3-yl]-4-(1-naphthalenyl)- (9C1) (CA INDEX NAME)

Me2N- (CH2) 3

RN 634605-35-9 CAPLUS

ANSWER 29 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Pyrrole-2,5-dione, 3-(2-hydroxy-1-naphthalenyl)-4-(1H-indo1-3-yl)(9CI) (CA INDEX NAME)

RN 634605-03-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[1-[2-(2-butynylmethylamino)ethyl]-1H-indol-3-yl]-4-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 634605-04-2 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[1-[2-(3-butynylmethylamino)ethyl]-1H-indol-3-yl]4-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 634605-05-3 CAPLUS

L6 ANSWER 29 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Pyrrole-2,5-dione, 3-{3-bromopheny1}-4-{1-{3-(methylamino)propy1}-1Hindol-3-yl]- (9CI) (CA INDEX NAME)

MeNH- (CH2) 3

RN 634605-36-0 CAPLUS CN 1H-Pyrrol-2,5-dione, 3-[1-[2-(diethylamino)ethyl]-1H-indol-3-yl]-4-(1-naphthalenyl)- (9Cl) (CA INDEX NAME)

Et<sub>2</sub>N-cH<sub>2</sub>-cH<sub>2</sub>

RN 634605-41-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-naphthalenyl)-4-[1-(5-pyrimidinyl)-1H-indol-3-yll-,monohydrochloride (9CI) (CA INDEX NAME)

• HCl

L6 ANSWER 29 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

634605-47-3 CAPLUS

No. 3-9v1dinecarboxamide, 5-[5-chloro-3-[2,5-dhydro-4-(2-methoxyphenyl)-2,5-dloxo-lH-pytrol3-yl]-lH-indol-1-yl]-, monohydrochloride (9CI) (CA INDEX

ANSWER 30 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

The title compds. [I; Rl = H, Me, Et, iso-Pr; R2 = H, halo, alkoxy,

If R = substituted Ph, 1-naphthyl, 4-pyrimidinyl, 4-quinolinyl, 1-isoquinolinyl) which are useful in the treatment and/or prevention of diseases or disorders mediated by T lymphocytes and/or PKC, e.g. acute or chronic rejection of organ or tissue allo- or xenografts, graft vs. host diseases, atherosclerosis, vascular occlusion due to vascular injury such as angioplasty, restenosis, obseity, syndrome X, impaired glucose tolerance, polycystic ovary syndrome, hypertension, heart failure, nic

nic obstructive pulmonary disease, CNS diseases such as Alzheimer disease or amyotrophic lateral sclerosis, cancer; infectious diseases such as AIDS, septic shock or adult respiratory distress syndrome, ischemia/reperfusion injury e.g. myocardial infraction, stroke, gut ischemia, renal failure or hemorrhage shock, or traumatic shock, e.g. traumatic brain injury, were prepared The compds. I are also useful in the treatment and/or

prevention

of T-cell mediated acute or chronic inflammatory diseases or disorders or
autoimmune diseases e.g. rheumatoid arthritis, osteoarthritis, systemic
lupus erythematosus, Hashimoto's thyroiditis, multiple sclerosis,
myasthenia gravis, diabetes type I or II and the disorders associated
therewith, e.g. angiopathy, diabetic proliferative retinopathy, diabetic
macular edema, nephropathy, neuropathy and dawn phenomenon, respiratory
diseases such as asthma or inflammatory lung injury, inflammatory liver
injury, inflammatory glomerular injury, cutaneous manifestations of
immunol.-mediated disorders or illnesses, inflammatory and
hyperproliferative skin diseases (such as psoriasis, atopic dermatitis,
allergic contact dermatitis, irritant contact dermatitis and further
eczematous dermatitises, seborrheic dermatitis), inflammatory eye
diseases, e.g., Sjoegren's syndrome, keratoconjunctivitis or uveitis,
inflammatory bowel disease, Crohn's disease or ulcerative colitis. Thus,
reacting 2-[2-chloro-3-methyl-5-[4-methylpiperazin-1-yl]phenyl]acetamide
(preparation given) with 3-indoleglyoxylate in the presence of tert-Buok
in THF
afforded II. The compds. I showed ICSO of ≤ 1 μM against

afforded II. The compds. I showed IC50 of  $\leq 1~\mu M$  against different isoforms of PKC. Pharmaceutical composition comprising the

Compound is claimed.

IT 611234-11-8P 611234-12-9P 611234-13-0P 611234-14-9P 611234-15-2P 611234-16-3P 611234-17-4P 611234-19-611234-21-0P 611234-23-2P

L6 ANSWER 30 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2003:796695 CAPLUS DOCUMENT NUMBER: 139:307678 Preparation of individual Control of Control Cont Preparation of indolylmaleimides for treating diseases or disorders mediated by T lymphocytes and/or PKC Evenou, Jean-Pierre; Von Matt, Peter; Wagner, INVENTOR (S): Juergen: Zenke, Gerhard Novartis A.-G., Switz.; Novartis Pharma G.m.b.H. PCT Int. Appl., 33 pp. CODEM: PIXXD2 PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: Patent English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE 20030402 WO 2003082859 A1 20031009 WO 2003-EP3470 WO 2003082859

W. AE, AG, AL,
CO, CR, CU,
HR, HU, ID,
LV, MA, MD,
SE, SG, SK,
RW: AM, AZ, BY,
DK, EE, ES,
SI, SK, TR
CA 2477774
AU 2003224031 A1 20031009 W0 2003-EF3470 Z0030402 AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, MK, MN, MX, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, TJ, TH, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW, GK, KZ, MD, RU, TJ, TH, AT, BE, BG, CH, CY, CZ, DE, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, AA 20031009 CA 2003-2477774 20030402
A1 20031013 AU 2003-224031 20030402
A1 20041229 EP 2003-720413 20030402
DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
A 20050104 BR 2003-8979 20030402
A1 20050602 US 2003-510027 20030402
TZ 20050915 JP 2003-580325 20030402
A 20041026 BR 2003-4613 20041026
GB 2002-7729 A 20020403 AU 2003224031 EP 1490355 R: AT, BE, CH, IE, SI, LT, BR 2003008979 US 2005019274 JP 2005527563 NO 2004004613 PRIORITY APPLN. INFO.:

OTHER SOURCE(S):

MARPAT 139:307678

GB 2003-3323

WO 2003-EP3470

A 20030213

W 20030402

CM 1 611234-10-7 C24 H23 C1 N4 O2

CM 2 CRN 64-19-7 CMF C2 H4 O2

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NAME)

RN 611234-12-9 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[2,3-dimethyl-5-(4-methyl-1-piperazinyl)phenyl]-4(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 611234-13-0 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(2,3-dimethyl-5-(4-methyl-1-piperazinyl)phenyl)-4(1H-indol-3-yl)- (9Cl) (CA INDEX NAME)

RN 611234-14-1 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[2-chloro-3-methyl-5-(4-methyl-1-piperazinyl)phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 611234-15-2 CAPLUS

L6 ANSWER 30 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 611234-19-6 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[2-chloro-3-methyl-5-[(3R)-3-methyl-1-piperazinyl]phenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 611234-20-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[2-chloro-5-(1-piperazinyl)-3(trifluoromethyl)phenyl)-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 30 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Pyrrole-2,5-dione,
3-{2-chloro-3-methyl-5-{1-piperazinyl)phenyl}-4-{1H-indol-3-yl}-{9CI} (CA INDEX NAME)

RN 611234-16-3 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[2-chloro-3-methyl-5-(1-piperazinyl)phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 611234-17-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-{2-chloro-3-methyl-5-(3R}-3-methyl-1-piperazinyl]phenyl}-4-{1-methyl-1H-indol-3-yl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 30 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 611234-21-0 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[2-chloro-5-(1-piperazinyl)-3-(trifluoromethyl)phenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 611234-22-1 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[2-chloro-3-methyl-5-(4-methyl-1-piperazinyl)phenyl]-4-[7-(1-methylethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 611234-23-2 CAPLUS CN 1H-Pytrole-2,5-dione, 3-[2-chloro-3-methyl-5-(4-methyl-1piperazinyl]phenyl]-4-(7-methyl-1H-1ndol-3-yl)- (9CI) (CA INDEX NAME) L6 ANSWER 30 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

611234-24-3 CAPLUS
1H-Pyrrole-2,5-dione, 3-[2-chloro-3-methyl-5-(4-methyl-1-piperazinyl)phenyl]-4-(7-ethyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 611234-25-4 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(7-chloro-1H-indol-3-yl)-4-[2-chloro-3-methyl-5-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 30 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN CN 1H-Pyrrole-2,5-dione, 3-[2-chloro-5-(4,7-diazaspiro[2.5)oct-7-y1)pheny1]-4-(7-methy1-1H-indol-3-y1)- (9CI) (CA INDEX NAME) (Continued)

RN 611234-30-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[2-chloro-5-(4,7-diazaspiro[2.5]oct-7-y1)phenyl]-4-(1H-indol-3-y1)- (9CI) (CA INDEX NAME)

RN 611234-31-2 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-(4,7-diazaspiro[2.5]oct-7-yl)-1-naphthalenyl]-4{1-methyl-1H-indol-3-yl}- (9CI) (CA INDEX NAME)

611234-32-3 CAPLUS

ANSWER 30 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 611234-26-5 CAPLUS
H-Pyrrole-2,5-dione, 3-[2-chloro-3-methyl-5-(4-methyl-1-piperazinyl)phenyl]-4-(7-fluoro-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 611234-27-6 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[2-chloro-5-(4,7-diazaspiro[2.5]oct-7-yi]phenyl]-4-(7-ethyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 611234-28-7 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[2-chloro-5-(4,7-diazaspiro[2.5]oct-7-y1]phenyl]-4-(7-chloro-1H-indol-3-y1)- (9CI) (CA INDEX NAME)

RN 611234-29-8 CAPLUS

L6 ANSWER 30 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Pyrrole-2,5-dione,
3-{3-(4,7-diazaspirol2.5]oct-7-yl)-l-naphthalenyl}-4{1-methyl-1H-indol-3-yl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 611234-31-2 CMF C29 H26 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

611234-33-4 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-(3-[(3R)-3-methyl-1-piperazinyl]-1-naphthaienyl]- (9CI) (CR INDEX NAME)

Absolute stereochemistry.

RN 611234-34-5 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[3-(4,7-diazaspiro[2.5]oct-7-y1)-1-naphthaleny1]-4-{1H-indol-3-y1}- (9CI) (CA INDEX NAME)

RN 611234-35-6 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-[3-[(3R)-3-methyl-1-piperazinyl]-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 30 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 611234-38-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(3-(35)-3,4-dimethyl-1-piperazinyl)-1-naphthalenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 611234-40-3 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-{3-{(3S)-3,4-dimethyl-1-piperazinyl}-1-naphthalenyl}-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 30 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 611234-36-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[3-[(3S)-3-methyl-1-piperazinyl]-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 611234-37-8 CAPLUS CN H-Pyrrole-2,5-dione, 3-(H-indol-3-yl)-4-{3-[(35)-3-methyl-1-piperazinyl}l-naphthalenyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 30 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ACCESSION NUMBER:
DOCUMENT NUMBER:
100: 140: 42054

ALTHOR(S):

AUTHOR(S):

AU

PUBLISHER:
DOCUMENT TYPE:
LANGUAGE:
OTHER SOURCE(S):
GI

The synthesis of analogs of Arcyriaflavin A, in which one indole ring is replaced by an aryl or heteroaryl ring, is described. These series of aryl[a]pyrrolo[3,4-c]carbazoles, e.g., I, were evaluated as inhibitors of Cyclin D1-CDK4. A potent and selective D1-CDK4 inhibitor, II (D1-CDK4 CSO = 45 mM), has been identified. The potency, selectivity profile against other kinases, and structure-activity relationship (SAR) trends

of this class of compds. are discussed. 125313-57-7P 221233-51-8P 610312-74-8P 635300-91-3P

IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of maleimides via heterocyclization of indolylglyoxylate

with

arylacetamides followed by elimination in the preparation of arenopytrolocarbazoles as cyclin D1-CDK4 inhibitors) 125313-57-7 CAPLUS 1H-Pytrole-2,5-dione, 3-(1H-indol-3-y1)-4-phenyl- (9CI) (CA INDEX NAME)

L6 ANSWER 31 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 31 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

221233-51-8 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

610312-74-8 CAPLUS HH-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

635300-91-3 CAPLUS
1H-Pytrole-2,5-dione, 3-(1H-indol-3-yl)-4-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR

L6 ANSWER 32 OF 57
ACCESSION NUMBER:
DOCUMENT NUMBER:
19:307698
Synthesis of Aryl- and Heteroaryl[a]pyrrolo[3,4-c]carbazoles
AUTHOR(S):
Sanchez-Martinez, Concha; Faul, Margaret M.; Shih, Chuan; Sullivan, Kevin A.; Grutsch, John L.; Cooper, Jeremy T.; Kolis, Stanley P.
CORPORATE SOURCE:
SOURCE:
SOURCE:
SOURCE:
Journal of Organic Chemistry (2003), 68(21),

CORPORATE SOURCE: SOURCE: 8008-8014

8008-8014

CODEN: JOCEAH: ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

CHER SOURCE(S): CASRACT 139:307698

AB Synthesis of aryl- and hetero(a)pyrrolo(3,4-c)carbazoles by photochem.

oxidation and Neck cyclization are described. Photochem. oxidation of
2-naphthyl indolyl maleimide affords two different carbazole
regioisomers,

2-naphthyl indolyl maleimide affords two different carbazole regioisomers,
depending on the reaction conditions. The regiochem. of the cyclization can be controlled using the Heck reaction.

IT 125313-57-7P 221233-51-8P 610312-74-8P
610312-78-2P 610312-79-3P 610312-80-6P
510312-81-7P 610312-82-8P 610312-83-9P
RI: RCT (Reactant): SFN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(preparation of aryl- and hetero[a]pyrrolo[3,4-c]carbazoles by photochem.

ordem.
oxidation and Heck cyclization)
125313-57-7 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-phenyl- (9CI) (CA INDEX NAME)

221233-51-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

610312-74-8 CAPLUS

ANSWER 32 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

610312-78-2 CAPLUS
1H-Pyrrole-2,5-dione, 3-(2-bromophenyl)-4-(1H-indol-3-yl)- (9CI) (CA
INDEX NAME)

RN 610312-79-3 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-{2-bromophenyl}-4-{6-methoxy-1H-indol-3-yl}-{9CI} (CA INDEX NAME)

RN 610312-80-6 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(2-bromophenyl)-4-[6-(trifluoromethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

ANSWER 32 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: THIS

FORMAT

32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 32 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

610312-81-7 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1-bromo-2-naphthalenyl)-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME) RN CN

610312-82-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-(2-bromo-1-naphthalenyl)-4-(1H-indol-3-yl)- (9CI)
(CA INDEX NAME)

610312-83-9 CAPLUS 1H-Pyrrole-2,5-dione, 3-(2-bromo-5-methoxyphenyl)-4-(1H-indol-3-yl)-(CA INDEX NAME)

L6 ANSWER 33 OF 57
ACCESSION NUMBER: 2003:737721 CAPLUS
DCCUMENT NUMBER: 139:276815
TITLE: Preparation of 3-(indol-3-y1) 4-heteroary1
substituted

INVENTOR (S):

pyrrole-2,5-diones as GSK-3B inhibitors Albaugh, Pamela Ann; Ammenn, Jochen; Burkholder, Timothy Paul; Clayton, Joshua Ryan; Conner, Scott Eugene; Cunningham, Brian Eugene; Engler, Thomas Albert; Furness, Kelly Wayne; Henry, James Robert;

Li. Yihong; Malhotra, Sushant; Tebbe, Mark Joseph; Zhu, Guoxin Eli Lilly and Company, USA; et al. PCT Int. Appl., 88 pp. CODEN: PIXXD2 Patent English 1

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	TENT																
						-									-		
	2003									WO 2	003-1	<b>JS50</b>	52		2	0030	305
WO	2003	0763	98		A3		2004	0226									
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK.	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
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								MG,									
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AU	2003	2175	96		A1		2003	0922	- 2	AU 2	003-2	2175	96		2	0030	305
EP	1487	822			A2		2004	1222	1	EP 2	003-	7135	51		2	0030	305
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT.	LV.	FI.	RO.	MK,	CY,	AL.	TR,	BG.	CZ.	EE.	HU,	SK	
JP	2005																
US	2005	2883	21		A1		2005	1229	,	US 2	005-	5060	29		2	0050	519
PRIORIT	Y APP	LN.	INFO	. :					- 1	US 2	002-	3633	75P	1	2	0020	308
									1	US 2	002-	3694	33P	1	2	0020	402
									,	<b>#</b> O 2	003-1	JS50:	52	,	2	0030:	305

OTHER SOURCE(S): MARPAT 139:276815

- The title compds. [I; Ar = (un)substituted benzofuryl, indolyl, quinolinyl, etc.; Rl = H, alkoxy, halo, etc.; R2 = H, alkyl, (un)substituted piperidin-4(or 31-yl, etc.; R3 = H, halo, alkyl, cyclopropyl; or R2 and R3 taken together = CH2CH2CH(CH2OH)CH2; R4, R5 =
- Quinoliny, etc.; R1 = n. alkox, naio, etc.; R2 = n. alky, cyclopropyl; or R2 and R3 taken together = CH2CH2CH(CH2CH)CH2: R4, R5 = halo), useful for treating GSK-3B mediated diseases such as diabetes and Alzheimer's disease, were prepared Thus, reacting 2=[1-(3-hydroxypropyl)-1H-indol-3-yl]acetamide with Me (1-methyl-1H-indol-4-yl; R1, R3-R5 = H; R2 = 3-hydroxypropyl) which showed IC50 of 0.1757 µH against GSK-3B. Pharmaceutical composition comprising the compound I was claimed. 604007-24-1F 604007-35-2P 604007-26-3P 604007-24-1F 604007-31-0P 604007-35-4P 604007-33-2P 604007-31-0P 604007-35-4P 604007-33-2P 604007-34-3P 604007-34-3P 604007-34-3P 604007-34-3P 604007-34-3P 604007-34-3P 604007-35-8P 604007-44-9P 604007-35-8P 604007-48-9P 604007-48-9P 604007-50-9P 604007-50-9P 604007-55-8P 604007-55-8P 604007-69-9P 604007-50-9P 604007-55-8P 604007-68-1P 604007-68-1P 604007-69-9P 604007-73-9P 604007-68-1P 604007-68-1P 604007-69-9P 604007-73-9P 604007-93-9P 604008-93-9P 604
- ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN y1)- (9CI) (CA INDEX NAME) (Continued)

604007-27-4 CAPLUS | 1H-Pyrrole-2, 3-dione, 3-[1-(3-hydroxypropyl)-1H-indol-3-yl]-4-[1-(3-hydroxypropyl)-1H-indol-4-yl]- (SCI) (CA INDEX NAME)

PAGE 2-A

PAGE 1-A

604007-31-0 CAPLUS 1H-Pyrrole-2,5-dione, 3-(7-benzofurany1)-4-(5-(2-(1,1-dimethylethoxy)ethoxy)-1-methyl-1H-indol-3-y1]- (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
604008-44-87 604008-45-99 504008-46-0P
604008-47-1P 604008-8-2P 604008-43-3P
604008-50-69 604008-82-8P 604008-33-PP
604008-54-0P 604008-85-1P 604008-56-2P
604008-61-99 604008-86-0P
604008-61-99 604008-86-0P
604008-61-99 604008-86-0P
604008-67-5P 604008-86-0P
604008-73-3P 604008-86-0P
604008-73-3P 604008-81-1P 604008-72-2P
604008-73-3P 604008-71-1P 604008-73-3P
604008-73-3P 604008-71-1P 604008-73-3P
604008-73-3P 604008-81-3P
604008-73-3P 604008-81-3P
604008-79-9P 604008-81-3P
604008-81-9P 604008-81-3P
604007-24-1 CAPLUS
RN 604007-24-1 CAPLUS

HO- (CH2) 3

RN 604007-25-2 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[1-(3-hydroxypropyl)-6-methoxy-1H-indol-3-yl]-4-(1-methyl-1H-indol-4-yl)- (9CI) (CA INDEX NAME)

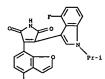
HO- (CH2) 3

RN 604007-26-3 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[1-(3-hydroxypropyl)-1H-indol-4-yl]-4-(1H-indol-3-

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 604007-32-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[4-(2-hydroxyethoxy)-7-benzofuranyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

604007-33-2 CAPLUS 1H-Pyrrole-2,5-dione, 3-[4-[2-(diethylamino)ethoxy]-7-benzofuranyl]-4-[4-fluoro-1-(1-methylethyl)-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



Et2N-CH2-CH2

604007-34-3 CAPLUS
1H-Pyrrole-2,5-dione, 3-[4-[2-(diethylamino)ethoxy]-7-benzofuranyl]-4-(1-ethyl-4-fluoro-1H-indol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

O-CH2-CH2-NEt2

RN 604007-35-4 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(4-methoxy-7-benzofuranyl)-4-(1-methyl-1H-indol-3yl)- (9CI) (CA INDEX NAME)

RN 604007-36-5 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-ethyl-1H-indol-3-yl)-4-(5-methoxy-7-benzofuranyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 604007-39-8 CAPLUS
CN H-Pytrole-2,5-dione, 3-(7-benzofurany1)-4-(2-cyclopropy1-1H-indol-3-y1)(9C1) (CA INDEX NAME)

RN 604007-42-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-{3-{4-(5-fluoro-4-propyl-7-benzofuranyl)2,5-dihydro-2,5-dioxo-1H-pyrrol-3-yl}-1H-indol-1-yl}-, 1,1-dimethylethyl
ester (9CI) (CA INDEX NAME)

RN 604007-43-4 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1-(4-hydroxybutyl)-1H-indol-3-yl]-4-(4-methoxy-7benzofuranyl)- (9CI) (CA INDEX NAME) L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 604007-37-6 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(5-methoxy-7-benzofurany)-4-[1-(1-methylethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 604007-38-7 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[2-(1-methylethyl)-1H-indol-3-yl]- {9CI} (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 604007-44-5 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 604007-45-6 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[1-(2-)4pdroxyethy]-1H-indol-3-y1]-4-(5-methoxy-7benzofuranyl)- (9CI) (CA INDEX NAME)

RN 604007-46-7 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[7-(3-hydroxypropyl)-1H-indol-3604007-47-8 CAPLUS 1H-Pyrrole-2,5-dione, 3-(7-benzofurany1)-4-[7-(3-hydroxypropy1)-1-methyl-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

(сн2) 3-он

604007-48-9 CAPLUS
1H-Pyrrole-2,5-dione, 3-{7-benzofuranyl}-4-{5-{3-hydroxypropoxy}-1-{1-methylethyl}-1H-indol-3-yl}- {9CI} (CA INDEX NAME)

о- (СН2) 3-ОН

604007-49-0 CAPLUS | H-Pyrrole-2, 3-dione, 3-(7-benzofuranyl)-4-[7-(3-hydroxypropyl)-1-(1-methylethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 604007-54-7 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(5-isoquinolinyl)-4-(5-methoxy-2-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 604007-55-8 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(5-isoquinolinyl)-4-[1-(4-piperidinyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 604007-56-9 CAPLUS
CN Piperidine.
13-{4-[3-{4-(7-benzofuranyl)-2,5-dihydro-2,5-dioxo-1H-pyrrol-3-yl]-1H-indol-1-yl}-1-[(tetrahydro-2H-pyran-4-yl)carbonyl]-(9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

604007-50-3 CAPLUS
1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[5-(4-hydroxybutoxy)-1-(1-methylethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 604007-51-4 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(5-fluoro-7-benzofuranyl)-4-(5-(4-hydroxybutoxy)-1-{1-methylethyl)-1H-indol-3-yl}- (9CI) (CA INDEX NAME)

604007-53-6 CAPLUS
1H-Pyrrole-2,5-dione, 3-[1-(3-hydroxypropy1)-1H-indol-3-yl]-4-(5-isoquinoliny1)- (9CI) (CA INDEX NAME)

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

604007-57-0 CAPLUS 1H-Pyrrole-2, 5-dione, 3-(7-benzofuranyl)-4-[1-[1-[(tetrahydro-2H-pyran-4-yl)methyl]-4-piperidinyl]-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

604007-58-1 CAPLUS 1H-Pyrrole-2,5-dione, 3-(7-benzofurany1)-4-(1-(tetrahydro-2H-pyran-4-y1)-1H-indol-3-y1)- (9CI) (CA INDEX NAME)

RN 604007-59-2 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(6-fluoro-7-benzoduranyl)-4-{1-[1-(phenylmethyl)-4-piperidinyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 604007-61-6 CAPLUS
CN 1-Piperarinecarboxylic acid, 4-[3-[4-(7-benzofuranyl)-2,5-dihydro-2,5-dioxo-lH-pyrrol-3-yl]-5,6-difluoro-1-methyl-lH-indol-7-yl]-2-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 604007-62-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(6-fluoro-7-benzofuranyl)-4-[1-{2,2,6,6-tetramethyl-4-piperidinyl)-1H-indol-3-yl]-, monohydrochloride (9CI) [CA INDEX NAM2]

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 604007-67-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-{5-chloro-4-fluoro-7-benzofuranyl}-4-{1-{4-piperidinyl}-1H-indol-3-yl}- (9CI) (CA INDEX NAME)

RN 604007-68-3 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[4-(methylthio)-7-benzofuranyl]-4-[1-(4-piperidinyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 604007-69-4 CAPLUS CN 1H-Pyrcole-2,5-dione, 3-(4,6-difluoro-7-benzofuranyl)-4-(1-(4-piperidinyl)-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 604007-70-7 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(5,6-difluoro-7-benzofuranyl)-4-[1-(4-piperidinyl)-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME) L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 604007-64-9 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(7-benzofuranyl)-4-[4-fluoro-1-(4-piperidinyl)-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 604007-65-0 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(4-chloro-7-benzofuranyl)-4-[1-(4-piperidinyl)-1Hindol-3-yl]- (9CI) (CA INDEX NAME)

RN 604007-66-1 CAPLUS
CN H-Pyrrole-2,5-dione, 3-(5-fluoro-4-propyl-7-benzofuranyl)-4-[1-(4-piperidinyl)-11-indol-3-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

● HCl

RN 604007-71-0 CAPLUS CN 1H-Pyrrole-2,5-diome, 3-(4,5-difluoro-7-benzofuranyl)-4-[1-(4-piperidinyl)-1H-indol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

RN 604007-72-9 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(6-flucor-7-benzofuranyl)-4-(1-(4-piperidinyl)-1Hindol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 604007-73-0 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(5-chloro-7-benzofuranyl)-4-[1-(4-piperidinyl)-1H- L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 604007-74-1 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(6-fluoro-7-benzofuranyl)-4-(1-(1-methyl-4-piperidinyl)-1H-indol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

#C1

RN 604007-75-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[1-(2,6-dimethyl-4-piperidinyl)-1H-indol-3-yl]-4(6-fluoro-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 604007-76-3 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[1-(7-azaspiro[4.5]dec-10-y1)-1H-indol-3-y1]-4-(6-

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

• HCl

RN 604007-82-1 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(5-chloro-1-(3,3-dimethyl-4-piperidinyl)-1H-indol3-yl]-4-(6-fluoro-2,3-dihydro-7-benzofuranyl)-, monohydrochloride (9CI)
(CA INDEX NAME)

• HCl

RN 604007-83-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(6-fluoro-2,3-dihydro-7-benzofuranyl)-4-[1[(2R,4R)-2-methyl-4-piperidinyl]-1H-indol-3-yl]-, monohydrochloride, rel(9C1) (CA INDEX NAME)

Relative stereochemistry.

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) fluoro-2,3-dihydro-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX

● HCl

RN 604007-77-4 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[1-(7-azapiro(4.5)]dec-10-yl)-1H-indol-3-yl]-4-(6fluoro-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 604007-81-0 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[5-chloro-1-(3,3-dimethyl-4-piperidinyl)-1H-indol3-yl]-4-(6-fluoro-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

● HCl

RN 604007-84-3 CAPLUS
CN 1H-Fyrrole-2,5-dione,
3-(6-fluoro-7-benzofuranyl)-4-[1-[3-(hydroxymethyl)4-piperidinyl]-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 604007-85-4 CAPLUS
CN IH-Pyrrole-2,5-dione, 3-{6-fluoro-7-benzofuranyl}-4-[7[[(phenylmethyl)amino]methyl]-1-(4-pyridinyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

604007-86-5 CAPLUS
1H-Pyrrole-2,5-dione, 3-(6-fluoro-2,3-dihydro-7-benzofuranyl)-4-(7-[[(phenylmethyl]amino]methyl]-1-(4-pyridinyl)-1H-indol-3-yl)- (9CI) (CA

604007-87-6 CAPLUS
1H-Pyrrole-2, 5-dione, 3-(6-fluoro-2, 3-dihydro-7-benzofurany1)-4-(7-[(methoxymethoxy)methy1]-1-(4-piperidiny1)-1H-indol-3-y1]- (9CI) (CA INDEX NAME)

604007-88-7 CAPLUS
1H-Pyrrole-2,3-dione, 3-(6-fluoro-2,3-dihydro-7-benzofurany1)-4-[7-(hydroxymethyl)-1-(4-piperidiny1)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

L6 RN CN

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 604007-92-3 CAPLUS | H-Pyrrole-2,5-dione, 3-(5,6-difluoro-7-benzofuranyl)-4-[1-(2-methyl-4-piperidinyl)-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

604007-93-4 CAPLUS
1H-Pyrrole-2,5-dione, 3-(6-fluoro-7-benzofuranyl)-4-[1-(3-methyl-4-piperidinyl)-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 604007-94-5 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(6-fluor-7-benzofurenyl)-4-[1-[(2R,4R)-2-methyl-4plperidinyl]-1H-indol-3-yl}-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

604007-90-1 CAPLUS
Piperidine, 1-[(2S)-2-amino-1-oxopropyl]-4-[3-[4-(7-benzofuranyl)-2,5-dihydro-2,5-dioxo-1H-pyrrol-3-yl]-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

604007-91-2 CAPLUS 1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[1-(1-methylethyl)-5-(4-piperidinylmethoxy)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

• HC1

RN 604007-95-6 CAPLUS
CN 1H-Fyrrole-2,5-dione,
3-(6-fluor-7-benzofuranyl)-4-[1-[(2R,4S)-2-methyl-4piperidinyl]-1H-indol-3-yl]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

• HC1

604007-97-8 CAPLUS
1H-Pytrole-2,5-dlone, 3-(7-benzofuranyl)-4-[5,6-difluoro-1-methyl-7-(3-methyl-1-piperazinyl)-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

RN 604007-98-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-{6-chloro-1-(4-piperidinyl)-1H-indol-3-yl]-4-(6-fluoro-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

RN 604007-99-0 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[5-chloro-1-(4-piperidinyl)-1H-indol-3-yl]-4-(6-fluoro-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

● нсі

RN 604008-02-8 CAPLUS
IM-Pyrrole-2,5-dione, 3-(6-fluoro-7-benzofuranyl)-4-[1-(4-piperidinyl)-5(trifluoromethyl)-1H-indol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 604008-03-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-{5-chloro-1-[(2R,4R)-2-methyl-4-piperidinyl]-1H-indol-3-yl]-4-(6-fluoro-7-benzofuranyl)-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

● HC

RN 604008-00-6 CAPLUS
CN IH-Pyrrole-2,5-dione, 3-(6-fluoro-7-benzofuranyl)-4-[5-methyl-1-(4-piperidinyl)-11-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 604008-01-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(6-fluoro-7-benzofuranyl)-4-(6-methyl-1-(4-piperidinyl)-1H-indol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

HC1

RN 604008-04-0 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[5-chloro-1-{(2R,4S)-2-methyl-4-piperidinyl]-1H-indol-3-yl]-4-(6-fluoro-7-benzofuranyl)-, monohydrochloride, rel- (9CI)
(CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 604008-06-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(2,2-difluoro-1,3-benzodioxol-4-yl)-4-[1-(4-piperidinyl)-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

604008-07-3 CAPLUS | H-Pyrrole-2,5-dione, 3-(1,3-benzodioxol-4-yl)-4-[1-(4-piperidinyl)-1H-indol-3-yl]-, monhydrochloride (9CI) (CA INDEX NAME)

● HCl

604008-08-4 CAPLUS
1H-Pyrrole-2,5-diome, 3-{6-fluoro-2,3-dihydro-7-benzofuranyl}-4-{1-{4-piperidinyl}-1H-indol-3-yl}-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

● HCl

RN 604008-11-9 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(2,3-dihydro-7-benzofuranyl)-4-[1-(4-piperidinyl)-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

604008-12-0 CAPLUS
1H-Pyrrole-2,5-dione, 3-(6-fluoro-2,3-dihydro-7-benzofuranyl)-4-(5-(3-hydroxypropyl)-1-(1-methylethyl)-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

● HC1

604008-09-5 CAPLUS
1H-Pyrrole-2,5-dione, 3-(6-fluoro-7-benzofuranyl)-4-[5-methoxy-1-(4-piperidinyl)-1H-indol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

604008-10-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-[5-chloro-1-(4-piperidinyl)-1H-indol-3-yl]-4-(6-fluoro-2,3-dihydro-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 604008-13-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(5,6-difluoro-2,3-dihydro-7-benzofuranyl)-4-[1-(4-piperidinyl)-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

604008-14-2 CAPLUS
1H-Pyrrole-2,5-dione, 3-{6-fluoro-2,3-dihydro-3-methyl-7-benzofuranyl}-4[1-(4-piperidinyl)-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

### HC1

604008-15-3 CAPLUS
1H-Pyrrole-2,5-dione, 3-[1-{3,3-dimethyl-4-piperidinyl}-1H-indol-3-yl]-4(6-fluoro-2,3-dihydro-7-benzofuranyl}-, monohydrochloride (9CI) (CA INDEX NAME)

#### ● HCl

604008-16-4 CAPLUS
1H-Pyrrole-2,5-dione, 3-(2,3-dihydro-4-methoxy-7-benzofuranyl)-4-[1-(4-piperidinyl)-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

#### ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

# ● HC1

604008-20-0 CAPLUS
1H-Pyrrole-2,5-dione, 3-{1-{3-(dimethylamino)propyl}-1H-indol-3-yl}-4-(5-methoxy-7-benzofuranyl)- (9CI) (CA INDEX NAME)

604008-21-1 CAPLUS
IH-Pyrrole-2, 3-dione, 3-(1-{2-(dimethylamino)ethyl]-1H-indol-3-yl]-4-(5-methoxy-7-benzofuranyl)- (9CI) (CA INDEX NAME)

#### L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

## ● HC1

604008-17-5 CAPLUS
1H-Pyrrole-2, 5-dione, 3-{2,3-dihydro-4-methoxy-7-benzofuranyl}-4-{1-{3,3-dimethyl-4-piperidinyl}-1H-indol-3-yl}-, monohydrochloride (9CI) (CA INDEX NAME)

### ● HCl

RN 604008-19-7 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(6-fluoro-2,3-dihydro-7-benzofuranyl)-4-[7-methyl1-(4-piperidinyl)-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

# L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

MeoN-CHo-CHo

604008-22-2 CAPLUS
1H-Pyrrole-2,5-dione, 3-(5-methoxy-7-benzofuranyl)-4-[1-[2-(4-methyl-1-piperazinyl)ethyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 604008-23-3 CAPLUS CN 1H-Pyrcole-2,5-dione, 3-(7-benzofuranyl)-4-{l1-[3-(diethylamino)propyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

Et2N- (CH2) 3

604008-24-4 CAPLUS 1H-Pyrrole-2,5-dione, 3-(7-benzofurany1)-4-[7-[3-(diethylamino)propy1]-1-methyl-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 604008-25-5 CAPLUS
CN 1H-Pyrcole-2,5-dione,
3-(7-benzofuranyl)-4-[5-[3-(diethylamino)propoxy]-1(1-methylethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

604008-26-6 CAPLUS 1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[1-(1-methylethyl)-5-(3-(1-piperidinyl)propoxyl-1H-indol-3-yl]- (SCI) (CA INDEX NAME)

604008-27-7 CAPLUS
1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[5-[3-(4-hydroxy-1-piperidinyl)propoxy]-1-(1-methylethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

604008-31-3 CAPLUS
1H-Pyrrole-2,5-dione, 3-[5-[4-(diethylamino)butoxy]-1-(1-methylethyl)-1H-indol-3-yl)-4-(5,6-difluoro-7-benzofuranyl)-, monohydrochloride (9CI)

RN CN

604008-32-4 CAPLUS 1H-Pyrrole-2,5-dione, 3-[5-[4-[diethylamino]butoxy]-1-(1-methylethyl)-1H-indol-3-yl]-4-(6-fluoro-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

604008-28-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[5-[4-(diethylamino)butoxy]-1-(1-methylethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

604008-29-9 CAPLUS | Captus | 1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[7-[3-(diethylamino)propyl]-1-(1-methylethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

604008-30-2 CAPLUS
1H-Pyrole-2,5-dione, 3-[5-[4-(diethylamino)butoxy]-1-(1-methylethyl)-1H-indol-3-yl]-4-(5-fluoro-7-benzofuranyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

● RC1

RN 604008-34-6 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(4-[3-(dimethylamino)propoxy]-7-benzofuranyl]-4-(1methyl-1H-indol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

604008-35-7 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-{4-[2-(4-morpholinyl)ethoxy]-7-benzofuranyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 604008-38-0 CAPLUS
CN Piperazine,
1-acetyl-4-{3-{(3-{4-(7-benzofuranyl)-2,5-dihydro-2,5-dioxo-1H-pyrro-13-yl)-1-(1-methylethyl)-1H-indol-5-yl]oxy]propyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

● HC1

604008-39-1 CAPLUS
1H-Pyrrole-2,5-dione, 3-[1-[3-(dimethylamino)propyl]-1H-indol-3-yl]-4-(4-methoxy-7-benzofuranyl)- (9CI) (CA INDEX NAME)

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN INDEX NAME)

● HC1

604008-43-7 CAPLUS
1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[5-[2-(diethylamino)ethoxy]-1-methyl-1H-indol-3-yl]-, monohydrochloride (9CI) (CA IMDEX NAME)

● HC1

604008-44-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[1-methyl-5-[2-(1-piperazinyl)ethoxy]-1H-indol-3-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

604008-40-4 CAPLUS
1H-Pyrrole-2, 5-dione, 3-(7-benzofuranyl)-4-[5-[2-[(cyclopropylmethyl) amino]ethoxy]-1-methyl-1H-indol-3-yl]-,
monohydrochloride (9CI) (CA INDEX NAME)

● HC1

604008-41-5 CAPLUS
1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[5-[2-(ethylamino)ethoxy]-1-methyl-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

604008-42-6 CAPLUS
1H-Pytrole-2,5-dione, 3-(7-benzofuranyl)-4-(1-methyl-5-[2[(phenylmethyl)amino]ethoxy]-1H-indol-3-yll-, monohydrochloride (9CI)

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

●2 HC1

604008-45-9 CAPLUS 1H-Pyrrole-2,5-dione, 3-[5-[3-(diethylamino)propyl}-1-(1-methylethyl)-1H-indol-3-yl]-4-(5-fluoro-7-benzofuranyl)- (9CI) (CA INDEX NAME)

604008-46-0 CAPLUS
1H-Pyrrole-2,5-dione, 3-(5-hydroxy-7-benzofuranyl)-4-[1-[3-(1-pyrrolidinyl)propyl]-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

604008-47-1 CAPLUS
Carbamic acid, [7-[2,5-dihydro-4-(1-methyl-1H-indol-3-yl)-2,5-dioxo-1H-pyrrol-3-yl]-5-benzofuranyl]-, methyl ester (9CI) (CA INDEX NAME)

604008-48-2 CAPLUS
Methanesulfonamide,
{2,5-dihydro-4-(1-methyl-1H-indol-3-yl)-2,5-dioxo-1H-pyrrol-3-yl}-5-benzofuranyl}- (9CI) (CA INDEX NAME)

RN 604008-49-3 CAPLUS
CN Plperidine,
1-(aminoacetyl)-4-[3-[4-(6-fluoro-7-benzofuranyl)-2,5-dihydro2,5-dioxo-1H-pytrol-3-yl]-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

RN 604008-50-6 CAPLUS
CN Piperidine,
1-(2-amino-1-oxopropyl)-4-(3-[4-(6-fluoro-7-benzofuranyl)-2,5-dihydro-2,5-dioxo-1H-pyrrol-3-yl]-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

604008-55-1 CAPLUS lH-Fyrrole-2,5-dione, 3-(4-hydroxy-7-benzofuranyl)-4-(1-(4-hydroxybutyl)-1H-indol-3-yl)- (9C1) (CA INDEX NAME)

RN 604008-56-2 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(4-hydroxy-7-benzofuranyl)-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 604008-57-3 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(5-hydroxy-7-benzofurany1)-4-[1-(4-piperidiny1)-1H-

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 604008-52-8 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(4-hydroxy-7-benzofurany1)-4-[1-(3-hydroxypropy1)-1H-indol-3-y1)- (9CI) (CA INDEX NAME)

HO- (CH2)3

RN 604008-53-9 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(5-hydroxy-7-benzofuranyl)-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

604008-54-0 CAPLUS
1H-Pyrrole-2,5-dione, 3-(4-hydroxy-7-benzofuranyl)-4-(1H-indol-3-yl)-(9CI) (CA INDEX NAME)

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN indol-3-y1]- (9CI) (CA INDEX NAME) (Continued)

RN 604008-58-4 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(1-methyl-1H-indol-3-yl)-4-(5-(4-piperidinyloxy)-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

604008-60-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[1-(4-piperidinyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

604008-61-9 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[5-[(3R)-3-pyrrolidinyloxy]-7-benzofuranyl]-, monohydrochloride (9CI) (CA INDEX

• HC1

RN 604008-62-0 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(5-fluoro-7-benzofuranyl)-4-[1-(3-piperidinyl)-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

RN 604008-63-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(6-fluoro-7-benzofuranyl)-4-[1-(3-piperidinyl)-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 604008-66-4 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(5-hydroxy-7-benzofuranyl)-4-[1-(3-hydroxypropyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 604008-67-5 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[1-(3-endo)-8-azabicyclo(3.2.1)oct-3-y1-1H-indol-3-y1)-4-(7-benzofurany1)-, monohydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

● HC1

604008-64-2 CAPLUS
1H-Pyrrole-2,5-dione, 3-(6-fluoro-7-benzofuranyl)-4-[7-methyl-1-(4-piperidinyl)-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

RN 604008-65-3 CAPLUS CN 1H-Pyrcole-2,5-dione, 3-(4-methoxy-7-benzofuranyl)-4-[1-(4-piperidinyl)-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

604008-68-6 CAPLUS
1H-Pyrrole-2,5-dione, 3-(2-chloro-1-methyl-1H-indol-3-yl)-4-(4-hydroxy-7-benzofuranyl)- (9CI) (CA INDEX NAME)

RN 604008-69-7 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-(1-(4-oxocyclohexyl)-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

604008-70-0 CAPLUS
1H-Pyrrole-2,5-dione, 3-(6-fluoro-7-benzofuranyl)-4-{1-(4-oxocyclohexyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 604008-71-1 CAPLUS
IN-Pyrrole-2,5-dione, 3-{7-benzofuranyl}-4-{4-fluoro-1-{trans-4-{{2-methylpropyl}amino}cyclohexyl}-1H-indol-3-yl}-, monohydrochloride (9CI)
(CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 604008-72-2 CAPLUS
CN lH-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[4-fluoro-1-[cis-4-[(2-methylpropyl)amino]cyclohexyl]-1H-indol-3-yl]-, monohydrochloride (9CI)
(CA INDEX NAME)

Relative stereochemistry.

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 604008-75-5 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(6-fluoro-7-benzofuranyl)-4-[1-[1-(1-methylethyl)-4-piperidinyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 604008-76-6 CAPLUS

IN-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[4-fluoro-1-[cis-4-{(1-methylpropyl)amino)cyclohexyl]-1H-indol-3-yl}-, monohydrochloride (9CI)
(CA INDEX NAME)

Relative stereochemistry.

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

• HC1

RN 604008-73-3 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[1-{cis-4-{1-pyrrolidinyl}cyclohexyl}-1H-indol-3-yl}- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 604008-74-4 CAPLUS
CN IH-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[1-[trans-4-(1-pyrrolidinyl)cyclohexyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 604008-77-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(6-fluoro-7-benzofuranyl)-4-(1-(cis-4-hydroxycyclohexyl)-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 604008-78-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[5-[2-(diethylamino)ethoxy]-7-benzofuranyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

604008-79-9 CAPLUS
1H-Pyrrole-2,5-dione, 3-[4-[2-(diethylamino)ethoxy]-7-benzofuranyl]-4-[1(1-methylethyl)-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 604008-80-2 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[4-[3-(diethylamino)propoxy]-7-benzofuranyl]-4-[1{l-methylethyl}-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (CA INDEX NAME) (Continued)

RN 604008-86-8 CAPLUS
CN 1-Piperidinecarboxamide,
-(13-[4-[6-fluoro-2,3-dihydro-7-benzofurany1)-2,5-dihydro-2,5-dioxo-1H-pyrrol-3-y1]-1H-indol-1-y1]-N,N-dimethyl- [9CI] (CA INDEX NAME)

RN 604008-88-0 CAPLUS
CN 1-Piperiodinecarboxylic acid,
-[3-[4-(6-fluoro-2.3-dihydro-7-benzofuranyl]2.5-dihydro-2.5-dioxo-1H-pyrrol-3-yl]-1H-indol-1-yl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

604008-91-5 CAPLUS

RN 604008-91-5 CAPLUS

Piperidine,

4-{3-{4-(6-fluoro-2,3-dihydro-7-benzofurany1)-2,5-dihydro-2,5-dioxo-1H-pyrro1-3-y1}-1H-indo1-1-y1}-1-{pyrazinylcarbony1}- (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

604008-81-3 CAPLUS 1H-Pyrrole-2,9-dione, 3-(5-amino-7-benzofuranyl)-4-(1-methyl-1H-indol-3-yl)- (SCI) (CA INDEX NAME)

604008-82-4 CAPLUS
Acetamide, N-[7-[2,5-dihydro-4-(1-methyl-lH-indol-3-yl)-2,5-dioxo-lH-pyrrol-3-yl)-5-benzofuranyl]- (9CI) (CA INDEX NAME)

604008-85-7 CAPLUS
Piperidine, 1-{(dimethylamino)acetyl}-4-(3-[4-(6-fluoro-2,3-dihydro-7-benzofuranyl)-2,5-dihydro-2,5-dioxo-1H-pyrrol-3-yl}-1H-indol-1-yl]- (9CI)

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 604008-92-6 CAPLUS
CN Piperidine,
4-[3-[4-(6-fluoro-2,3-dihydro-7-benzofurany1)-2,5-dihydro-2,5-dioxo-1H-pyrrol-3-y1]-1H-indol-1-y1)-1-(2-pyridinylcarbony1)- (9CI) (CA INDEX NAME)

RN 604008-93-7 CAPLUS
CN Piperidine,
4-[3-[4-(6-fluoro-2,3-dihydro-7-benzofuranyl)-2,5-dihydro-2,5-dioxo-lH-pyrrol-3-yl]-lH-indol-1-yl]-1-(3-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 604008-94-8 CAPLUS
CN Piperidine,
4[3-[4-(6-fluoro-2,3-dihydro-7-benzofurany1)-2,5-dihydro-2,5dioxo-1H-pyrrol-3-y1]-1H-indol-1-y1]-1-(5-pyrimidinylcarbony1)- (9CI)

(Continued)

RN 604008-95-9 CAPLUS
CN Piperidine,
4-[3-{4-(6-fluoro-2,3-dihydro-7-benzofuranyl)-2,5-dihydro-2,5-dioxo-lH-pyrrol-3-yl]-lH-indol-1-yl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

604008-96-0 CAPLUS
1H-Pyrrole-2,5-dione, 3-(6-fluoro-2,3-dihydro-7-benzofuranyl)-4-{1-(1-methyl-4-piperidinyl)-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

604010-42-6 CAPLUS
1H-Pyrole-2,5-dione, 3-(6-fluoro-7-benzofurany1)-4-(1-(trans-4-hydroxycylohexy1)-1H-indol-3-y1)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

604010-20-0 CAPLUS
Methanesulfonic acid, trifluoro-, 4-[2,5-dihydro-4-(1-methyl-1H-indol-3-yl)-2,5-dioxo-1H-pyrrol-3-yl]-7-benzofuranyl ester (9CI) (CA INDEX NAME)

RN 604010-21-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(5-methoy-7-benzofuranyl)-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 604010-61-9 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[5-[3-(diethylamino)propoxy]-1-(1-methylethyl)-1Hindol-3-yl]-4-(5-fluoro-7-benzofuranyl)-, monohydrochloride (9CI) (CA
INDEX NAME)

HC1

IT 604010-19-7 604010-20-0 604010-21-1
604010-22-2 504010-26-6 604010-27-7
604010-28-8 604010-23-9 604010-30-2
604010-31-3 604010-32-4 604010-33-5
604010-34-6 604010-63-1
RL: RCT (Reactant); RRCT (Reactant or reagent)
(preparation of 3-(indol-3-yl) 4-heteroaryl substituted
pyrrole-2,5-diones
as GSK-3β inhibitors)
RN 604010-19-7 CAPLUS
CM Methanesulfonic acid, trifluoro-, 7-{2,5-dihydro-4-(1-methyl-1H-indol-3-yl)-2,5-dioxo-1H-pyrrol-3-yl)-4-henzofuranyl ester (9CI) (CA INDEX NAME)

ANSMER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN 604010-22-2 CAPLUS 1H-Pyrrole-2,5-dione, 5-hydroxy-7-benzofuranyl)-1-methyl-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

604010-26-6 CAPLUS
1H-Pyrrole-2,5-dione, 3-(2,3-dihydro-5-methoxy-7-benzofuranyl)-4-(1-(3-hydroxypropyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 604010-27-7 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(6-flucor-7-benzofuranyl)-4-[1-(4-piperidinyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 604010-28-8 CAPLUS
CN H-Pyrrole-2,5-dione,
3-[1-(3-hydroxypropyl)-lH-indol-3-yl]-4-(4-methoxy-7-benzofuranyl)- (9CI) (CA INDEX NAME)

604010-29-9 CAPLUS IH-Pyrrole-2,5-dione, 5-methoxy-7-benzofuranyl)-4-[1-(4-piperidinyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 604010-30-2 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(1-methyl-1H-indol-3-yl)-4-[5-[[1-(phenylmethyl)-4-piperidinyl]oxyl-7-benzofuranyl]- (9CI) (CA INDEX NAME)

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

RN 604010-34-6 CAPLUS
CN 1-Piperidinecarboxylic acid,
4-{3-{4-{6-fluoro-2,3-dihydro-7-benzofuranyl}2,5-dihydro-2,5-dioxo-1H-pyrrol-3-yl}-1H-indol-1-yl}-, 1,1-dimethylethyl
ester (9CI) (CA INDEX NAME)

604010-63-1 CAPLUS
1H-Pyrcole-2,5-dione, 3-(7-benzofuranyl)-4-(1-(4-piperidinyl)-1H-indol-3-yll-, monohydrochloride (9CI) (CA INDEX NAME)

604009-39-4P 604009-41-8P 604009-42-9P 604009-43-0P 604009-44-1P 604009-45-2P 604009-46-3P 604009-47-4P 604009-48-5P

RN 604010-31-3 CAPLUS
CN 8-Arabicyclo[3.2.1]octane-8-carboxylic acid,
3-{3-{4-(7-benzofuranyl)-2,5dihydro-2,5-dioxo-lH-pyrrol-3-yl}-1H-indol-1-yl}-, ethyl ester, (3-endo)(9CI) {CA INDEX NAME}

604010-32-4 CAPLUS
1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[4-fluoro-1-(4-oxocyclohexyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

604010-33-5 CAPLUS 1H-Pyrrole-2,5-dione, 3-(6-fluoro-2,3-dihydro-7-benzofuranyl)-4-(1-(4-piperidinyl)-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
604009-49-69 604009-50-9P 604009-80-59
604009-81-6P 604009-82-7P 604009-83-8P
604009-81-9P 604009-85-0P 604009-86-1P
604009-97-2P 504009-88-3P 604009-99-4P
604009-90-7P 604009-91-8P 604009-92-9P
604009-93-0P 604009-91-1P 604009-92-2P
604009-36-3P 604009-91-1P 604009-93-2P
604009-96-3P 604009-91-4P
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 3-(indol-3-y1) 4-heteroaryl substituted pyrrole-2,5-diones as GSK-3B inhibitors)
604009-39-4 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1-(4-bromobutyl)-1H-indol-3-y1)-4-(4-methoxy-7-benzofuranyl)- (9CI) (CA INDEX NAME)

604009-41-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[7-(3-bromopropyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 604009-42-9 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[7-(3-bromopropyl)-1-methyl-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

- RN 604009-43-0 CAPLUS
  CN 1H-Pyrrole-2,5-dione, 3-[1-(2-bromoethyl)-1H-indol-3-yl]-4-(4-methoxy-7-benzofuranyl)- (9CI) (CA INDEX NAME)
- RN 604009-44-1 CAPLUS
  CN 1H-Pyrrole-2,5-dione, 3-(7-benzofurany1)-4-(5-(3-bromopropoxy)-1-(1-methyl)-1H-indol-3-yl]- (9Cl) (CA INDEX NAME)
- 0- (CH<sub>2</sub>)<sub>3</sub>-Br
- RN 604009-45-2 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[7-(3-bromopropyl)-1-(1-methylethyl)-1H-indol-3-yl]- (9Cl) (CA INDEX NAME)

- L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
- Br- (CH<sub>2</sub>)<sub>4</sub>-0
- RN 604009-49-6 CAPLUS
  CN 1H-Pyrrole-2,5-dione, 3-[5-(4-bromobutoxy)-1-(1-methylethyl)-1H-indol-3-yl]-4-(6-fluoro-7-benzofuranyl)- (9CI) (CA INDEX NAME)
- 0 CH2) 4-BE
  - R
- RN 604009-50-9 CAPLUS CN 1H-Pyrcole-2,5-dione, 3-(7-benzofurany)|-4-(5-(2-bromoethoxy)-1-methyl-1Hindol-3-yl]- (9CI) (CA INDEX NAME)
- 0-CH<sub>2</sub>-CH<sub>2</sub>Br
- RN 604009-80-5 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[5-(phenylmethoxy)-7-benzofuranyl]-4-{1-[3-(1-

- L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
- i-Pr (CH2)3-B
- RN 604009-46-3 CAPLUS
  CN 1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[5-(4-bromobutoxy)-1-(1-methylethyl)-1H-indol-3-yl)- (9CI) (CA INDEX NAME)
- 0- (CH<sub>2</sub>)<sub>4</sub>-Br
- RN 604009-47-4 CAPLUS
  CN 1H-Pyrrole-2,5-dione, 3-[5-(4-bromobutoxy)-1-(1-methylethyl)-1H-indol-3-yl]-4-(5-fluoro-7-benzofuranyl)- (9CI) (CA INDEX NAME)
- 0-(CH<sub>2</sub>)<sub>4</sub>-Br
- RN 604009-48-5 CAPLUS
  CN 1H-Pyrcole-2,5-dione, 3-{5-(4-bromobutoxy)-1-(1-methylethyl)-1H-indol-3-yl]-4-(5,6-difluoro-7-benzofuranyl)- (9CI) (CA INDEX NAME)

- L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) pyrrolidinyl)propyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME)
- Ph-CH<sub>2</sub>-0 N-(CH<sub>2</sub>)<sub>3</sub>-N
- RN 604009-81-6 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(7-benzofuranyl)-4-[1-(1,4-dioxaspiro[4.5]dec-8-yl)-4-fluoro-1H-indol-3-yl]- (9CI) (CA INDEX NAME)
- RN 604009-82-7 CAPLUS
  CN 1H-Pyrrole-2,5-dione, 3-[1-(3-hydroxypropyl)-1H-indol-3-yl]-4-[5-(phenylmethoxy)-7-benzofuranyl)- (9CI) (CA INDEX NAME)
- R (CH2) 3 OH
- O-CH2-PI

RN 604009-84-9 CAPLUS

Methanesulfonic acid, trifluoro-, 7-[2,5-dihydro-1-methyl-4-(1-methyl-1H-indol-3-yl)-2,5-dioxo-1H-pyrrol-3-yl)-4-benzofuranyl ester (9CI) (CA INDEX NAME)

Me N R PAGE 1-A

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued

RN 604009-87-2 CAPLUS
CN IH-Pyrrole-2,5-dione, 3-[4-[(diphenylmethylene)amino]-7-benzofuranyl]-1methyl-4-[1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 604009-88-3 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[5-(2-hydroxyethoxy)-7-benzofuranyl]-1-methyl-4-(1methyl-1H-indol-3-yl)- (9CI) [CA INDEX NAME]

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A

RN 604009-85-0 CAPLUS
CN Methanesulfonic acid, trifluoro-, 4-[2,5-dihydro-l-methyl-4-(1-methyl-lHindol-3-yl)-2,5-dioxo-lH-pyrrol-3-yl]-7-benzofuranyl ester (9CI) (CA
INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 604009-86-1 CAPLUS CN 1H-Fyrrole-2,5-dione, 3-(5-methoxy-7-benzofurany))-1-methyl-4-(1-methyl-1Hindol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 604009-89-4 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-{4-(2-hydroxyethoxy)-7-benzofuranyl}-1-methyl-4-{1(1-methylethyl)-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 604009-90-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-{5-(2-bromoethoxy)-7-benzofuranyl}-1-methyl-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 604009-91-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[4-(2-bromoethoxy)-7-benzofuranyl]-1-methyl-4-[1-(1-methylethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 604009-92-9 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[4-(3-bromopropoxy)-7-benzofuranyl]-1-methyl-4-[1[1-methylethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

604009-93-0 CAPLUS
1H-Pyrrole-2,5-dione, 3-[5-[2-[diethylamino]ethoxy]-7-benzofuranyl]-1-methyl-4-[1-methyl-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

604009-96-3 CAPLUS

1H-Pytrole-2,5-dlone, 3-[4-[3-(diethylamino)propoxy]-7-benzofuranyl]-1-methyl-4-[1-(1-methylethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 604009-97-4 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[1-{3-[(methylsulfonyl)oxy]propyl]-1H-indol-3-yl]4-[5-(phenylmethoxy)-7-benzofuranyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

604009-94-1 CAPLUS
1H-Pyrrole-2,5-dione, 1-methyl-3-(1-methyl-1H-indol-3-yl)-4-[5-[2-(4-morpholinyl)ethoxy]-7-benzofuranyl]- (9CI) (CA INDEX NAME) RN CN

604009-95-2 CAPLUS
1H-Pyrrole-2,5-dione, 3-[4-[2-(diethylamino)ethoxy]-7-benzofuranyl]-1-methyl-4-[1-(1-methylethyl)-1H-indol-3-yl}- (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L6 ANSMER 34 OF 57
ACCESSION NUMBER:
DOCUMENT NUMBER:
140:157240
Glycogen synthase kinase-3 inhibitors protect central neurons against excitotoxicity
Facci, Laurar Stevens, David A.; Skaper, Stephen D.
Neurophysiology and Cell Sciences, Neurology and GI Centre of Excellence for Drug Discovery, GlaxoSmithKline Research and Development Ltd., Zasex, CM19 SSW, UK
NeuroReport (2003), 14(11), 1467-1470
CODEN: NERREZ; ISSN: 0959-4955
Lippincott Williams & Wilkins
Journal

DOCUMENT TYPE: LANGUAGE:

ISHER: Dipplicate Titaling and Titaling and

regulatory
target of the PI-3-K/PKB survival pathway. SB-216763 and SB-415286,
selective small mol. inhibitors of GSK-3, protected cultured rat
cerebellar granule neurons and hippocampal neurons against excitotoxicity
mediated by NMDA and non-NMDA receptor agonists. Treatment with
SB-216763

16763 and SB-415286 was optimal when initiated 6-7 days before excitotoxin exposure. As GSK-3 can modulate transcriptional events, these results mav

provide insight into the identification of new neuroprotective targets. 280744-09-4, SB-216763
RL: PRC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (USes) (glycogen synthase kinase-3 inhibitors protect cerebellar and hippocampal neurons against excitotoxicity) 280744-09-4 CAPLUS
HI-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME) IT

REFERENCE COUNT: THIS

THERE ARE 25 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 35 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

25

REFERENCE COUNT: THIS

52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR

RECORD, ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 35 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2003:644688 CAPLUS DOCUMENT NUMBER: 139:335566

139:335566
Inhibition of glycogen synthase kinase 3ß in sensory neurons in culture alters filopodia dynamics and microtubule distribution in growth cones Owen, Rebecca; Gordon-Weeks, Phillip R. The KRC Centre for Developmental Neurobiology, King's College London, London, SEI 1UL, UK Molecular and Cellular Neuroscience (2003), 23(4), 626-637 TITLE:

AUTHOR(S): CORPORATE SOURCE:

SOURCE:

CODEN: MOCNED: ISSN: 1044-7431 Elsevier Science

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

MAPIB is a major microtubule-associated phospho-protein in growing axons

growth cones. Recent findings suggest that glycogen synthase kinase 3ß (GSK-3ß) phosphorylation of MAPIB may act as a mol. switch to regulate microtubule stability during axonogenesis. The effects of lithium, an inhibitor of GSK-3ß, on neurons in culture, are consistent with this suggestion. However, lithium is not a specific inhibitor of GSK-3ß. In the expts. reported here we have compared the effects of lithium with SB-216763, a new, potent and specific inhibitor of GSK-3 that has a different mechanism of action from lithium. We examined the effects of inhibition of GSK-3ß on axonogenesis, microtubule distribution, and growth cone behavior in cultured embryonic chick primary sensory neurons. Both compds. reduced axon elongation

and increased growth cone size. In addition, both compds. slowed growth

filopodia dynamics. These behavioral changes correlated with a decrease in MAPIB phosphorylation and an increase in the number of stable

filopodia dynamics. These behavioral changes correlated with a decrease in MAPIB phosphorylation and an increase in the number of stable octubules in growth cones. These results suggest that a major role of NAPIB in growing axons and growth cones is to regulate microtubule and actin filament stability. Furthermore, this function is regulated by phosphorylation of NAPIB by GSK-3B. 280744-09-4, SB-216763
RL: BSU (Biological study, unclassified): BUU (Biological use, unclassified): BIOL (Biological study): USES (Uses) (GSK-3B inhibitor; inhibition of glycogen synthase kinase 3B in cultured embryonic chick sensory neurons alters filopodia dynamics and microtubule distribution in growth cones) 280744-09-4 CAPLUS 1H-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

L6 ANSMER 36 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:551367 CAPLUS
DOCUMENT NUMBER: 139:106486
Use of a GSK-3ß inhibitor in the manufacture of a medicament for increasing bone formation
DAY-Dollini, Patricia Ann; Gong, Leyi
FATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: CODEN: PIXXD2

POCIMENT TYPE: PRINT

DOCUMENT TYPE: LANGUAGE:

English 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.																	
	WO 2003057202									WO 2	003-	EP49			2	0030	107
WO	2003	0572	02		C1		2003	1211									
	w:	AE.	AG.	AL.	AM.	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BŹ,	CA,	CH,	CN,
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										WO 2	003-	EP49		,	w 2	0030	107

OTHER SOURCE(S):

R SOURCE(S): MARPAT 139:106486

This invention relates to the use of inhibitors of glycogen synthase kinase-3\beta (GSK-3\beta) to promote bone formation and treat bone metabolic diseases, such as osteoporosis. For example,

3-(1-methyl-5-chloroindol-3-yl)-4-(3-[2,3-dihydroxypropylamino)phenyl]-lH-pyrrole-2,5-dione was synthesized. GSK-3β inhibitors were formulated in various dosage forms, e.g., tablets, capsules, oral suspensions, and suppositories 96090-38-93 98090-6-3P 38090-98-39 38090-98-39 38090-98-39 38090-98-39 38090-12-69 390901-12-179 428536-61-99 581066-07-79 38090-11-79 428536-61-99 581066-07-79 581066-089 S81066-09-99 581066-07-79 Klorokovich Selection (Characteristics) 13701 (Schorokovich Selection) (Characteristics) 13701 (Schorokovich Selection) (Schorokovich Selection

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation and oral compns. of glycogen synthase kinase-3β

inhibitors
for increasing bone formation)
RN 396090-78-1 CAPIUS
CN 1H-Pyrrole-2,5-dione,
3-[3-[(2R]-2,3-dihydroxypropoxy]phenyl]-4-(1-methyl-

ANSWER 36 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN 1H-indol-3-y1)- (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

396090-83-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-(3-[2-(4-morpholinyl)ethoxy]phenyl)- (9CI) (CA INDEX NAME)

RN 396090-96-3 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-{3-{3-aminopropoxy}pheny}-4-{1-methy}-1H-indol-3-y1}-, monohydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 36 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

396091-12-6 CAPLUS
1H-Pyrrole-2,5-dione, 3-(5-chloro-1-methyl-1H-indol-3-yl)-4-[3-[(2,3-dihydroxypropyl)amino]phenyl]- (9CI) (CA INDEX NAME)

RN 396091-16-0 CAPLUS CN 1H-Pyrcole-2,5-dione, 3-[3-[(2,3-dihydroxypropyl)amino]phenyl]-4-(5-fluoro-1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 36 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

HC1

RN 396090-98-5 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[3-[42,3-dihydroxypropy1]amino]pheny1]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 396091-05-7 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-[3-[(1,1-dimethylethyl)dimethylsilyl)oxy]prop
yl]amino]phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

ANSWER 36 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 396091-63-7 CAPLUS HR-Pyrrole-2,5-dione, 3-{2-(2,3-dihydroxypropoxy}phenyl)-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 396091-71-7 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[3-(3-aminopropoxy)phenyl]-4-(5-fluoro-1-methyl-1H-indol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

425636-61-9 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1H-indol-3-y1)-4-(3-(2-(4-morpholinyl)ethoxy)phenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 36 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 561066-07-7 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-[[2-[([1,1-dimethylethyl)diphenylsilyl]oxy]ethy
1|amino]phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

561066-08-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-(3-(2-aminoethoxy)phenyl]-4-(1-methyl-1H-indol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

н2N-сн2-сн2-с

● HC1

561066-09-9 CAPLUS 1H-Pyrrole-2, 3-dione, 3-[4-[[(4R)-2,2-dimethyl-1,3-dioxolan-4-yllmethoxy]phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 36 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

396091-14-8 CAPLUS 1H-Pyrrole-2,5-dione, 3-(5-chloro-1-methyl-1H-indol-3-yl)-4-(3-nitrophenyl)- (9C1) (CA INDEX NAME)

396091-15-9 CAPLUS
1H-Pyrrole-7,5-dione, 3-(3-aminophenyl)-4-(5-chloro-1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

396091-19-3 CAPLUS
1H-Pyrrole-2,5-dione, 3-(5-fluoro-1-methyl-1H-indol-3-yl)-4-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 36 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 561066-10-2 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-(3-aminopropoxy)phenyl)-4-(5-fluoro-1-methyl-1Hindol-3-yl) (9CI) (CA INDEX NAME)

IT 125314-13-8P 396091-14-8P 396091-15-9P
396091-19-3P 396091-20-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oral compns. of glycogen synthase kinase-3β inhibitors
for increasing bone formation)
RN 125314-13-8 CAPLUS
CN 1H-Pyrrole-2, 5-dione, 3-(3-aminophenyl)-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 36 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

396091-20-6 CAPLUS
1H-Pyrrole-2,5-dione, 3-(3-aminophenyl)-4-(5-fluoro-1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 37 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:485870 CAPLUS
DOCUMENT NUMBER: 139:254721
TITLE: Novel, potent and selective cy

Novel, potent and selective cyclin D1/CDK4 inhibitors:

AUTHOR (S):

indolo[6,7-a]pyrrolo[3,4-c]carbazoles
Engler, Thomas A.: Purness, Kelly; Malhotra, Sushant;
Sanchez-Hartinez, Concha: Shih, Chuan; Xie, Walter;
Zhu, Guoxin; Zhou, Xun; Conner, Scott; Faul, Hargaret
M.; Sullivan, Kevin A.; Kolis, Stanley P.; Brooks,
Haroid B.; Patel, Bharvin; Schultz, Richard M.;
DeRähn, Tammy B.; Kirmani, Kashif; Spencer, Charles
D.; Watkins, Scott A.; Considine, Eileen L.; Dempsey,
Jack A.; Ogg, Catherine A.; Stamm, Nancy B.;

Bryan D.; Campbell, Robert M.; Vasudevan, Vasu;

Anderson,

Anderson,

Bryan D.; Campbell, Robert M.; Vasudevan, Vasu;

Lytle,

Michelle L.

CORPORATS SOURCE:

Lilly Research Laboratories, Eli Lilly and Company, Indianapolls, IN, 46285, USA

SOURCE:

Bioorganic 4 Medicinal Chemistry Letters (2003),
13(14), 2261-2267

COODEN EMCLES; ISSN: 0960-894X

PUBLISHER:

DOCUMENT TYPE:

LANGUAGE:

CASREACT 139:254721

AB The synthesis and CDN inhibitory properties of a series of indolo[6,7-a]pyrrolo[3,4-c]carbazoles is reported. In addition to their potent CDN activity, the compds. display antiproliferative activity against two human cancer cell lines. These inhibitors also effect strong GI arrest in these cell lines and inhibit Rb phosphorylation at Ser780 consistent with inhibition of cyclin DI/CDK4.

11 408354-39-27 408354-59-67 408354-61-09
408354-63-27 408354-63-99-47 408354-61-79
408354-63-27 408354-61-99-47 408355-01-17
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408

ANSWER 37 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN 408354-59-6 CAPLUS IH-Pyrrole-2,5-dione,6-bromo-IH-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)-(9CI) (CA INDEX NAME) (Continued)

RN 408354-61-0 CAPLUS CN 1H-Pyrcole-2,5-dione, 3-(1-methyl-1H-indol-7-yl)-4-[6-(trifluoromethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

408354-63-2 CAPLUS IN-Pytrole-7, 3-dione, 3-(4-fluoro-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)- (5CI) (CA INDEX NAME)

ANSWER 37 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

408354-44-9 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)

(Continued)

408354-52-9 CAPLUS |H-Pyrrole-2,5-dione, 3-(1-ethyl-1H-indol-7-yl)-4-(1H-indol-3-yl)- (9CI) |CA INDEX NAME)

408354-57-4 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(1H-indol-7-yl)- (9CI) (CA INDEX NAME)

ANSWER 37 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 408354-64-3 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(7-bromo-1H-indol-3-y1)-4-(1-methyl-1H-indol-7-y1)-(9CI) (CA INDEX NAME)

RN 408354-68-7 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[1-(3-hydroxypropy)]-1H-indol-3-yl]-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)

RN 408354-72-3 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1-(3-hydroxypropy1)-6-methoxy-1H-indol-3-y1]-4-(1methyl-1H-indol-7-y1)- (9CI) (CA INDEX NAME)

RN 408354-75-6 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(4-methoxy-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)-(9C1) (CA INDEX NAME)

RN 408354-77-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(5-methoxy-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)

(Continued)

RN 408354-79-0 CAPLUS
CN 1H-Pytrole-2,5-dione, 3-(6-fluoro-lH-indol-3-yl)-4-(1-methyl-lH-indol-7-yl)- (9CI) (CA INDEX NAME)

RN 408354-81-4 CAPLUS
CN 1H-Indol-6-carbonitrile, 3-{2,5-dihydro-4-(1-methyl-1H-indol-7-yl)-2,5-dioxo-1R-pyrrol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 37 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 408354-84-7 CAPLUS

(N H-Pyrrole-2,5-dione, 3-(7-methoxy-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)-(9C1) (CA INDEX NAME)

RN 408354-88-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(4-bromo-1H-indol-3-y1)-4-(1-methyl-1H-indol-7-y1)-(9CI) (CA INDEX NAME) L6 ANSWER 37 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 408354-99-4 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[1-(3-hydroxypropyl)-1H-indol-3-yl)-4-(1H-indol-7yl)- (9CI) (CA INDEX NAME)

RN 408355-01-1 CAPLUS CN 1H-Pytrole-2,5-dione, 3-(1-methyl-1H-indol-7-yl)-4-[6-(phenylmethoxy)-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 408355-35-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1,5-dimethyl-1H-indol-7-yl)-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

$$\bigcap_{N \in \mathcal{N}} \mathbb{R}$$

RN 408355-43-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[1-(2-hydroxyethyl)-6-methoxy-1H-indol-3-yl]-4-(1methyl-1H-indol-7-yl)- (SCI) (CA INDEX NAME)

L6 ANSWER 37 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 408355-83-9 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[7-(2-hydroxyethyl)-1H-indol-3-yl]-4-(1-methyl-1Hindol-7-yl)- (9CI) (CA INDEX NAME)

но-сн2-сн2

RN 408356-07-0 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-{7-{3-hydroxypropy|}-1H-indol-3-y1|-4-(1-methy1-1H-indol-7-y1)- {9CI} (CA INDEX NAME) L6 ANSWER 37 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 408355-45-3 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[1-(2-bromoethyl)-6-methoxy-1H-indol-3-yl]-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)

RN 408355-60-2 CAPLUS CN 1H-Pytrole-2,5-dione, 3-[1-(3-bromoptopy1)-6-methoxy-1H-indol-3-y1]-4-(1-methyl-1H-indol-7-y1)- (9CI) (CA INDEX NAME)

L6 ANSWER 37 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 408356-31-0 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-7-yl)-4-(6-methyl-1H-indol-3yl)- (9CT) (CA INDEX NAME)

RN 408356-37-6 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-7-yl)-4-[5-(trifluoromethyl)-1Hindol-3-yl]- (9CI) (CA INDEX NAME)

RN 408356-43-4 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(6-ethyl-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)-(9CI) (CA INDEX NAME)

RN 408356-45-6 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(5-bromo-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)-(9C1) [CA INDEX NAME)

RN 408356-48-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(6-ethoxy-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 37 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

HO-CH<sub>2</sub>

RN 601524-88-3 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(5-fluoro-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)- (9cI) (CA INDEX NAME)

RN 601524-89-4 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(6-hydroxy-1H-indol-3-yl)-4-(1H-indol-7-yl)-(9CI) (CA INDEX NAME)

RN 601524-90-7 CAPLUS
CN HH-Pyrrola-2,5-dione, 3-(6-hydroxy-1H-indol-3-y1)-4-(1-methyl-1H-indol-7-y1)-(5CI) (6A INDEX NAME)

L6 ANSWER 37 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued

RN 408356-62-7 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-7-yl)-4-(6-methoxy-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

RN 408358-49-6 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-7-yl)-4-(5-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

RN 601524-87-2 CAPLUS CN H-Fyrrole-2,5-dione, 3-[4-(hydroxymethyi)-lH-indol-3-yl]-4-(1-methyl-lH-indol-7-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 37 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 601524-91-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-7-yl)-4-[6-(phenylmethoxy)-1H-indol-3-yl]-(9CI) (CA INDEX NAME)

RN 601524-92-9 CAPLUS CN 1H-Pyrcole-2,5-dione, 3-(1-ethyl-1H-indol-7-yl)-4-[1-(3-hydroxypropyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

N—E

RN 601524-93-0 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1,5-dimethyl-1H-indol-7-yl)-4-[1-(4-hydroxybutyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME) ANSWER 37 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

THERE ARE 59 CITED REFERENCES AVAILABLE FOR REFERENCE COUNT:

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 38 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) но- (сн2) з

280744-11-8 CAPLUS
1H-Pyrrole-2, 5-diome, 3-[1-(3-aminopropyl)-1H-indol-3-yl)-4-(2-chlorophenyl)- (9CI) (CA INDEX NAME)

H2N- (CH2) 3

REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE 2

FORMAT

138:281150
Inhibitors of glycogen synthase kinase-3 for treating glaucoma
Hellberg, Mark R.; Clark, Abbot F.; Pang, Iok-Hou;
Hellberg, Peggy Elizabeth; McNatt, Loretta Graves;
Wang, Wan-Heng
Alcon, Inc., Switz.
PCT Int. Appl.. 35 pp.
CODEN: PIXXD2
Patent
English
1 INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. DATE APPLICATION NO. DATE KIND

ANSWER 38 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN SSION NUMBER: 2003:261970 CAPLUS

138:281150

ACCESSION

TITLE:

DOCUMENT NUMBER:

JP 2003-530847 A3 20020923

WO 2002-US30059 W 20020923

OTHER SOURCE(S): MARPAT 138:281150

The use of inhibitors of glycogen synthase kinase-3 (GSK-3) useful for treating glaucoma is disclosed. The inhibitors are selected from the group consisting of indirubine analogs, 2,4-diaminothiazole analogs, 1,2,4-triazolecarboxylic acid derivs. or analogs, hymenialdesine or derivs. or analogs, and paulione analogs. Preferred inhibitors comprise 3-(1-[3-aminopropyl]-3-indolyl)-4-(2-chlorophenyl)pyrrole-2,5-dione and 3-(1-[3-hydroxypropyl]-3-indolyl)-4-(2-chlorophenyl)pyrrole-2,5-dione. The compds. are formulated in pharmaceutical compns. suitable for topical delivery to the eye.

IT 280744-10-7 280744-11-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

RI: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (inhibitors of glycogen synthase kinase-3 for treating glaucoma) 280744-10-7 CAPLUS IH-Pyrrole-2,5-dione,

3-(2-chlorophenyl)-4-(1-(3-hydroxypropyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 39 OF 57
ACCESSION NUMBER: 2003:236058 CAPLUS
DOCUMENT NUMBER: 139:127404

TITLE: 33:127404

Synthesis of quinolinyl/isoquinolinyl[a]pyrrolo
33,4-c] carbezoles as cyclin Dl/CDK4 inhibitors
AUTHOR(S): 2hu, Guoxin; Conner, Scott; Zhou, Xun; Shih, Chuan;
Brooks, Harold B.; Considine, Elleen; Dempsey, Jack
A.; Ogg, Cathy; Patel, Bharvin; Schultz, Richard M.;
Spencer, Charles D.; Teicher, Beverly; Watkins, Scott
A.

Spencer, Charles D., Telcher, Beverly, Watkins, Scott

A. Division of Eli Lilly and Company, Lilly Research
Laboratories, Lilly Corporate Center, Indianapolis,
IN, 46285, USA

Bloorganic & Medicinal Chemistry Letters (2003),
13(7), 1231-1235

CODEN: BMCLES; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:127404

AB A novel series of pyrrolo[3,4-c] carbazoles fused with a
quinclinyl/isoquinolinyl molety were synthesized and their DI/CDK4
inhibitory and antiproliferative activity were evaluated. Compound
14M-isoquinolinyl[6,5-a]-pyrrolo[3,4-c]carbazole-7,9-dione was found to
be

a highly potent D1/CDK4 inhibitor with an IC50 of 69 nM. One compd.also inhibited tumor cell growth, arrested tumor cells in G1 phase and inhibited pRb phosphorylation.
569337-80-09 569337-82-2P 569337-84-4P
RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of quinolinylisoquinolinylpyrrolocarbazoles as cyclin D1-CDK4 inhibitors)
569337-80-0 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(5-quinolinyl)- (9CI) (CA

569337-82-2 CAPLUS 1H-Pyrrole-2,5-dione, 3-{1H-indol-3-yl}-4-(5-isoquinolinyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 39 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 569337-84-4 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-{1H-indol-3-yl}-4-(8-quinolinyl)- (9CI) (CA INDEX

REFERENCE COUNT:

THERE ARE 50 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 40 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 40 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2002:615439 CAPLUS DOCUMENT NUMBER: 137:150255

137:150255
Nerve regeneration-associated treatment of neuronal injury conditions with glycogen synthase kinase 3 (GSK-3) inhibitors Doherty, Patrick; Eickholt, Britta Johanna; Skaper, Stephen Drake; Walsh, Frank Sinclair Smithkline Beecham P.L.C., UK PCT Int. Appl., 22 pp. CODEN: PIXKD2
Patent TITLE:

INVENTOR (S):

PATENT ASSIGNEE (S):

English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT	KIN	D	DATE			APPL	ICAT	DATE								
	WO 2002062387					A1 20020815				WO 2	002-		20020207				
		AE,															
							DK,										
							IN,										
							MD,										
							SE,										
							ZA,										
TM		•															
	RW	: GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
		CY,	DE,	DK.	ES,	FI,	FR,	GB,	GR,	IE.	IT,	LU,	HС,	NL,	PT,	SE,	TR,
		BF,	BJ,	CF.	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
DDTC	DITY AD									GR 2							

AB A method of treatment for the promotion of nerve regeneration, including axonal regrowth, axonal outgrowth, and prevention of growth cone

axonal regrowth, axonal varyants, and collapse, in cases of acute neuronal injury, such as crush injury, acute stroke, ischemia, neurotraumatic insult, spinal cord injury and neurotrauma in humans or non-human mammals is provided. The method comprises the administration of an effective, nontoxic and pharmaceutically acceptable amount of a GSK-3 inhibitor or a pharmaceutically acceptable derivative

thereof.

IT 280744-09-4, SB 216763

RL: PAC (Pharmacological activity): THU (Therapeutic use): BIOL (Biological study): USES (Uses) (GSK-3 inhibitors for nerve regeneration-associated treatment of neuronal industriations)

ronal injury conditions) 280744-09-4 CAPLUS 1H-Pyrrole-2,5-dione, 3-{2,4-dichlorophenyl}-4-{1-methyl-1H-indol-3-yl}-(9CI) (CA INDEX NAME)

L6 ANSWER 41 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2002:368469 CAPLUS DOCUMENT NUMBER: 136:386017 TITLE: Preparation of indolylmaleimide protein Preparation of indolylmaleimide derivatives as

DOCUMENT NUMBER:	130:300017	
TITLE:	Preparation of indolylmaleimide deriva	tives as
protein		
	kinase c inhibitors	
INVENTOR (S):	Albert, Rainer; Cooke, Nigel Graham; C	ottens,
Sylvain;		
•	Ehrhardt, Claus; Evenou, Jean-Pierre;	Sedrani,
	Richard; Von Matt, Peter; Wagner, Juer	gen; Zenke,
	Gerhard	•
PATENT ASSIGNEE(S):	Novartis AG., Switz.; Novartis-Erfin	dungen
	Verwaltungsgesellschaft m.b.H.	-
SOURCE:	PCT Int. Appl., 50 pp.	
	CODEN: PIXXD2	
DOCUMENT TYPE:	Patent	
	English	
FAMILY ACC. NUM. COUNT:		
PATENT INFORMATION:	-	
PATENT NO.	KIND DATE APPLICATION NO.	DATE
WO 2002038561	A1 20020516 WO 2001-EP12785	20011105
WO 2002038561	C1 20031218	
W: AE, AG, AL,	AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ	, CA, CH, CN,
	CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB	
	IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC	
	MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO	
SI, SK, TJ,	TM. TR. TT. UA. US. UZ. VN. YU. ZA. ZW	
RW: AM. AZ. BY.	KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY	, DE, DK, ES,
FI. FR. GB.	GR. IE. IT. LU. MC. NL. PT. SE. TR	
CA 2428133	AA 20020516 CA 2001-2428133	20011105
AU 2002021810	A5 20020521 AU 2002-21810	20011105
US 2003069424	A1 20030410 US 2001-7368	20011105
US 6645970	B2 20031111	
EP 1337527	A5 20020521 AU 2002-21810 A1 20030410 US 2001-7368 B2 20031111 A1 20030827 EP 2001-993604	20011105
R: AT. BE. CH.	DE, DK, ES, FR, GB, GR, IT, LI, LU, NL	. SE. MC. PT.
IE. SI. LT.	LV, FI, RO, MK, CY, AL, TR	
BR 2001015193	A 20040203 BR 2001-15193	20011105
JP 2004513168	T2 20040430 JP 2002-541095	20011105
NZ 525656	A 20041224 NZ 2001-525656	20011105
ZA 2003003426	A 20040422 ZA 2003-3426	20030505
NO 2003002034	A 20030704 NO 2003-2034	20030506
US 2004053949	A1 20040318 US 2003-660442	20030911
PRIORITY APPLN. INFO.:	LV, FI, RO, MK, CY, AL, TR A 20040203 BR 2001-15193 T2 20040430 JP 2002-541095 A 20040224 NZ 2001-525556 A 20040422 ZA 2003-3426 A 20030704 NO 2003-2034 A1 20040318 US 2003-66442 US 2000-246400P	P 20001107
	US 2001-283705P	P 20010413
	US 2001-7368	A1 20011105
	WO 2001-EP12785	W 20011105

OTHER SOURCE(S): MARPAT 136:386017

Title compds. I (Ra = H, alkyl; Rb = H, alkyl; R = (un)substituted Ph, naphthyl, quinazolinyl, pyrimidinyl, etc.; ring A is optionally substituted) were prepared Examples include over 180 compds. and assays

II

- substituted) were prepared Examples include over 180 compds. and assays activity with several protein kinase C (PKC) isoforms. For instance, 1H, 3H-quinazolin-2, 4-dione was converted to 2,4-dichloroquinazoline (POC13, MeNPh, 110°C) and used to alkylate Et acetoacetate (i. THF, NaH, 0°C; ii. PhMe, reflux; iii. NHOM, overnight) resulting in the formation of 2-(2-chloroquinazolin-4-yl)acetamide. This was dissolved in NNP and reacted with excess N-methylpiperazine to give 2-[2-(4-methylpiperazin-1-yl)quinazolin-4-yl)acetamide. Reaction of the acetamide with 3-indoleglyoxylic acid Me ester (THF, KOBu-t, 0°C → room temperature, overnight) provided II as an orange-red powder. II had IC50 < 10 nM for PKCO. I are useful for preventing or treating disorders or diseases mediated by T lymphocytes and/or PKC. 425637-11-2P
  RL: PRC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); TRU (Therapeutic use); BIOI (Biological study); PREP (Preparation); TRU (BIOLOgical study); PREP (Preparation); TRU (BIOLOgical study); PREP (Preparation); TRU (BIOLOgical study); PREP (BIOLOgical study); PREP (BIOLOgical study); PREP (BIOLOgical study); PRE

- 425636-53-9P 425636-54-0P 425636-55-1P 425636-56-2P 425636-57-3P 425635-58-4P 425636-59-5P 425636-60-8P 425636-61-9P 425636-62-0P 425636-63-1P 425636-64-2P
- ANSWER 41 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

- 425636-56-2 CAPLUS 1N-Pyrrole-2,5-diome, 3-(1H-indol-3-yl)-4-[3-(4-pyridinylmethoxy)phenyl]-(SCI) (CA INDEX NAME)
- 425636-57-3 CAPLUS
  lH-Pyrrole-2,5-dione, 3-(lH-indol-3-yl)-4-[3-(3-pyridinylmethoxy)phenyl]-(9CI) (CA INDEX NAME)
- 425636-58-4 CAPLUS 1H-Pytrole-2,5-dione, 3-[3-(2-hydroxyethoxy)phenyl]-4-(1H-indol-3-yl)-(SCI) (CA INDEX NAME)

- ANSWER 41 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN 425636-65-3P 425636-66-4P 425636-67-59 425636-68-6P 425636-69-79 425636-67-59 425636-68-6P 425636-69-3P 425636-70-0P 425636-71-1P 425636-72-2P 425636-73-3P 425636-71-4P 425636-73-5P 425636-76-6P 425636-71-7P 425636-78-PP 425636-79-PP 425636-80-0P 425636-80-1P 425636-80-4P 425636-80-5P 425636-80-9P 425636-80-9P 425636-80-9P 425636-80-PP 425636-80-PP 425636-91-7P 425636-91-7P 425636-91-7P 425636-91-7P 425636-91-7P 425636-91-7P 425637-01-7P 425637-01-7P 425637-01-7P 425637-01-7P 425637-01-7P 425637-01-7P 425637-01-7P 425637-01-7P 425638-91-01-P 425638-91-01-P 425638-91-01-P 425638-62-69 (Continued) RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
- (Uses)
  (drug; prepn. of indolylmaleimide derivs. as protein kinase c
  inhibitors)
  425636-53-9 CAPLUS
  1R-Pyrrole-2,5-dione, 3-[3-[2-(dimethylamino)ethoxy]-5-hydroxyphenyl]-4(lH-indol-3-yl)- (9CI) (CA INDEX NAME)
- Me2N-CH2-CH2-0
- 425636-54-0 CAPLUS 1H-Pyrrole-2,5-dione, 3-(3-hydroxyphenyl)-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)
- 425636-55-1 CAPLUS 1H-Pyrrole-2,5-dione, -[3-(dimethylamino) propoxy]phenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)
- ANSWER 41 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

425636-59-5 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-[3-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

425636-60-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(3-(2-(4-methyl-1piperazinyl)ethoxylphenyl)- (9CI) (CA INDEX NAME)

425636-61-9 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(3-(2-(4-morpholinyl)ethoxy)phenyl)- (9CI) (CA INDEX NAME)

425636-62-0 CAPLUS
1H-Pyrrole-2,5-dione, 3-[3-[2-[4-{2-hydroxyethyl}]-1piperazinyl]ethoxy[phenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 425636-63-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[3-[2-(1H-imidazol-1-y1)ethoxy]phenyl]-4-(1H-indol-3-y1)- (9CI) (CA INDEX NAME)

RN 425636-64-2 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[3-[2-(dimethylamino)ethoxy]phenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 41 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

425636-68-6 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1H-indol-3-y1)-4-{3-[2-(1-pyrrolidiny1)ethoxy]pheny1]- (9CI) (CA INDEX NAME)

425636-69-7 CAPLUS
1H-Pytrole-2,5-dione, 3-(1H-indol-3-yl)-4-(3-[(1-methyl-3-piperidinyl)methoxy|phenyl|- (9CI) (CA INDEX NAME)

425636-70-0 CAPLUS 1H-Pyrrole-2,5-dione, 3-[3-[(dimethylamino)methyl]phenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 41 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 425636-65-3 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[3-[2-(2-hydroxyethyl)methylamino]ethoxy]phenyl|-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 425636-66-4 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-{3-{2-{2-(2-hydroxyethyl)(phenylmethyl)amino]ethoxy| phenyl)-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

425636-67-5 CAPLUS
1H-Pytrole-2,5-dione, 3-(3-(2-(bis(2-hydroxyethyl)amino)ethoxy]phenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

ANSWER 41 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 425636-71-1 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-(2-dimethylamino)ethoxy]-5-ethoxyphenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

425636-72-2 CAPLUS 1H-Pyrrole-2,5-dione, 3-[3-[2-(dimethylamino)ethoxy]-5-methoxyphenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

425636-73-3 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1H-indol-3-y1)-4-[2-methoxy-5-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 425636-74-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-[3-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 425636-75-5 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[3-(4-methyl-1-piperazinyl)phenyl)- (9CI) (CA INDEX NAME)

RN 425636-76-6 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-y1)-4-[2-methyl-5-(4-methyl-1-piperainyl)]phenyl|- (CA INDEX NAME)

L6 ANSWER 41 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 425636-80-2 CAPLUS
CN 1H-Pyrcole-2,5-dione,
3-[3-[2-(dimethylamino)ethoxy]-1-naphthalenyl]-4-(1Hindol-3-yl)- (9CI) (CA INDEX NAME)

RN 425636-81-3 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-[3-{2-(1-pyrrolidinyl)ethoxy}-1naphthalenyl]- (9CI) (CA INDEX NAME)

RN 425636-82-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-[2-[(2-hydroxyethy1)methylamino]ethoxy]-1-naphthaleny1]-4-(1H-indol-3-y1)- (9CI) (CA INDEX NAME)

L6 ANSWER 41 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 425636-77-7 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(1-methyl-1H-indol-3-yl)-4-(2-methyl-5-(4-methyl-1-piperazinyl)phenyl)- (9CI) (CA INDEX NAME)

RN 425636-78-8 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[2-chloro-5-(4-methyl-1-piperazinyl)phenyl]-4-(1Hindol-3-yl)- (9CI) (CA INDEX NAME)

RN 425636-79-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[2-chloro-5-{4-methyl-1-piperazinyl}phenyl]-4-{1-methyl-1H-indol-3-yl}- (9CI) (CA INDEX NAME)

L6 ANSWER 41 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 425636-83-5 CAPLUS
CN 1H-Pytrole-2,5-dione, 3-(1H-indol-3-yl)-4-(3-methoxy-1-naphthalenyl)(SCI) (CA INDEX NAME)

RN 425636-84-6 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(3-hydroxy-1-naphthaleny1)-4-(1H-indol-3-y1)(9C1) (CA INDEX NAME)

RN 425636-85-7 CAPLUS
CN 1H-Pytrole-2,5-dione, 3-[3-[3-(dimethylamino)propoxy]-1-naphthalenyl]-4(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 425636-86-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-[2-(cyclopropylmethylamino)ethoxy]-1naphthalenyl]-4-(1H-indol-3-yl)- (9C1) (CA INDEX NAME)

RN 425636-87-9 CAPLUS
CN IH-Pyrrole-2,5-dione, 3-[3-[2-(cyclopropylmethylamino)ethoxy]-1naphthelenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 425636-88-0 CAPLUS CN 1H-Pytrole-2,5-dione, 3-[3-[2-(cyclopropylamino)ethoxy]-1-naphthalenyl]-4-{1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 41 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 425636-92-6 CAPLUS
CN 1H-Pytrol-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-{3-(4-methyl-1-piperazinyl)-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 425636-93-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(3-(1-piperazinyl)-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 425636-94-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[3-(1-piperazinyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 425636-89-1 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(3-{[1-(methylamino)cyclopropyl]methoxy}-1-naphthalenyl}- (9CI) (CA INDEX NAME)

RN 425636-90-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-[[1-(methylamino)cyclopropyl]methoxy]-1naphthalenyl)-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 425636-91-5 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-{3-(4-methyl-1-piperazinyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 41 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 425636-95-9 CAPLUS
CN 1-Piperazinecarboxaldehyde, 4-{4-{2,5-dihydro-4-(1-methyl-1H-indol-3-yl)-2,5-dioxo-1H-pyrrol-3-yl}-2-naphthalenyl]- {9CI} (CA INDEX NAME)

RN 425636-96-0 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-y1)-4-[3-[2-(1-piperidinyl)ethoxy]-1-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 425636-97-1 CAPLUS CN 1H-Pyrrole-2.5-dione, 3-[3-[2-(butylmethylamino)ethoxy]-1-naphthalenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME) 425636-98-2 CAPLUS 1H-Pyrrole-2,5-dione, 3-[3-[2-(cyclohexylamino)ethoxy]-1-naphthalenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

425637-00-9 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(3-[2-(4-methyl-1-piperidinyl)ethoxy]-1-naphthalenyl]- (9CI) (CA INDEX NAME)

425637-02-1 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1H-indol-3-y1)-4-(3-[2-(2-methyl-1-pyrrolidinyl)ethoxy]-1-naphthalenyl]- (9CI) (CA INDEX NAME)

ANSWER 41 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) naphthalenyl]-4-(lH-indol-3-yl)- (9CI) (CA INDEX NAME)

425637-10-1 CAPLUS

1H-Pytrole-2,5-dione, 3-{3-{2-(3-hydroxy-1-piperidiny1}ethoxy}-1-naphthaleny1)-4-(1H-indol-3-y1)- (9CI) (CA INDEX NAME)

RN 425637-13-4 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-[2-(dimethylamino)ethoxy]-5,6,7,8-tetrahydro-1naphthalenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 425637-15-6 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(1H-indol-3-y):4-4-(5,6,7,8-tetrahydro-3-(4-methyl1-piperazinyl)-1-naphthalenyl)- (9CI) (CA INDEX NAME)

L6 . ANSWER 41 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

425637-04-3 CAPLUS 1H-Pyrrole-2,5-dione, 3-[3-[2-[4-hydroxy-1-piperidinyl)ethoxy]-1-naphthalenyl]-4-[1H-indol-3-yl]- (9CI) (CA INDEX NAME)

425637-06-5 CAPLUS
1H-Pyrrole-2,5-dione, 3-{3-{2-{(2S}-2-(hydroxymethyl)-1-pyrrolidinyl}ethoxyj-1-naphthalenyl]-4-{1H-indol-3-yl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

425637-08-7 CAPLUS 1H-Pyrrole-2,5-dione, 3-[3-(2-[1,4'-bipiperidin]-1'-ylethoxy)-1-

ANSWER 41 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

425638-62-6 CAPLUS

| H-Pyrrole-2, 3-dione, 3-(1-methyl-1H-indol-3-yl)-4-[5,6,7,8-tetrahydro-3-(4-methyl-1-piperazinyl)-1-naphthalenyl|- (9CI) (CA INDEX NAME)

425638-67-1P 425638-68-2P 425638-69-3P
425638-70-6P 425638-71-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indolylmaleimide derivs. as protein

(intermediate; preparation of the first property of the first prop

RN 425638-68-2 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-[2-hydroxyethoxy)-5-(triphenylmethoxy)phenyl]-4[1H-1ndol-3-yl)- (9CI) (CA INDEX NAME)

425638-69-3 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-[3-[2-[(methylsulfonyl)oxy]ethoxy]-1-naphthalenyl]- (9CI) (CA INDEX NAME)

(Continued)

425638-70-6 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(3-(2-{(tris(1-methylethyl)silyl)oxy|ethoxy|-1-naphthalenyl]- (9CI) (CA INDEX NAME)

RN 425638-71-7 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[3-(2-hydroxyethoxy)-1-naphthalenyl]-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 57
ACCESSION NUMBER: 2002:275990 CAPLUS
DOCUMENT NUMBER: 136:294729
TITLE: Preparation and use of indolo-pyrrolo-carbazole derivatives as inhibitors of CDK4 kinase and methods for treating proliferative diseases
INVENTOR(5): Engler, Thomas Albert; Furness, Kelly Wayne;
Malhotra.

INVENTOR(S): Malhotra,

Sushant; Briggs, Stephen Lyle; Brooks, Harold Burns; Clawson, David Keyes; Sanchez-Martinez, Concepcion; Zhang, Faming; Zhu, Guoxin Eli Lilly and Company, USA PCT Int. Appl., 226 pp. CODEN: PIXXD2 Patent English 1

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

					APPLICATION NO.												
WO	WO 2002028861						20020411			WO 2	001-		20010924				
WO	WO 2002028861			A3		2002	0801										
	W:	AE.	AG.	AL.	AM.	AT.	AU.	AZ.	BA.	BB.	BG,	BR.	BY.	BZ.	CA.	CH.	CN.
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										BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,
							SN,										
AU	2001	0925'	79		A5		2002	0415		AU 2	001-	9257	9		2	0010	924
EP	1325	011			A2		2003	0709		EP 2	001-	9729	48		2	0010	924
EP	1325	011			B1		2004	0506									
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							RO.							,	,	,	,
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PT	1325 2220	011			Ŧ		2004	0930		PT 2	001-	9729	48		5	0010	924
ES	2220	A11			т3		2004	1216		FS 2	001-	1972	948			0010	924
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OTHER SOURCE(S): MARPAT 136:294729 ANSWER 41 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

425638-78-4 425638-81-9
RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; preparation of indolylmaleimide derivs. as protein kinase c inhibitors)
425638-78-4 CAPLUS
HR-Pyrrole-2,5-dione, 3-(1H-indol-3-y1)-4-[3-[2-[(methylsulfonyl)oxy]ethoxy]-5-(triphenylmethoxy)phenyl]- (9CI) (CA

INDEX NAME)

425638-81-9 CAPLUS 1M-Pyrole-2,5-dione, 3-[3-[2-(1,1-dimethylethoxy)ethoxy]-5,6,7,8-tetrahydro-1-naphthalenyl)-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

3

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB Title compds. I {A, B = 0, S; Rl = alkyl, alkoxy, hydroxy(alkyl), halo(alkyl), CN, alkylamino, alkyl-ester; R2 = H, alkyl, hydroxy-alkyl, alkylamino, alkylamino, alkyl-ester; R3-3' = H, alkyl, lydroxy-alkyl, alkylamino, alkylamino, alkyl-ester; R3-3' = H, alkyl, lydroxy-alkyl, alkyl, alkoxy, halo; R4 = H, alkyl, were prepared For instance, 3-(6-methoxy-H-indol-7-yl)ex-enaded (preparation given) and (6-methoxy-H-indol-3-yl)-a-coxoacetic acid Me ester (TRF, KOBu-t, 0°c, 20 min). Cyclization of the intermediate pyrrole-2,5-dione was effected photochem. (EtOAc, 450W Hanovia lamp) in the presence of DDQ to give II. II had IC30 = 0.043 µM for CDN4 kinase using the RbING substrate. I are useful in the treatment of cell proliferative disorders, including cancer.

IT 408353-79-39 408355-81-79 408355-85-19 408355-86-29 408355-93-39 408355-91-39 40835-91-39 40835-91-39 408355-91-39 408355-91-39 408355-91-39 408355-91-39 408355-91-39 408355-91-39 408355-91-39 408356-01-49 408356-17-29 408356-01-39 408356-01-49 408356-17-29 408496-77-59 EL: PAC (Pharmacological sctuity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug; preparation and use of indolo-pyrrolo-carbazole deriva. as

(drug; preparation and use of indolo-pyrrolo-carbazole derivs. as

(drug; preparation and use of indolo-pyrrolo-carbazole derivs. as inhibitors

of CDK4 kinase and methods for treating proliferative diseases)

RN 408355-79-3 CAPLUS

CN L-Alanine, N-[3-[3-[2,5-dihydro-4-(1-methyl-1H-indol-7-yl)-2,5-dioxo-1H-pyrrol-3-yl]-6-methoxy-1H-indol-1-yl]propyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

408355-81-7 CAPLUS L-Serine, N-[3-[3-[2,5-dihydro-4-(1-methyl-1H-indol-7-yl)-2,5-dioxo-1H-pyrrol-3-yl)-6-methoxy-1H-indol-1-yl]propyl]-, methyl ester, monottrifluoroscetate) (salt) (9CI) (CA INDEX NAME)

CRN 408355-80-6 CMF C29 H30 N4 O6

Absolute stereochemistry. Rotation (+).

CM 2

CRN 76-05-1 CMF C2 H F3 O2

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A

PAGE 1-A

408355-87-3 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-7-yl)-4-[7-[2-(1-piperazinyl)ethyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

408355-85-1 CAPLUS
4-Piperidinecarboxylic acid, 1-[2-[3-[2,5-dihydro-4-(1-methyl-1H-indol-7-yl)-2,5-dioxo-1H-pyrrol-3-yl]-1H-indol-7-yl]ethyl]-, methyl ester (9CI)
(CA INDEX NAME)

PAGE 1-A

PAGE 2-A

408355-86-2 CAPLUS 4-Piperidinecarboxamide, 1-[2-[3-{2,5-dihydro-4-{1-methyl-1H-indol-7-yl}-2,5-dioxo-1H-pyrrol-3-yl]-1H-indol-7-yl]ethyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A

408355-88-4 CAPLUS
1H-Pyrrole-2,5-dlone, 3-{7-{2-(4-hydroxy-1-piperidiny1)ethy1}-1H-indol-3-y1}-4-{1-methy1-1H-indol-7-y1}- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

408355-90-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-[7-[2-(4-hydroxy-1-piperidinyl)ethyl]-1H-indol-3-yl]-4-(1-methyl-1H-indol-7-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

(Continued)

PAGE 1-A

408355-91-9 CAPLUS
1H-Pyrrole-2,5-dione, 3-[7-[2-[(25]-2-[hydroxymethyl)-1pyrrolidinyl]ethyl]-1H-indol-3-yl]-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry. Rotation (+).

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

408355-94-2 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-7-yl)-4-[7-[2-(4-methyl-1-piperazinyl)ethyl}-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 408355-95-3 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-7-yl)-4-[7-[2-(4-methyl-1piperazinyl)ethyl]-1H-indol-3-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

●2 HC1

408355-97-5 CAPLUS
Methanesulfonic acid, trifluoro-, compd. with 3-[7-[2-[(2-hydroxyethyl)amino]ethyl]-1H-indol-3-yl]-4-(1-methyl-1H-indol-7-yl)-1Hpyrrole-2,5-dione (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 408355-96-4 CMF C25 H24 N4 O3

HO-CH2-CH2-NH-CH2-

CRN 1493-13-6 CMF C H F3 03 S

408355-98-6 CAPLUS
1H-Pyrrole-2,5-dione, 3-[7-[2-[[2-(dimethylamino)ethyl]amino]ethyl]-1Hindol-3-yl]-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)

ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

• HC1

408356-01-4 CAPLUS 1H-Pyrrole-2,5-dione, 3-{7-{2-{(trans-4-aminocyclohexyl)amino}ethyl}-1H-indol-3-1yl}-4-(1-methyl-1H-indol-7-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

● HCl

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Me2N-CH2-CH2-NH-CH2-

RN 408355-99-7 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[7-[2-(trans-4-hydroxycyclohexyl)amino]ethyl]-1Hindol-3-yl]-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 408356-00-3 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[7-[2-{(trans-4-hydroxycyclohexyl)amino)ethyl]-1Hindol-3-yl]-4-(1-methyl-1H-indol-7-yl)-, monohydrochloride (9CI) (CA
INDEX NAME)

Relative stereochemistry.

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Pyrrole-2,5-dione,
3-{7-[3-{(trans-4-hydroxycyclohexyl)amino]propyl]-1H-indol-3-yl]-4-(1-methyl-1H-indol-7-yl)-, monohydrochloride {9CI} (CA INDEX NAME)

Relative stereochemistry.

408496-77-5 CAPLUS

1H-Pyrrole-2, 5-dione, 3-[7-[2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]ethyl]-1H-indol-3-yl]-4-(1-methyl-1H-indol-7-yl)-,
monohydrochloride (9CI) {CA INDEX NAME}

Absolute stereochemistry. Rotation (+).

● HC1

- ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
  yl)pyrrole-2,5-dione 408354-44-9P, 3-(1H-Indol-3-yl)-4-(1-methyl1H-Indol-7-yl)pyrrole-2,5-dione 408354-82-9P,
  3-(1H-Indol-3-yl)-4-(1-ethyl-1H-Indol-7-yl)pyrrole-2,5-dione
  408354-55-3P, 3-(1H-Indol-3-yl)-4-(1-ethyl-1H-Indol-7-yl)pyrrole-2,5-dione
  408354-57-6P, 3-(1H-Indol-3-yl)-4-(1H-Indol-3-yl)pyrrole-2,5-dione
  408354-57-6P, 3-(6-Bromo-1H-Indol-7-yl)pyrrole-2,5-dione
  408354-57-6P, 3-(6-Bromo-1H-Indol-3-yl)-4-(1-methyl-1H-Indol-7yl)pyrrole-2,5-dione 408354-61-0P, 3-(6-Trifluoromethyl-1H-Indol-7yl)pyrrole-2,5-dione 408354-61-0P, 3-(6-Trifluoromethyl-1H-Indol-7yl)pyrrole-2,5-dione 408354-68-7P, 3-(1-3Hydroxypropyl)-1Hindol-3-yl)-4-(1-methyl-1H-Indol-7-yl)pyrrole-2,5-dione
  408354-64-3P, 3-(7-Bromo-1H-Indol-7-yl)pyrrole-2,5-dione
  408354-72-3P, 3-[1-(3-Hydroxypropyl)-6-methoxy-1H-Indol-7yl)pyrrole-2,5-dione 408354-72,3-dione 408354-73-8P, 3-(1-3-Hydroxypropyl)-4-(1-methyl-1H-Indol-7-yl)pyrrole-2,5-dione
  408354-73-8P, 3-(5-Methoxy-1H-Indol-3-yl)-4-(1-methyl-1H-Indol-7yl)pyrole-2,5-dione 408354-79-0P, 3-(6-Fluoro-1H-Indol-3-yl)-4-(1-methyl-1H-Indol-7yl)pyrole-2,5-dione 408354-99-0P, 3-(6-Fluoro-1H-Indol-3-yl)-4-(1-methyl-1H-Indol-7yl)pyrole-2,5-dione 408354-99-0P, 3-(1-3-Hydroxypropyl)-1Hindol-3-yl)-4-(1-methyl-1H-Indol-7--yl)pyrrole-2,5-dione
  408354-64-7P, 3-(7-Methoxy-1H-Indol-3-yl)-4-(1-methyl-1H-Indol-7yl)pyrole-2,5-dione 408354-99-0P, 3-(1-3-Hydroxypropyl)-1Hindol-3-yl)-4-(1-methyl-1H-Indol-7--yl)pyrrole-2,5-dione
  408355-16-8P, 3-(1-5-pimethyl-1H-Indol-7--yl)pyrrole-2,5-dione
  408355-16-8P, 3-(1-5-pimethyl-1H-Indol-7--yl)-4-(6-fluoro-1H-Indol-7yl)-4-(1-methyl-1H-Indol-7--yl)-4-(6-fluoro-1H-Indol-7yl)-4-(6-methoxy-1H-Indol-3-yl)-4-(6-fluoro-1H-Indol-7yl)-4-(6-methoxy-1H-Indol-3-yl)-4-(6-fluoro-1H-Indol-7yl)-4-(6-methoxy-1H-Indol-3-yl)-4-(6-fluoro-1H-Indol-7yl)-4-(6-methoxy-1H-Indol-3-yl)-4-(6-fluoro-1H-Indol-7yl)-4-(6-methoxy-1H-Indol-7-yl)-4-(6-fluoro-1H-Indol-7yl)-4-(6-methoxy-1H-Indol-7-yl)-4-(6-fluoro-1H-Indol-7y
- 3-yi.pyrrole-2,3-dione 400353-19-19, 71,3-Dimethyl-1H-indol-7-y) yl)-4-(6-methoxy-1H-indol-3-yl)pyrrole-2,5-dione 400353-21-59, 3-(1,5-Dimethyl-1H-indol-7-yl)-4-(6-trifluoromethyl-1H-indol-3-yl)pyrrole-2,5-dione 400355-26-09, 3-(1-Methyl-5-fluoro-1H-indol-7-yl)-4-(1H-indol-3-yl)pyrrole-2,5-dione 400355-23-1P, 3-[1-(2-Hydroxyethyl)-6-methoxy-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)pyrrole-2,5-dione 400355-45-39, 3-[1-(3-Brompcrpyl)-6-methoxy-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)pyrrole-2,5-dione 400355-60-2P, 3-[1-(3-Brompcrpyl)-6-methoxy-1H-indol-3-yl]-4-(1-methyl-1H-indol-7-yl)pyrrole-2,5-dione 400355-70-2P 400355-60-2P, 3-[1-(3-Brompcrpyl)-1H-indol-7-yl)pyrrole-2,5-dione 400355-03-0P, 3-[7-(3-Brompcrpyl)-1H-indol-7-yl)pyrrole-2,5-dione 400356-03-0P, 3-[7-(3-Brompcrpyl)-1H-indol-3-yl]-4-(1-methyl-1H-indol-7-yl)pyrrole-2,5-dione 400356-33-0P, 3-[7-(3-Brompcrpyl)-1H-indol-3-yl]-4-(1-methyl-1H-indol-3-yl)-4-(1-methyl-1H-indol-3-yl)-4-(1-methyl-1H-indol-3-yl)-4-(1-methyl-1H-indol-3-yl)-4-(1-methyl-1H-indol-3-yl)-4-(1-methyl-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)pyrrole-2,5-dione 400356-33-6P, 3-(5-Ermo-1H-indol-3-yl)-4-(1-methyl-1H-

ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

408354-57-4 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(1H-indol-7-yl)- (9CI) (CA INDEX NAME)

RN 408354-59-6 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(6-bromo-1H-indol-3-y1)-4-(1-methyl-1H-indol-7-y1)-(9CI) (CA INDEX NAME)

RN 408354-61-0 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-7-yl)-4-[6-(trifluoromethyl)-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 408354-39-2 CAPLUS H-Pyrrole-2,5-dione, 3-(6-methoxy-lH-indol-3-yl)-4-(1-methyl-lH-indol-7-yl)- (SCI) (CA INDEX NAMZ)

408354-44-9 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)

408354-52-9 CAPLUS |H-Pyrrole-2.5-dione, 3-(l-ethyl-1H-indol-7-yl)-4-(lH-indol-3-yl)- (9CI) (CA INDEX NAME)

408354-56-3 CAPLUS
1H-Pyrole-2,5-dione, 3-(1H-indol-3-yl)-4-(1-([2(rrimethylsily)lethoxy|methyl]-1H-indol-7-yl]- (9CI) (CA INDEX NAME)

ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

408354-63-2 CAPLUS 1H-Pyrrole-2,5-dione, 3-(4-fluoro-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)- (921) (CA INDEX NAME)

408354-64-3 CAPLUS 1H-Pyrrole-2,5-dione, 7-bromo-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)-(9CI) (CA INDEX NAME)

RN 408354-68-7 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[1-(3-hydroxypropyl)-1H-indol-3-yl]-4-(1-methyl-1H-indol-7-yl)-(9CI) (CA INDEX NAME)

RN 408354-72-3 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[1-(3-hydroxypropyl)-6-methoxy-1H-indol-3-yl]-4-(1methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 408354-79-0 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(6-fluoro-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX ΝΑΜΕ)

RN 408354-81-4 CAPLUS
CN IH-Indole-6-carbonitrile, 3-[2,5-dihydro-4-(1-methyl-1H-indol-7-yl)-2,5dixo-1H-pyrol-3-yl)- (9CI) (CA INDEX MAME)

RN 408354-84-7 CAPLUS
CN H-Pyrcle-z,5-dione, 3-(7-methoxy-1H-indol-3-y1)-4-(1-methyl-1H-indol-7-y1)- (9C1) (CA INDEX NAME)

RN 408354-99-4 CAPLUS

RN 408354-75-6 CAPLUS
(N H-Pyrrole-2,5-dione, 3-(4-methoxy-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)-(9C1) (CA INDEX NAME)

RN 408354-77-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(5-methoxy-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Pyrrole-2,5-dione,
3-[1-(3-hydroxypropyl)-1H-indol-3-yl]-4-(1H-indol-7-yl)- (9C1) (CA INDEX NAME)

RN 408355-01-1 CAPLUS
CN H-Pyrrole-2,9-dione, 3-(1-methyl-1H-indol-7-yl)-4-[6-(phenylmethoxy)-1H-indol-3-yl)-(9C1) (CA INDEX NAME)

RN 408355-07-7 CAPLUS
CN 1H-Pytrole-2,5-dione, 3-(1,5-dimethyl-1H-indol-7-yl)-4-(1H-indol-3-yl)(9C1) (CA INDEX NAME)

RN 408355-09-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1,5-dimethyl-1H-indol-7-yl)-4-[1-(3-hydroxypropyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 408355-16-8 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1,5-dimethyl-1H-indol-7-yl)-4-(6-fluoro-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 408355-26-0 CAPLUS

NH-Pyrrole-2,5-dione, 3-(5-fluoro-1-methyl-1H-indol-7-yl)-4-(1H-indol-3-yl)- (9CT) (CA INDEX NAME)

RN 408355-29-3 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(5-fluoro-1-methyl-1H-indol-7-yl)-4-[1-(3-hydroxypropyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 408355-19-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1,5-dimethyl-1H-indol-7-yl)-4-(6-methoxy-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 408355-21-5 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1,5-dimethyl-1H-indol-7-yl)-4-{6(trifluoromethyl)-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 408355-43-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[1-(2-hydroxyethyl)-6-methoxy-1H-indol-3-yl]-4-(1methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)

RN 408355-45-3 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-{1-(2-bromoethyl)-6-methoxy-1H-indol-3-yl]-4-{1-methyl-1H-indol-7-yl}- (9CI) (CA INDEX NAME)

408355-60-2 CAPLUS
1H-Pyrrole-2,5-dione, 3-[1-(3-bromopropyl)-6-methoxy-1H-indol-3-yl]-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)

RN 408355-78-2 CAPLUS
CN L-Aspartic acid,
N-[3-[3-[2,3-dihydro-4-(1-methyl-1H-indol-7-yl)-2,5-dioxo1H-pyrrol-3-yl]-6-methoxy-1H-indol-1-yl]propyl]-, dimethyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

408356-08-1 CAPLUS
1H-Pytrole-2,5-dione, 3-{7-(3-bromopropyl)-1H-indol-3-yl}-4-{1-methyl-1H-indol-7-yl}- (9CI) (CA INDEX NAME)

408356-31-0 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-7-yl)-4-(6-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 408356-07-0 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[7-(3-hydroxypropyl)-1H-indol-3-yl]-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

408356-33-2 CAPLUS
1H-Indole-5-carbonitrile, 3-[2,5-dihydro-4-(1-methyl-1H-indol-7-yl)-2,5-dioxo-1H-pyrrol-3-yl)- (9CI) (CA INDEX NAME)

RN 408356-37-6 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-7-yl)-4-[5-(trifluoromethyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

RN 408356-43-4 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(6-ethyl-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)-(9CI) (CA INDEX NAME)

RN 408356-45-6 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(5-bromo-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)-(9CI) (CA INDEX NAME)

408356-48-9 CAPLUS
1H-Pyrrole-2,5-dione, 3-(6-ethoxy-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)- (5CI) (CA INDEX NAME)

408356-54-7 CAPLUS

ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

408358-49-6 CAPIUS 1H-Pyrrole-2,3-dione, 3-(1-methyl-1H-indol-7-yl)-4-(5-methyl-1H-indol-3-yl)- (9C1) (CA INDEX NAME)

IT 408354-86-9, 3-[4-(((tert-Butyldimethylsily1)oxy)methyl)-1H-indol-3-yl]-4-(1-methyl-1H-indol-7-yl)pyrrole-2,5-dione 408354-88-1, 3-(4-Bromo-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)pyrrole-2,5-dione 408354-91-6, 3-[1-(3-Hydroxypropyl)-4-hydroxymethyl-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)pyrrole-2,5-dione 408354-93-8, 3-[1-(3-Hydroxypropyl)-4-bromo-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)pyrrole-2,5-dione 408354-93-0, 3-[1-(3-Hydroxypropyl)-4-methoxy-1H-indol-3-yl)-1H-indol-7-yl)pyrrole-2,5-dione 408355-35-1, 3-(1,5-dimethyl-1H-indol-7-yl)pyrrole-2,5-dione 408355-35-1, 3-(1,5-dimethyl-1H-indol-7-yl)pyrrole-2,5-dione RL: RCT (Reactant) -7-yl)-7-vl-2,5-dione RL: RCT (Reactant) -7

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continue-Urea, N'-[[3-[2,5-dihydro-4-(1-methyl-1H-indol-7-y1)-2,5-dioxo-1H-pyrrol-3-y1]-1H-indol-7-y1]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME) (Continued)

408356-60-5 CAPLUS Acetamide, N-[[3-[2,5-dihydro-4-[1-methyl-1H-indol-7-yl]-2,5-dioxo-1H-pyrrol-3-yl]-1H-indol-7-yl]methyl]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME) RN CN

RN 408356-62-7 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-7-yl)-4-(6-methoxy-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 408354-88-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(4-bromo-1H-indol-3-yl)-4-(1-methyl-1H-indol-7-yl)-(9CI) (CA INDEX NAME)

RN 408354-91-6 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[4-(hydroxymethyl)-1-(3-hydroxypropyl)-1H-indol-3yl]-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)

408354-93-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-[4-bromo-1-(3-hydroxypropyl)-1H-indol-3-yl]-4-(1-methyl-1H-indol-7-yl)- (9CI) (CA INDEX NAME)

RN 408354-95-0 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[1-(3-hydroxyproy)1)-4-methoxy-1H-indol-3-y1)-4-(1-methyl-1H-indol-7-y1)- (9CI) (CA INDEX NAME)

RN 408355-35-1 CAPLUS CN HH-Pyrcole-2,5-dione, 3-(1,5-dimethyl-1H-indol-7-yl)-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 408355-83-9 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[7-(2-hydroxyethy]-1H-indol-3-y1]-4-(1-methyl-1H-indol-7-y1)- (9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L6 ANSWER 43 OF 57
ACCESSION NUMBER:
DOCUMENT NUMBER:
136:167378
Preparation of
3-indoly1-4-phenyl-1H-pyrrole-2,5-dione
derivatives as inhibitors of glycogen synthase
kinase-3beta for therapeutic agents
Gong, Leyi: Grupe, Andrew; Peltz, Gary Allen
FATENT ASSIGNEE(S):
PATENT ASSIGNEE(S):
PATENT TYPE:
LANGUAGE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	PENT .	NO.			KIN		DATE			APP	LICAT	ION	NO.		I	DATE	
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OTHER SOURCE(S): MARPAT 136:167378

The title compds. [I; R1, R2 = H, alkyl, halogen, haloalkyl, alkylthio, HO, alkoxy, cyano, nitro, amino, acylamino, monoalkylamino, or dialkylamino; R3 represents hydrogen, alkyl, cycloalkyl, heteroalkyl,

alkylcarbonyl, or (un)substituted phenyl; R4, R5 = H, alkyl, halogen, haloalkyl, alkylthio, hydroxy, alkoxy, cyano, nitro, amino, acylamino, monoalkylamino, or dialkylamino: R6 = heteroalkyl, heterocyclyl, heteroackylylalkyl, heteroalkyl-substituted heterocyclyl, heteroalkyl-substituted exploalkyl, or S, G)nR8 (wherein n = an integer from 0 to 2; and R8 is heteroalkyl, heteroaralkyl, heteroaralkyl, or heterocyclylalkyl), NR9R10 (wherein R9 = hydrogen, alkyl; R10 = heteroaubstituted cycloalkyl, heteroalkyl, heteroaralkyl, heterocyclyl, or heterocyclylalkyl), or "X-(alkylene)-Y-Z (wherein X = a covalent bond, O, NH, or S(O)n; where n = an integer from

(wherein X = a covalent bond, O, NR, or S(O)n; where n = an integer from to 2; Y = 0, NH, or S and Z = heteroalkyl or SiR1(R12)(R13) (where R11, R12, R13 are independently hydrogen or alkyl)), or R6 together with adjacent R4 forms a methylenedioxy or ethylenedioxy group) or pharmaceutically acceptable salts thereof are prepared Owing to the inhibitory activity against glycogen synthase kinase-3β (GSK-3β), these compds. may be used for the treatment of GSK-3β mediated diseases. More specifically, they are used for the treatment of GSK-3β mediated diseases. More specifically, they are used for the treatment of GSK-3β mediated diseases selected from Altheimer's disease, obesity, diabetes, atherosclerotic cardiovascular disease, polycystic ovary syndrome, syndrome X, sichemia, traumatic brain injury, bipolar disorder, inmunodeficiency, cancer, allergy, and asthma in a mammal. The present inhibitor of GSK-3β is also used for the treatment of a disease characterized by an excess of CD4+Th2 cytokines, which is asthma, allergy or allergic rhinitis or for the treatment of a disease characterized by

excess IgE production, which is asthma, allergy or allergic rhinitis.

GSK-3B inhibitor is preferably at least 10 fold more selective for GSK-3B relative to PKC. Thus, Mitsunobu reaction of Me 3-hydroxyphenylacetate with 2-chloroethanol using Ph3P and diisopropyl azodicarboxylate in THF at room temperature overnight gave Me 3-(2-chloroethoxy)phenylacetate which was saponified with aqueous LiOH

treated with AcOH to give 3-(2-chloroethoxy)phenylacetic acid (II) which was converted into 3-(1-methylindol-3-yl)-4-(3-(2-aminoethyloxy)-phenyl]H-pyrrole-2,5-dione (III) in 4 steps. III in vitro showed IC50 of 0.02 µM against GSK-3B,
38c900-79-19 396091-05-79
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BloU (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

125314-03-6P 125314-13-8P 396090-84-9P
396090-95-2P 396091-00-2P 396091-06-8P
396091-14-0P 396091-15-9P 396091-19-3P
396091-20-6P 396091-31-9P 396091-32-0P
396091-33-1P 336091-40-0P 386091-46-6P
396091-37-7P 396091-55-6P 396091-62-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
[intermediate; preparation of (indolylphenyl)-1H-pyrroledione derivs.

inhibitors of glycogen synthase kinase-3 $\beta$  for therapeutic agents) 125314-03-6 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

125314-13-8 CAPLUS
1H-Pytrole-2,5-dione, 3-(3-aminophenyl)-4-(1-methyl-1H-indol-3-yl)- (9CI)
(CA INDEX NAME)

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(intermediate; prepn. of (indolylphenyl)-IH-pyrroledione derivs. a
inhibitors of glycogen synthase kinase-3\$ for therapeutic agents)
RN 396090-78-1 CAPLUS
CN IH-Pyrrole-2,5-dione,
3-[3-[12R]-2,3-dihydroxypropoxy]phenyl]-4-(1-methyl1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 396091-05-7 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-{3-{[3-{[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]amlno[phenyl]-4-{1-methyl-1H-indol-3-yl}- (9CI) (CA INDEX NAME)

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (intermediate; preparation of (indolylphenyl)-1H-pyrroledione derivs.

as inhibitors of glycogen synthase kinase-3ß for therapeutic agents) 396090-83-8 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[3-[2-(4-morpholinyl)ethoxylphenyl)- (9CI) (CA INDEX NAME)

ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

396090-84-9 CAPLUS 1H-Pyrrole-7,5-dione, 1-methyl-3-(1-methyl-1H-indol-3-yl)-4-[3-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 396090-95-2 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[3-(3-azidopropoxy) phenyl)-4-(1-methyl-1H-indol-3-yl)- (9C1) (CA INDEX NAME)

RN 396091-00-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI)
NAME)

RN 396091-06-8 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethy
l]amino]phenyl]-4-(1-methyl-1H-indol-3-yl)- [9CI) (CA INDEX NAME)

396091-14-8 CAPLUS
1H-Pyrcole-2,5-dione, 3-(5-chloro-1-methyl-1H-indol-3-yl)-4-(3-nitrophenyl)- (9C1) (CA INDEX NAME)

396091-15-9 CAPLUS 1H-Pyrrole-2,5-dione, 3-(3-aminophenyl)-4-(5-chloro-1-methyl-1H-indol-3-yll- (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Ph3C-O- (CH2) 3

396091-32-0 CAPLUS
1H-Pyrrole-2,5-dione, 3-(3-aminophenyl)-4-[5-fluoro-1-[3-(triphenylmethoxy)propyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

Ph3C-O-(CH2)3

RN 396091-33-1 CAPLUS
CN 1H-Pyrcole-2,5-diome,
3-[3-[(2,3-dihydroxypropyl)amino]phenyl]-4-[5-fluoro1-[3-(triphenylmethoxy)propyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

Ph3C-0- (CH2) 3

396091-40-0 CAPLUS
1H-Pyrrole-2,5-dione, 3-[3-[4-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1-piperidinyl[phenyl]-4-(1-methyl-1H-indol-3-yl)- [9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

396091-19-3 CAPLUS
1H-Pyrrole-2,5-dione, 3-(5-fluoro-1-methyl-1H-indol-3-yl)-4-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

396091-20-6 CAPLUS
1H-Pyrrole-2,5-dione, 3-(3-aminophenyl)-4-(5-fluoro-1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 396091-31-9 CAPLUS CN 1H-Fyrrole-2,5-dione, 3-[5-fluoro-1-[3-(triphenylmethoxy)propyl]-1H-indol-3-yl]-4-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

396091-46-6 CAPLUS 1H-Pyrcole-2, 5-diome, 3-(5-methoxy-1-methyl-1H-indol-3-yl)-4-(3-nitrophenyl)- (9C1) (CA INDEX NAME)

396091-47-7 CAPLUS 1H-Pyrrole-2,5-dione, 3-(3-aminophenyl)-4-(5-methoxy-1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 396091-56-8 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-[1(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]methoxy]2-methylphenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 396091-62-6 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[3-[(4R)-2,2-dimethy]-1,3-dioxolan-4-yl]methoxy]-2-nitrophenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

396090-76-9P 396091-02-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of (indolylphenyl)-1H-pyrroledione derivs. as inhibitors

glycogen synthase kinase-3p for therapeutic agents)
396090-76-9 CAPIUS
H-Pyrrole-2,5-dione, 3-[4-[[(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]methoxy]phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 396099-71-4 CAPLUS | H-Pyrrole-2, 5-dione, 3-{3-{((4S)-2,2-dimethyl-1,3-dioxolan-4-yl)methoxylphenyl}-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

396090-75-8 CAPLUS
1H-Pyrcole-2,5-dione, 3-[2-((2,2-dimethyl-1,3-dioxolan-4yl)methoxylphenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

396090-77-0 CAPLUS
1H-Pyrrole-2,5-dione, 3-{3-{{(4R)-2,2-dimethyl-1,3-dioxolan-4-yl}methoxy}phenyl}-4-{1-methyl-1H-indol-3-yl}- (9CI) (CA INDE (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 396091-02-4 CAPLUS
CN 1H-Pyrcole-2,5-dione,
3-[3-[2,2-dimethyl-1,3-dioxan-5-yl)amino|phenyl]-4{1-methyl-1H-indol-3-yl}- (9CI) (CA INDEX NAME)

396090-71-4P 396090-75-8P 396090-77-0P
396090-80-5P 396090-81-6P 396090-82-7P
396090-86-1P 396090-87-2P 396090-98-3P
396090-91-8P 396090-92-2P 396090-96-3P
396090-97-4P 396090-98-5P 396091-01-3P
396091-03-5P 396091-04-6P 396091-07-9P
396091-13-5P 396091-10-12P 396091-16-0P
396091-13-5P 396091-12-5P 396091-16-0P
396091-13-5P 396091-22-7-3P 396091-25-1P
396091-23-2P 396091-27-3P 396091-25-1P
396091-23-5P 396091-27-3P 396091-42-2P
396091-39-5P 396091-31-3P 396091-42-2P
396091-43-3P 396091-44-4P 396091-42-3P
396091-69-0P 396091-51-3P 396091-57-3P
396091-69-0P 396091-61-1P 396091-57-3P
396091-69-0P 396091-61-1P 396091-61-2P
396091-69-0P 396091-61-1P 396091-68-2P
396091-69-0P 396091-70-6P 396091-17-7P
396091-78-0P 396091-70-6P 396091-71-7P
396091-78-0P 396091-78-0P 3

glycogen synthase kinase-3 $\beta$  for therapeutic agents)

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN 396090-80-5 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(2-((2R)-2,3-dihydroxypropoxy)phenyl)-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

RN 396090-81-6 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-(4-[(2R)-2,3-dis)ydroxypropoxy]phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 396090-82-7 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(3-(223)-2,3-dinydroxypropoxy]phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 396090-86-1 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-1-methyl-4-(3-(2-(4-morpholinyl)-thoxy)phenyl)- (9CI) (CA INDEX NAME)

RN 396090-87-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-y1)-4-[3-(4-morpholiny1)pheny1](9C1)
(CA INDEX NAME)

RN 396090-90-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[3-(4-morpholinyl)phenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continue N 1H-Pyrcole-2,5-dione, 3-[3-(3-aminopropoxy)phenyl)-4-(1-methyl-1H-indol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 396090-97-4 CAPLUS
CN 1H-Pytrole-2,5-dione, 3-[3-(2-aminoethoxy)phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 396090-98-5 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[3-[(2,3-dihydroxypropyl)amino]phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME) L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

● HC1

RN 396090-91-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 396090-92-9 CAPLUS CN 1H-Pyrrole-2.5-dione, 3-[3-(3-aminopropoxy)phenyl]-4-(1-methyl-1H-indol-3yl)- (9CI) (CA INDEX NAME)

RN 396090-96-3 CAPLUS

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 396091-01-3 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[3-((tetrahydro-2H-pyran-4-yl)aminophenyl]- (9C1) (CA INDEX NAME)

RN 396091-03-5 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(3-[(2-hydroxy-1-(hydroxymethyl)ethyl]amino]phenyl ]-4-(1-methyl-1H-indol-3-yl)- [9CI] (CA INDEX NAME)

RN 396091-04-6 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-[(1H-imidazo1-2-ylmethyl)amino]phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 396091-07-9 CAPLUS CN 1H-Pyrcole-2,5-dione, 3-(3-((3-hydroxypropy)) amino)phenyl)-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 396091-08-0 CAPLUS CN 1H-Pyrrola-2,5-dione, 3-[3-[43-hydroxypropy])amino]phenyl]-4-[1-methyl-1H-indol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

396091-12-6 CAPLUS
1H-Pyrrole-2,5-dione, 3-(5-chloro-1-methyl-1H-indol-3-yl)-4-[3-[(2,3-dihydroxypropyl)amino]phenyl]- (9CI) (CA INDEX NAME)

RN 396091-16-0 CAPLUS CN 1H-Fyrrole-2,5-dione, 3-[3-[(2,3-dihydroxypropyl)amino]phenyl]-4-(5-fluoro-1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

396091-21-7 CAPLUS | 1H-Pyrrole-2,5-dione, 3-(3-([(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]methyl)thio]phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 396091-09-1 CAPLUS | H-Pyrrole-2,5-dione, 3-(3-((2-hydroxyethyl)amino]phenyl]-4-(1-methyl-1H-1ndol-3-yl)- (9CI) (CA INDEX NAME)

396091-10-4 CAPLUS IH-Pyrrole-2,5-dione, 3-[3-[(3-hydroxy-1-methylpropyl)amino]phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

396091-11-5 CAPLUS
1H-Pyrrole-2,5-dione, 3-{3-[(2-hydroxy-1-methylethyl)amino)phenyl}-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

396091-24-0 CAPLUS
1H-Pyrrole-2, 5-dione, 3-[3-[[[(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]methyl]sulfinyl]phenyl]-4-[1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

396091-25-1 CAPLUS
1H-Pyrrole-2,5-dione, 3-{3-{{[{4R}-2,2-dimethyl-1,3-dioxolan-4-y}]|methyl]sulfonyl]phenyl}-4-{1-methyl-1H-indol-3-yl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 396091-26-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-{3-{{(2R)-2,3-dihydroxypropyl]thio|phenyl}-4-{1-methyl-1H-indol-3-yl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 396091-27-3 CAPLUS
CN | IH-Pyrrole-2,5-dione, 3-[3-[[(2R)-2,3-dihydroxypropyl]sulfinyl]phenyl]-4(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 396091-41-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(3-(4-hydroxy-1-piperidinyl)phenyl)-4-(1-methyl-1Hindol-3-yl)-(9CI) (CA INDEX NAME)

RN 396091-42-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(5-chloro-1-methyl-1H-indol-3-yl)-4-[3-{(2R)-2,3-dihydroxypropoxy|phenyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 396091-43-3 CAPLUS

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 396091-28-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-[[(2R)-2,3-dihydroxypropyl]sulfonyl]phenyl]-4[1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 396091-29-5 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[3-[(2,3-ddhydroxypropyl)amino]phenyl]-4-[5-fluoro-1-(3-hydroxypropyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Pyrrole-2,5-dione,
3-[3-[(2R)-2,3-dih)qdroxypropoxy]phenyl]-4-(5-fluoro1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 396091-44-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-[(2,3-dihydroxypropyl)amino]phenyl]-4-(5-methoxy-1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 396091-48-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-{3-{(2,3-dihydroxypropyl)amino]phenyl}-4-{1,5-dimethyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 396091-49-9 CAPLUS CN 1H-Pyrrole-2.5-dione, 3-[3-[(2,3-dihydroxypropyl)amino)phenyl]-4-[1-methyl-5-[1-methylethoxy)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 396091-51-3 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-[(2R)-2,3-dihydroxypropoxy]-2-methylphenyl]-4(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

• HC1

RN 396091-65-9 CAPLUS CN 1H-Pytrole-2,5-dione, 3-[3-[3-[1(1,1-dimethylethyl)dimethylsilyl]oxy]propo xy[phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 396091-66-0 CAPLUS
CN lH-Pyrrole-2,5-dione, 3-[3-(bis(2,3-dihydroxypropyl)amino)phenyl]-4-(1-mathyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 396091-57-9 CAPLUS
CN 1H-Pyrrole-2,5-dione,
3-[3-[(2R)-2,3-dihydroxypropoxy]-2-nitrophenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 396091-63-7 CAPLUS
CN 1H-Fyrclo1-2,5-dione, 3-[2-(2,3-dihydroxypropoxy)phenyl]-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

RN 396091-64-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[3-[(2-hydroxyethyl)amino]phenyl]-4-(1-methyl-1H-indol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 396091-67-1 CAPLUS
CN H-Fyrrol-2,5-dione, 3-(3-[[(2S)-2,3-dihydroxypropyl]amino]phenyl]-4-(1-methyl-1H-indol-3-yl)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

RN 396091-68-2 CAPLUS
CN IH-Pyrrole-2,5-dione, 3-[3-[[(2R)-2,3-dihydroxypropyl]amino]phenyl]-4-(1-methyl-1H-indol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

● HCl

RN 396091-69-3 CAPLUS CN 1H-Pyrcole-2,5-dione, 3-[3-(4-hydroxycyclohexyl)amino]phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

396091-70-6 CAPLUS 1H-Pyrrole-7,5-dione, 3-{3-{(4-hydroxy-1-piperidinyl)amino|phenyl}-4-{1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 396091-71-7 CAPLUS

ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L6 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN CN 1H-Pyrrole-2,5-dione, 3-[3-[3-aminopropoxy]phenyl]-4-(5-fluoro-1-methyl-1H-indol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME) (Continued)

● HC1

396091-72-8 CAPLUS 1H-Pyrrole-2,5-dione, 3-[4-{(3-hydroxybutyl)amino}phenyl}-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

396090-79-2
RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant) preparation of (indolylphenyl)-lH-pyrroledione derivs. as inhibitors of glycogen synthase kinase-3B for therapeutic agents)
396090-79-2 CAPLUS
HR-Pyrrole-2,5-dione, 3-[2-[[(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]methoxy]phenyl]-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 44 OF 57
ACCESSION NUMBER:
DOCUMENT NUMBER:
135:303771
Preparation of indolylpyrrole derivatives and cell death inhibitors
Assair, Rei; Sodeoka, Mikiko; Katoh, Miho
Sagami Chemical Research Center, Japan
PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
1
1
PATENT INFORMATION:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA'	TENT	NO.			KIN	D	DATE									ATE		
						-												
WO 2001074807					A1 20011011			1011	WO 2001-JP2584						20010328			
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CR.	cu.	CZ.	DE.	DK.	DM.	DZ,	EE.	ES.	FI.	GB,	GD,	GE.	GH,	GM,	HR,	
								KE,										
								MN,										
								TJ,										
								KG,										
	RW:	GH,												AT,	BE.	CH,	CY,	
								GR,										
								GN.										
AU	200	10445															328	
		5646																
		AT,																
	• • • •							MK,						•				
US	200	30879										2398	21		2	0020	926	
RIORIT																0000		
																-		
									1	WO 2	001-	JP25	84	1	W 2	0010	328	

MARPAT 135:303771 OTHER SOURCE(S):

Indolylpyrrole derivs. represented by the following general formula [1; R1, R2 = H, (un)substituted alkyl, alkenyl, alkynyl, aryl, acyl, acyloxy, alkoxycarbonyl, aryloxycarbonyl, atylthiocarbonyl, arylthiocarbonyl, aminocarbonyl, aminocarbonyloxy, alkylsulfonyl, arylsulfonyl, alkoxy, aryloxy, or amino, hydroxy; R3 = groups listed in R1 and R2, (un)substituted alkylthiocarbonyloxy, arylthiocarbonyloxy, alkylthio, or

ANSWIR 44 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) arylthio, alkyleulfinyl, arylaulfinyl, CO2H, oxysulfonyl, cyano, NO2, halo; R4 = groups listed in R3, excluding oxysulfonyl; or R1 and R3, R1 and R4, R2 and R4, R3 and R4, R2 and R3, or two R3s or R4s together form an (unjsubstituted hydrocarbon chain optionally contg. heteroatoms; some provisos given] are prepd. These compds. I are useful in inhibiting cell death and expected as preventives and remedies for the progress of

various diseases wherein cell death relates to the progress and worsening

diseases wherein cell death relates to the progress and waterman, thereof.

Above diseases include (1) neurodegenerative diseases such as Alzheimer's disease, spinal muscular atrophy (SNA), amyotrophic lateral sclerosis (ALS), Parkinson's disease, Runtington's disease, pigmentary degeneration of the retina, glaucoma, cerebellar degeneration, (2) neonatal kennicterus, (3) cerebral ischemia and delayed neuronal death (DND) after cerebral ischemia, etc. Also claimed are cell death inhibitors, drugs, and preservatives for cells, tissues and organs which contain, as the active ingredient, these derivs. I, or pharmaceutically acceptable salts thereof. Thus, 3-(1H-indol-3-y1)-1-methyl-2,5-dioxopyrrolidine was reduced by dissobutylaluminum hydrice in THF at room temp. for 2 h to give

60.2% 3-(1H-indol-3-yl)-1-methylpyrrole (II). II in vitro inhibited the sodium nitroprusside-stimulated apoptosis of porcine ovarian granulosa cells (POGC) and exhibited >9% cell survival rate.

125313-97-59 327602-10-69 3653-43-79
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolylpyrrole derivs. as cell death inhibitors for treatment and prevention of progress of various diseases related to cell death)
125313-97-5 CAPLUS
1H-Pyrrole-2, 5-dione, 3-(1-methyl-1H-indol-3-yl)-4-phenyl- (9CI) (CA INDEX NAME)

IT

327602-10-8 CAPLUS
1H-Pyrrole-2,5-dione, 1-methyl-3-(1-methyl-1H-indol-3-yl)-4-phenyl- (9CI)
(CA INDEX NAME)

L6 ANSWER 45 OF 57
ACCESSION NUMBER:
DOCUMENT NUMBER:
136:85738
AUTHOR(3):
SOURCE:
SOURCE:
PUBLISHER:
DOCUMENT TYPE:
LANGUAGE:
DOCUMENT TYPE:
DOCUMENT SOURCE(S):
GI
CAPPRATE AS CAPPLUS
COPTAGE ACT AS COMMENT TO THE AS COMMENT TO THE ASSETT TO THE

PUBLISHER: DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

New naphthocarbazoles I (R = H, SO2Ph, Boc) were built from protected 3-(3-indoly1)-4-bromo-N-methylmaleimides II (R = SO2Ph, Boc) in four

s using palladium-catalyzed cross-coupling reactions such as the Suzuki reaction of 3-methoxy-2-boronic acid and intramol. Heck cyclization. 386235-54-79 86233-55-99 386235-57-09 386235-59-19 386235-59-39 386235-60-59 386235-60-59

386235-61-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (synthesis of naphthopyrrolo[3,4-c]carbazoles)
386235-54-7 CAPLUS
HH-Pyrrole-2,5-dione, 3-(lH-indol-3-yl)-4-(3-methoxy-2-naphthalenyl)-1-methyl- (SCI) (CA INDEX NAME)

L6 ANSWER 44 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

365543-43-7 CAPLUS 2,5-Pyrrolidinedione, 1-methyl-3-(1-methyl-1H-indol-3-yl)-4-phenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: THIS

THERE ARE 20 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

(Continued)

FORMAT

ANSWER 45 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

386235-55-8 CAPLUS
1H-Indole,
5-dihydro-4-(3-methoxy-2-naphthalenyl)-1-methyl-2,5-dioxo1H-pyrrol-3-yl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

386235-57-0 CAPLUS
1H-Pyrrole-2,5-dione, 3-(3-hydroxy-2-naphthalenyl)-4-(1H-indol-3-yl)-1-methyl- (9CI) (CA INDEX NAME)

RN 386235-58-1 CAPLUS CN 1H-Indole, 3-[2,5-dihydro-4-(3-hydroxy-2-naphthaleny1)-1-methy1-2,5-dioxo-1H-pyrrol-3-y1]-1-(phenylsulfony1)- (9CI) (CA INDEX NAME)

ANSWER 45 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

386235-59-2 CAPLUS
Methanesulfonic acid, trifluoro-, 3-{2,5-dihydro-4-(1H-indol-3-yl)-1-methyl-2,5-dioxo-1H-pyrrol-3-yl]-2-naphthalenyl ester (9CI) (CA INDEX NAME)

386235-60-5 CAPLUS Methanesulfonic acid, trifluoro-, 3-[2,5-dihydro-1-methyl-2,5-dioxo-4-[1-(phenylsulfonyl)-1H-indol-3-yl]-1H-pyrrol-3-yl]-2-naphthalenyl ester

(9CI) (CA INDEX NAME)

RN 386235-61-6 CAPLUS

L6 ANSWER 46 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:490373 CAPLUS
DOCUMENT NUMBER: 135:298585
TITLE: Inhibition of GSK-3 selectively reduces
glucose-6-phosphatase and phosphoenolpyruvate
carboxykinase gene expression
Lochhead, Pamela A.; Coghlan, Matthew; Rice, Simon Q.
J.; Sutherland, Calum
Division of Cell Signalling, School of Life Sciences,
University of Dundee, Dundee, DDI 5EH, UK
Diabetes (2001), 50(5), 937-946
CODEN: DIABAZ; ISSN: 0012-1797
PUBLISHER: American Diabetes Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A major action of insulin is to regulate the transcription rate of
specific genes. The expression of two hepatic genes,
glucose-6-phosphatase and PEPCK, is normally inhibited by insulin, but in
type 2 diabetes, their expression is insensitive to insulin. Amegent
that mimics the effect of insulin on the expression of these genes would
reduce gluconequenesis and hepatic glucose output, even in the presence
of
insulin resistance. The repressive actions of insulin on these genes are

insulin resistance. The repressive actions of insulin on these genes are dependent on phosphatidylinositol (PI) 3-kinase. However, the mols. that lie between this lipid kinase and the two gene promoters are unknown. Glycogen synthase kinase-3 (GSK-3) is inhibited following activation of

3-kinase and protein kinase B. In hepatoma cells, the authors find that selectively reducing GSK-3 activity strongly reduces the expression of both gluconeogenic genes. The effect is at the level of transcription

and
is observed with induced or basal gene expression. In addition, GSK-3
inhibition does not result in the subsequent activation of protein kinase
B or inhibition of the transcription factor FKHR, which are candidate
regulatory mols. for these promoters. Thus, GSK-3 activity is required
for basal activity of each promoter. Inhibitors of GSK-3 should
therefore
reduce hepatic glucose output, as well as increase the synthesis of
glycogen from L-glucose. These findings indicate that GSK-3 inhibitors
may have greater therapeutic potential for lowering blood glucose levels
and treating type 2 diabetes than previously realized.
IT 20074-09-4, SB-216763
RE: BAC (Biological activity or effector, except adverse); BSU
(Biological

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (inhibition of CSK-3 (glycopen synthase kinase-3) selectively reduces glucose phosphatase and phosphoenolpyruvate carboxykinase gene expression in relation to insulin resistance and treating type 2 diabetes)
RN 280744-09-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

ANSWER 45 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 1H-Indole-1-carboxylic acid, 3-[2,5-dihydro-1-methyl-2,5-dioxo-4-[3-[(tc:filtoromethyl)] aulfonyl)oxyl)-2-naphthalenyl]-1H-pyrrol-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 46 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

FORMAT

THERE ARE 68 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 47 OF 57
ACCESSION NUMBER:
DOCUMENT NUMBER:
135:122654
Phenyliodine(III) bis(trifluoroacetate)-mediated oxidation of bisindolylmaleimides to indolo(2,3-a]carbazoles
AUTHOR(S):
CORPORATE SOURCE:
FAUL, M. M.; Sullivan, K. A.
Chemical Process Research and Development Division, Lilly Research Laboratories, A Division of Eli Lilly and Company, Indianapolis, IN, 4625, USA
Tetrahedron Letters (2001), 42(19), 3271-3273
COODE: TELEAY; ISSN: 0040-4039
Elsevier Science Ltd.
JOURNER SOURCE(S):
GI

A novel protocol for the oxidation of bisindolylmaleimides to the corresponding indolo[2,3-a]carbazoles (I) in 15-56% yield with phenyliodine(III) bis(trifluoroacetate) (PIFA) is reported. 125313-42-0 125313-98-6 AB

IT

123313-42-0 125313-98-6
RE: RCT (Reactant): RRCT (Reactant or reagent)
 (failed PITA-mediated oxidation)
125313-42-0 CAPLUS
1H-Pyrrole-2,5-dione, 3-{1-methyl-1H-indol-3-yl}-4-{1-naphthalenyl}-

(9CI) (CA INDEX NAME)

125313-98-6 CAPLUS 1H-Fyrrole-2,5-dione, 3-(4-methoxyphenyl)-4-(1-methyl-1H-indol-3-yl)-(SCI) (CA INDEX NAME)

L6 ANSWER 48 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2001:266655 CAPLUS DOCUMENT NUMBER: 135:87082 Selective 2001:266655

135:87082 Selective small-molecule inhibitors of glycogen synthase kinase-3 activity protect primary neurons from death

AUTHOR (S):

from death
Cross, Darren A. E.; Culbert, Ainsley A.; Chalmers,
Katy A.; Facci, Laura; Skaper, Stephen D.; Reith,
Alastair D.
Neurology Centre of Excellence in Drug Discovery,
GlaxoSmithKline Pharmaceuticals, Essex, CM19 5AW, UK
Journal of Neurochemistry (2001), 77(1), 94-102
CODEN: JONRA9; ISSN: 0022-3042
Blackwell Science Ltd.
Journal

CORPORATE SOURCE:

SOURCE:

CODEN: JONRA9; ISSN: 0022-3042

PUBLISHER: Blackwell Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: Brish B

USES

(Uses)

(selective small-mol. inhibitors of glycogen synthase kinase-3 activity

Protect primary neurons from death)
280744-09-4 CAPLUS
1H-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)(9CI) (CA INDEX NAME)

L6 ANSWER 47 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: THIS

THERE ARE 23 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 48 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

REFERENCE COUNT: THIS

THERE ARE 46 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 49 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2001:152487 CAPLUS DOCUMENT NUMBER: 134:193340

DOCUMENT NUMBER

134:193340
Preparation of (3-indolyl)maleimide and -succinimide derivatives as apoptosis inhibitors and drugs containing them for inhibiting apoptosis Asskai, Rei: Sodeoka, Mixiko: Fujita, Mixako; Katoh, Mixo
Sagami Chemical Research Center, Japan
PCT Int. Appl., 43 pp.
CODEN: PIXXD2
Patent
Japanese
1 TITLE:

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE DATE WO 2001013916 AI 20010301 WO 2000-JF5456 20000817

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CM,
CR, CU, CZ, DE, DX, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, BR,
HU, ID, IL, IN, IS, JF, KE, KG, KF, KR, KZ, LC, IK, LK, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VM,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RN: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GM, GW, ML, MR, NE, SN, TD, TG
AU 2000065936 A5 20010319 AU 2000-659365 20000817
R: AT, BE, CH, DZ, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
TIE, SI, LT, LV, FI, RO, MK, CY, AL
PRIORITY APPLN. INFO::

WO 2000-JP5496 W 20000817

OTHER SOURCE(S):

MARPAT 134:193340

Described are apoptosis (cell death) inhibitors, drugs, and preservatives for cells, tissues and organs which contain as the active ingredient indolylmaleimide derives. represented by general formula [I: Rl = (un)substituted alkyl, alkenyl, or aryl, HO, (un)substituted alkoxy,

ANSWER 49 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT 327602-10-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of (3-indolyl)maleimide and -succinimide derivs. as apoptomia

inhibitors for preventives and remedies for various diseases)
327602-10-8 CAPLUS
1H-Pyrcole-2,5-dione, 1-methyl-3-(1-methyl-1H-indol-3-yl)-4-phenyl- (9CI)
(CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 14 CITED REFERENCES AVAILABLE FOR

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 49 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) or NH2, H; R2 = H, (un)substituted alkyl, alkenyl, alkynyl, aryl, acyl, alkoxycarbonyl, aryloxycarbonyl, alkylthiocarbonyl, arylsticerbonyl, connection, arylthiocarbonyl, connection, arylthiocarbonyl, arylsticerbonyl, arylsticerbonyl, arylsticerbonyl, arylsticerbonyl, arylsticerbonyl, arylsticerbonyl, arylsticerbonyl, alkylthiocarbonyl, arylsticerbonyl, alkylsticerbonyl, arylsticerbonyl, arylsticerbon

hed line is a single or double bond) or pharmaceutically acceptable salts thereof. These compds. I are expected as useful as preventives and remedies for various diseases, in the worsening of the symptoms of which cell death participates, such as Alzheimer's disease, spinal muscular atrophy (SMA), amyotrophic lateral sclerosis (ALS), Parkinson's disease, Huntington's disease, pigmentary degeneration of the retina, glaucoma, cerebellar degeneration, and nerve degenerative diseases. They are also useful for the treatment or prevention of worsening the symptoms of diseases such as cerebral ischemia, delayed neuronal death (DND), emic

muc heart disease, viral myocarditis, autoimmune myocarditis, heart hypertrophy, heart failure, arrhythmia-originated right-ventricular cardiomyopathy, alc. or viral hepatitis, AIDS, inflammatory skin

cardiomyopathy, elc. of viral nepatities, also, all diseases, hair loss, host-vs.-graft reaction, radiation or chemotherapy disorders, septicemia, bone marrow maiformation, insulin-dependent diabetes, or failure of tissue or cells during organ, tissue, or cell transplant. Thus, 2-chloro-3-(IH-indol-3-yi)-N-methylmaleimide was dissolved in DMF and treated with K2CO3 and MeI under stirring at room temp. for 2 h to give 81% 2-chloro-3-(In-indol-3-yi)-N-methylmaleimide (II). A soln. of tetradecanol in TMF was stirred with NaM, followed by adding dropwise a soln. of II in TMF, and the resulting mixt. was stirred at room

temp. for 2 h to give 2-tetradecyloxy-3-(1-methyl-1H-indol-3-yl)-N-methylmaleimide (III). III showed IC50 of 0.3 µM for inhibiting the sodium nitroprusside (SNP)-induced apoptosis of porcine ovarian granulosa cells.

IT 125313-97-5P
Rh: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified): Pom (N-1)

ogical study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of (3-indolyl)maleimide and -succinimide derivs. as

cosis inhibitors for preventives and remedies for various diseases) 125313-97-5 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-phenyl- (9CI) (CA INDEX NAME)

ANSWER 50 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN SSION NUMBER: 2000:801145 CAPLUS MENT NUMBER: 134:112113

ACCESSION NUMBER: DOCUMENT NUMBER:

AUTHOR (S):

SOURCE:

PUBLISHER

DOCUMENT TYPE:

CORPORATE SOURCE:

SISSION NUMBER: 2000:801145 CAPLIUS

MENT NUMBER: 134:112113

E: Selective small molecule inhibitors of glycogen synthase kinase-3 modulate glycogen metabolism and gene transcription

Coghlan, Matthew P.: Culbert, Ainsley A.: Cross, Darren A. E.: Corcoran, Stacey L.: Yates, John W.; Pearce, Nigel J.; Rausch, Oliver L.: Murphy, Gregory J.: Carter, Paul S.; Cox, Lynne Roxbee; Mills, David; Brown, Murray J.; Haigh, David; Ward, Robert W.; Smith, David G.; Murray, Kenneth J.: Reith, Alastair D.; Helder, Julie C.

PORATE SOURCE: Department of Vascular Biology, SmithKline Beecham Pharmaceuticals, Essex, CM19 5AD, UK

CRE: Chemistry & Biology (2000), 7(10), 793-803

CODEN: CBDL2; ISSN: 1074-5521

SISHER: Elsevier Science Ltd.

JOURNAI TYPE: Journal

Background: Glycogen synthase kinase-3 (GSK-3) is a serine/threonine protein kinase, the activity of which is inhibited by a variety of extracellular stimuli including insulin, growth factors, cell specification factors and cell adhesion. Consequently, inhibition of CSK-3 activity has been proposed to play a role in the regulation of numerous signaling pathways that elicit pleiotropic cellular responses. This report describes the identification and characterization of potent and selective small mol. inhibitors of GSK-3. Results: SB-216763 and SB-415265 are structurally distinct meleimdes that inhibit GSK-3a in vitro, with Kis of 9 nM and 31 nM resp., in an ATP competitive manner. These compound significantly inhibited any member of a panel of 24 in protein kinases. Furthermore, treatment of cells with either compound

protein kinases. Furthermore, treatment of cells with either compoun-stimulated responses characteristic of extracellular stimuli that are known to inhibit GSK-3 activity. Thus, SB-216763 and SB-415286 ulated

alateo djycogen synthesis in human liver cells and induced expression of a β-catenin-LEF/TCF regulated reporter gene in HEK293 cells. In both cases, compound treatment was demonstrated to inhibit cellular GSK-activity as assessed by activation of glycogen synthase, which is a

direct target of this kinase. Conclusions: SB-216763 and SB-415286 are novel, potent and selective cell permeable inhibitors of GSK-3. Therefore, these

compds. represent valuable pharmacol. tools with which the role of GSK-3 in cellular signaling can be further elucidated. Furthermore, .onment

development
of similar compds. may be of use therapeutically in disease states

associated
with elevated GSK-3 activity such as non-insulin dependent diabetes mellitus and neurodegenerative disease.

IT 200744-09-4, SB 216763
RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological activity or effector)

logical
study, unclassified); BIOL (Biological study)
(selective small mol. maleimide inhibitors of glycogen synthase
kinase-3 modulate glycogen metabolism and gene transcription)
280744-09-4 CAPLUS
1H-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)(SCI) (CA INDEX NAME)

REFERENCE COUNT: THERE ARE 48 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

(Continued)

ANSWER 51 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

125314-07-0 CAPLUS 1H-Pyrrole-2,5-dione, 3-(2-chlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(CA INDEX NAME)

280744-09-4 CAPLUS 1H-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

280744-09-4 CAPLUS 1H-Pyrrole-2,5-dione, 3-(2,4-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

L6 ANSWER 51 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2000:456876 CAPLUS COPYRIGHT 2016 ACS ON STN 133:84297
TITLE: Maleimide and Carbasola design and Carbasola 133:84297
Maleimide and carbazole derivatives for the treatment of conditions with a need for the inhibition of glycogen synthase kinase-3 (GSK-3)
Coghlan, Matthew Paul; Holder, Julie Caroline; Reith, Alestair David; Smith, David Glynn
Smithkine Beecham PLC, UK
PCT Int. Appl., 28 pp.
CODEN: PIXXD2
Patent

INVENTOR (S):

PATENT ASSIGNEE (S):

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

		NO.			KIN	D -	DATE			APPL	ICAT	ION	NO.			ATE	
		0386			A1		2000	0706	,	WO 1	999-	GB43	74		1	9991	222
	w:	AE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
		CZ.	DE.	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GH,	HR,	HU,	ID,	IL,
		IN.	IS.	JP.	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT.	LU,	LV,	MA,
		MD.	MG.	MK.	MN,	MW.	MX,	NO,	NZ.	PL,	PT.	RO,	RU,	SD,	SE,	SG,	SI,
							TT.										
		AZ.	BY,	KG,	KZ,	MD,	RU,	TJ,	TM								
	RW:	GH.	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,
		DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,
		CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG				
ΕP	1140	070			Al		2001	1010		EP 1	999~	9624	19		1	9991	222
	R:	AT.	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE.	SI.	LT.	LV,	FI.	RO										
IT	APP	LN.	INFO	. :						GB 1	998-	2864	0	1	A 1	9981	223

OTHER SOURCE(S): MARPAT 133:84297
AB A method of treatment and/or prophylaxis of conditions associated with a need

for the inhibition of GSK-3 comprises the administration of certain maleimide or carbarole compds., or pharmaceutically acceptable derivs. thereof. Also provided is the use of such compds. in the manufacture of

WO 1999-GB4374

w 19991222

medicament for the treatment of conditions associated with the need for GSK-3

GSK-3
inhibition.
IT 125314-07-0 125314-07-0D, derivs. 280744-09-4
280744-09-4D, derivs. 280744-10-7 280744-10-7D
, derivs. 280744-11-8 280744-11-8D, derivs.
R1: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);
USES

USES

(Uses)

(maleimide and carbazole derivs. for treatment of conditions with need for inhibition of glycogen synthase kinase-3)

RN 125314-07-0 CAPLUS

CN 1H-Pyrrole-2,5-dione, 3-(2-chlorophenyl)-4-(1-methyl-1H-indol-3-yl)
(9C1)

ANSWER 51 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 280744-10-7 CAPLUS CN 1H-Fyrrole-2,5-dione, 3-(2-chlorophenyl)-4-(1-(3-hydroxypropyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN 280744-10-7 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(2-chlorophenyl)-4-(1-(3-hydroxypropyl)-1H-indol-3-yl)- (SCI) (CA INDEX NAME)

280744-11-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-[1-(3-aminopropyl)-1H-indol-3-yl]-4-(2-chlorophenyl)- (9C1) (CA INDEX NAME)

ANSWER 51 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

280744-11-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-{1-(3-aminopropyl)-1H-indol-3-yl}-4-(2-chlorophenyl)- (9CI) (CA INDEX NAME)

H2N- (CH2) 3

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT:

FORMAT

ANSWER 52 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 125313-97-5 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-phenyl- (9CI) (CA INDEX NAME)

125313-98-6 CAPLUS 1H-Pyrrole-2,5-dione, 3-(4-methoxyphenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

150114-23-1 CAPLUS 1H-Pyrrole-2,5-dione, 3-cyclohexyl-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

221233-35-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-(4-bromophenyl)-4-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 52 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 1999:83376 CAPLUS COPURENT NUMBER: 130:237430

DOCUMENT NUMBER: TITLE: A new one step synthesis of maleimides by

condensation

Orgivariation

of glyoxylate esters with acetamides

AUTHOR(S):

Faul, Margaret M.; Winneroski, Leonard L.; Krumrich,
Christine A.

CORPORATE SOURCE:

Chemical Process Research and Development Division,
Lilly Research Laboratories, A Division of Eli Lilly
and Company, Indianapolis, IN, 46285-4813, USA

SOURCE:

Tetrahedron Letters (1999), 40(6), 1109-1112
CODEN: TELEATY, ISSN: 0040-4039

PUBLISHER:
DOCUMENT TYPE:
Journal
LANGUAGE:
English
OTHER SOURCE(S):
CASREACT 130:237430

B Di-Ph, bisheteroaryl, (indolyl)aryl and indolyl (cycloalkyl) maleimides

are

prepared in one step and 67-99% yield by condensation of glyoxylate esters

with acetamides using a 1.0 M solution of potassium tert-butoxide in THF. The mechanism of the reaction is discussed.

IT 125313-42-0P 125313-57-7P 125313-97-5P 125313-98-6P 125313-98-6P 12014-23-1P 221233-55-6P 221233-43-9P 221233-51-6P 221233-73-4P RL: SPN (Synthetic preparation): PRPP (Preparation) preparation of maleimides by condensation of glyoxylate esters with acetamides)

RN 125313-42-0 CAPLUS

CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-(1-naphthalenyl)-(9CI)

(CA INDEX NAME)

125313-57-7 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-phenyl- (9CI) (CA INDEX NAME)

ANSWER 52 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

221233-43-8 CAPLUS
1H-Pyrole-2,5-dione, 3-(1H-indol-3-yl)-4-(4-methoxyphenyl)- (9CI) (CA
INDEX NAME)

221233-51-8 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(1-naphthalenyl)- (9CI) (CA
INDEX NAME)

221233-73-4 CAPLUS
1H-Pyrrole-2,5-dione, 3-(4-bromophenyl)-4-(1-methyl-1H-indol-3-yl)- (9CI)
(CA INDEX NAME)

ANSWER 52 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

122:150861
2-Aryl-indolyl maleimides - novel and potent inhibitors of protein kinase C Hendricks, Robert T.; Sherman, D.; Strulovici, Berta; Broka, Chris A. Inst. Org. Chem., Syntex Discovery Res., Palo Alto, CA, 94304, USA Bioorganic 4 Medicinal Chemistry Letters (1995), DOCUMENT NUMBER: TITLE: AUTHOR (S): CORPORATE SOURCE: SOURCE: 5(1), 67-72 67-72 CODEN: BMCLE8; ISSN: 0960-894X Elsevier Journal PUBLISHER: remaining attached to that moiety. The resulting compds. are among the most potent known inhibitors of PKC and also show good selectivity for PKC
in relation to other kinases. The lead compound in this series possesses antitumor activity in several in vitro and in vivo models.

If 161404-52-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (preparation of arylindolyl maleimides as novel and potent inhibitors of of protein kinase C in relation to antitumor activity)
RN 161404-52-0 CAPLUS
CN Carbamimidothioic acid,
3-[3-[2,5-dihydro-4-(1-naphthalenyl)-2,5-dioxo-1H-pytro-13-yl]-1H-indol-1-yl]propyl ester, monohydrobromide (9CI) (CA INDEX

L6 ANSWER 53 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 1995:338467 CAPLUS DOCUMENT NUMBER: 122:150861

• HBr

L6 ANSWER 53 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) L6 ANSWER 54 OF 57 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 1994:244431 CAPLUS DOCUMENT NUMBER: 120:244431

Oxidative cyclizations with palladium acetate. A TITLE:

AUTHOR (5):

synthesis of staurosporine aglycon
Harris, William; Hill, Christopher H.; Keech,
Elizabeth; Malsher, Patrick
Res. Cent., Roche Prod. Ltd., Welwyn Garden
City/Herts., ALJ 3AY, UK
Tetrahedron Letters (1993), 34(51), 8361-4
CODEN: TELEAY; ISSN: 0040-4039
Journal
Fondiah CORPORATE SOURCE:

SOURCE:

DOCUMENT TYPE:

English CASREACT 120:244431 OTHER SOURCE(S):

A palladium acetate mediated oxidative cyclization of arcyriarubin A I

been used as the key step for the syntheses of staurosporine aglycon II and related analogs. 125313-57

IT

125313-57-7
RE: RCT (Reactant): RACT (Reactant or reagent)
[intramol. palladium acetate oxidative cyclocondensation of)
125313-57-7 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-phenyl- (9CI) (CA INDEX NAME)

L6 ANSWER 55 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:560093 CAPLUS
DOCUMENT NUMBER: 119:160093
Freparation of substituted maleimides
Hill, Christopher Huw
Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: EVEX.
DOCUMENT TYPE: Pat. Appl., 14 pp.
CODE: EPXXDW
DATE OF THE PAT OF THE PA

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.						KIND DATE			APPLICATION NO.						DATE			
							-										- :	9921	~~~
		54095				A1		1993			EP	199	2-1	1181	64		+	9941	023
	ΕP	54095				B1		1997											
		R:	AT,	BE,	CH,	DΕ,	DK,	ES,	FR,	GB,	GF	ì, I	Ε,	IT,	LI,	LU,	MC,	NL,	PT,
SE																			
	AT	15766	54			Ε		1997	0915	,	RΤ	199	2-1	1181	64		1	9921	023
	ES	21074	187			T3		1997	1201		ES	199	2-1	1181	64		1	9921	023
	ZA	92083	340			A		1993	0504		ZΑ	199	2-8	3340			1	9921	028
	AU	92274	119			Al		1993	0506	,	ΑU	199	2-2	2741	9		1	9921	029
	AU	6580	17			B2		1995	0330										
	CA	20818	305			AA		1993	0505		CA	199	2-2	2081	805		1	9921	030
	CA	20818	05			c		1999	1214										
	JP	05221	977			A2		1993	0831		JP	199	2-3	179	07		1	9921	102
	JP	27992	271			B2		1998	0917										
	CN	10724	109			A		1993	0526		CN	199	2-1	127	04		1	9921	103
	CN	10413	308			В		1998	1223										
		53997				Ā		1995		ŧ	JS	199	2-9	713	70		1	9921	104
PRIC		APPI		INFO	. •									2339			A Ī	9911	104

OTHER SOURCE(S): CASREACT 119:160093; MARPAT 119:160093

Title compds. [I: R1 = alkyl, (hetero)aryl: R2 = H, alkyl,

AB Title compds. [I; Rl = alkyl, (hetero)aryl, na = .., \_\_\_\_\_ alkoxycarbonyl, (hetero)aryl] were prepared by cyclocondensation of RICOCOX (X = leaning group) with R2CH2C(:NN)YR3 (R3 = alkyl, aryl, trialkylsilyl; Y = 0, S) followed by hydrolyais and dehydration steps in a 1-pot process. Thus, RICOCOCI (R1 = 1,2-dimethyl-3-indolyl) was stirred 18 h with RZCHZC(:NN)OCHMe2 (R2 = 1-methyl-3-indolyl) (preparation given) in CH2Cl2

Containing
ET3N and 4Å mol. sieves after which 4-MeC6H4SO3H was added and
stirring continued lh to give 70% I (Rl and R2 herein given).

IT 125313-97-59 137467-10-99 150114-23-19
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, method for)
RN 125313-97-5 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-phenyl- (9CI) (CA

L6 ANSWER 56 OF 57
ACCESSION NUMBER: 1992:41230 CAPLUS
DOCUMENT NUMBER: 116:41230
Inhibitors of protein kinase C. 1.
2,3-bisarylmaleimides
AUTHOR(S): Davis, Peter D.; Hill, Christopher H.; Lawton,
Geoffrey, Nixon, John S.; Wilkinson, Sandra E.;

Steven A.; Keech, Elizabeth; Turner, Susan E. Roche Prod. Ltd., Welwyn Garden City/Herts., AL7 3AY, UK Journal of Medicinal Chemistry (1992), 35(1), 177-84 CODEN: JMCMAR; ISSN: 0022-2623 Journal English CORPORATE SOURCE:

SOURCE:

DOCUMENT TYPE: LANGUAGE:

IT

AB A series of novel inhibitors, i.e., maleimides I (R = H, Me; Rl = (un)substituted indolyl, (un)substituted Ph, naphthyl, benzo[b]thien-3-yl, 3-pyrrolyl) of protein kinase C (PKC) is described. These maleimides were derived from the structural lead provided by the indolocarbazoles, staurosporine and K252a. Optimum activity required the imide NH, both carbonyl groups, and the olefinic bond of the maleimide ring. Bisindolylmaleimides were the most active and the potency of these was improved by a chloro substituent at the 5-position of one indole ring (ICSO 0.11 µM). In a series of (phenylindolyl)maleimides, nitro derivative

I (R = Me, Rl = 2-02NC6H5) was most active (ICSO 0.67 µM). Naphthelene compound I (R = Me, Rl = 1-naphthyl) and benzothiphene compound I (R = Me, Rl = 1-naphthyl)

R2 = benzo[b]thien-3-yl) showed greater than 100-fold selectivity for inhibition of PKC over the closely related cAMP-dependent protein kinase. 123313-42-09 125313-97-59 125313-98-69 125313-97-125313-98-69 125313-97-125313-98-69 125314-02-97 125314-03-69 125314-01-4P 125314-03-69 125314-03-69 125314-03-69 125314-03-69 125314-08-99 125314-08-99 125314-08-99 125314-08-19 125314-13-09-125314-13-

137467-17-59
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and protein kinase C inhibiting activity of)
125313-42-0 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-(1-naphthalenyl)-

(CA INDEX NAME)

ANSWER 55 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN INDEX NAME) (Continued)

137467-10-8 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-(2-naphthalenyl)-(CA INDEX NAME)

150114-23-1 CAPLUS 1H-Pyrrole-2,5-dione, 3-cyclohexyl-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

ANSWER 56 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

125313-97-5 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-phenyl- (9CI) (CA INDEX NAME)

125313-98-6 CAPLUS 1H-Pyrrole-7,5-dione, 3-(4-methoxyphenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

125313-99-7 CAPLUS 1H-Pyrrole-2,5-dione, 3-(4-chlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(CA INDEX NAME)

RN 125314-00-3 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[4-(methylthio)phenyl]-(9CI) (CA INDEX NAME)

RN 125314-01-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-(2-nitrophenyl)- (9CI)
(CA INDEX NAME)

RN 125314-02-5 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(4-aminophenyl)-4-(1-methyl-1H-indol-3-yl)- (9CI)
(CA INDEX NAME)

L6 ANSWER 56 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued

RN 125314-06-9 CAPLUS 1H-Pyrcle-2,5-dione, 3-(2,5-dimethylphenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

RN 125314-07-0 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(2-chlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

RN 125314-08-1 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 56 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 125314-03-6 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-(3-nitrophenyl)- (9CI)
(CA INDEX NAME)

RN 125314-04-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(3-chlorophenyl)-4-(1-methyl-1H-indol-3-yl)(9CI)
(CA INDEX NAME)

RN 125314-05-8 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(3-bromophenyl)-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 56 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 125314-09-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[3-(trifluoromethyl)phenyl)- {9CI) (CA INDEX NAME}

RN 125314-13-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(3-aminophenyl)-4-(1-methyl-1H-indol-3-yl)- (9CI)
(CA INDEX NAME)

RN 125314-16-1 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-{4-(methylsulfonyl)phenyl}- (9CI) (CA INDEX NAME)

RN 125314-20-7 CAPLUS
CN 1H-Pycrole-2,5-dione, 3-{2,3-dimethylphenyl}-4-{1-methyl-1H-indol-3-yl}(9CI) (CA INDEX NAME)

Me NH

RN 125314-21-8 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(3,5-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

NH NH

RN 125314-22-9 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-{1-methyl-1H-indol-3-yl)-4-(2,3,6-trichlorophenyl)-(9C1) (CA INDEX NAME)

L6 ANSWER 56 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) (CA INDEX NAME)

No.

RN 137467-15-3 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-(3-methylphenyl)-(9CI) (CA INDEX NAME)

Me NH

RN 137467-16-4 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(3-methoxyphenyl)-4-(1-methyl-1H-indol-3-yl)(9CI) (CA INDEX NAME)

Me NH

RN 137467-17-5 CAPLUS
CN H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-(3-phenoxyphenyl)-(9CI) (CA INDEX NAME)

L6 ANSWER 56 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

NH C1 C1

RN 125334-48-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-(2-methylphenyl)(9CI)
(CA INDEX NAME)

Me NH

RN 125334-49-8 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(2,6-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

Me NH C1

RN 137467-10-8 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-(2-naphthalenyl)-(9CI)

L6 ANSWER 56 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Me NH

L6 ANSWER 57 OF 57
ACCESSION NUMBER:
1990:98378 CAPLUS
112:98378
112:98378
112:98378
112:98378
112:98378
112:98378
112:98378
Preparation of 3-(3-indolyl)pyrrole-2,5-diones and analogs as protein kinase inhibitors
Davis, Peter David; H11, Christopher Huw; Lawton,
Geoffrey
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
1990:98378
CAPLUS
COPPRIGHT ACS ON STAN

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	T NO.			KIND			PLICATION NO.		DATE
	8026			A1		EP	1989-102025		19890206
EP 32				В1	19930428				
		BE,	CH,				r, LI, LU, NL,	, SE	
ZA 89				A	19891025		1989-865		19890203
CZ 28				B6	19960417	CZ	1989-752		19890203
	8989			В6	19980506		1989-752		19890203
	29658			Al	19890810	AU	1989-29658		19890206
	3630			B2	19920521				
HU 49	348			A2	19890928	HU	1989-554		19890206
	1054				19900928				
ŲS 50	57614			A	19911015	US	1989-307104		19890206
88 TA	704			E	19930515	AT	1989-102025		19890206
CA 13	20194			A1	19930713	CA	1989-590178		19890206
ES 20	54890			T3 A	19940816	ES	1989-102025		19890206
DK 89	00558			A	19890811	DK	1989-558		19890207
DK 17	1891			B1 A2 B4	19970804				
JP 01	233281			A2	19890919	JP	1989-27741		19890208
JP 07	030071			B4	19950405				
NO 89	00568			А	19890811	NO	1989-568		19890209
NO 17	2540			В	19930426				
NO 17	2540			С	19930804				
SU 17	99382				19930228	su	1989-4613492		19890209
FI 89	00652			А	19890811	FI	1989-652		19890210
FI 96	861			В	19960531				
FI 96	861			С	19960910				
US 36	736			E	20000613	US	1998-14198		19980127
PRIORITY A	PPLN. 1	NFO.	:			GB	1988-3048	A	19880210
						GB	1988-27565	A	19881125
							1000 102025		10000006
						EP	1989-102025	A	19890206
						us	1989-307104	A5	19890206

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ANSWER 57 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

125313-57-7 CAPLUS 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-phenyl- (9CI) (CA INDEX NAME)

125313-59-9 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-4-(4-nitrophenyl)- (9CI) (CA
INDEX NAME)

125313-97-5 CAPLUS
1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-phenyl- (9CI) (CA
INDEX NAME)

ANSWER 57 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN

The title compds. (I; R1, R2 = H, alkyl, aryl, etc.; R3 = aryl, heteroaryl; R4-R7 = H, halo, alkyl, alkoxy, etc.; 1 of X, Y = O and the other = O, S, H and OH, H and H] were prepared Thus, 1-(3-bromopropyl)indole (preparation given) was stirred 2 h with (COCl)2 in 12

(Continued)

and the product stirred 3 h with 1-methyl-3-indolylacetic acid in CH2Cl2 containing (Me2CH)2NEt to give bis(indolyl)furandione II (R = Br, Z = O)

h was converted in 3 steps to II (R = NH2, Z = NH). The latter was stirred 16 h with 1,1'-thiocarbonyldiimidazole in THF to give II (R = NCS, Z =

IT

which had IC50 of 0.008 µM for inhibition of protein kinase C in vitro.
125313-42-09 125313-43-1P 125313-57-7P
125313-59-09 125313-07-59 125313-98-69
125313-59-79 125314-00-19 125314-01-49
125314-02-59 125314-03-69 125314-01-07
125314-05-89 125314-03-69 125314-07-09
125314-06-19 125314-09-29 125314-07-09
125314-16-19 125314-17-29 125314-12-19
125314-13-19 125314-17-29 125314-12-19
125314-33-29 125314-40-19 125314-12-19
125314-33-79 125314-40-19 125314-41-29
125314-31-79 125314-41-19
125314-42-0 captus
11-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-(1-naphthalenyl)-

(CA INDEX NAME)

CH2C12

125313-43-1 CAPLUS 1M-Pyrrole-2,5-dione, 3-{1,1'-biphenyl}-3-yl-4-(1-methyl-1H-indol-3-yl)-(SCI) (CA INDEX NAME)

ANSWER 57 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

125313-98-6 CAPLUS
1H-Pyrrole-2,5-dione, 3-(4-methoxyphenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME) RN CN

RN CN (9CI) 125313-99-7 CAPLUS 1H-Pyrrole-2,5-dione, 3-(4-chlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(CA INDEX NAME)

RN 125314-00-3 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-y!)-4-[4-(methylthio)phenyl]-(9CI) (CA INDEX NAME)

RN 125314-01-4 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-(2-nitrophenyl)- (9CI) (CA INDEX NAKE)

RN 125314-02-5 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(4-aminophenyl)-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 125314-03-6 CAPLUS
CN IH-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-(3-nitrophenyl)- (9CI)
(CA INDEX NAME)

L6 ANSWER 57 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 125314-07-0 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(2-chlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

RN 125314-08-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[2-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

RN 125314-09-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[3-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 57 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 125314-04-7 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(3-chlorophenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

RN 125314-05-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(3-bromophenyl)-4-(1-methyl-1H-indol-3-yl)- (9CI)
(CA INDEX NAME)

RN 125314-06-9 CAPLUS CN H-Pyrrole-2,5-diome, 3-(2,5-dimethylphenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

L6 ANSWER 57 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 125314-13-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(3-aminophenyl)-4-(1-methyl-1H-indol-3-yl)- (9CI)
(CA INDEX NAME)

RN 125314-16-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[4-(methylsulfonyl)phenyl)- (SCI) (CA INDEX NAME)

RN 125314-17-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[4(methylaulfinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 125314-20-7 CAPLUS CN H-Pyrcle-2,5-dione, 3-(2,3-dimethylphenyl)-4-(1-methyl-1H-indol-3-yl)-(9CI) (CA INDEX NAME)

(Continued)

RN 125314-21-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(3,5-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)(9CI) (CA INDEX NAME)

RN 125314-22-9 CAPLUS CN 1H-Pyrcole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-(2,3,6-trichlorophenyl)-(9CI) (CA INDEX NAME)

L6 ANSWER 57 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 125314-41-2 CAPLUS
CN Carbamimidothioic acid, 3-[3-[2,5-dihydro-4-(2-nitrophenyl)-2,5-dioxo-lH-pyrrol-3-yl)-lH-indol-1-yl]propyl ester (9CI) (CA INDEX NAME)

RN 125334-48-7 CAPLUS CN 1H-Pytrole-2,5-dione, 3-(1-methyl-1H-indol-3-y1)-4-(2-methylphenyl)-(9CI) (CA INDEX NAME)

RN 125334-49-8 CAPLUS
CN 1H-Pyrrole-2,5-diene, 3-(2,6-dichlorophenyl)-4-(1-methyl-1H-indol-3-yl)(9C1) (CA INDEX NAME)

C1 C1

RN 125314-32-1 CAPLUS
CN lH-Pyrrola-2,5-dione, 3-[1-[3-(acetyloxy)propyl]-1H-indol-3-yl]-4-(2-nitrophenyl)- (9Cl) (CA INDEX NAME)

RN 125314-33-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-[1-(3-hydroxypropyl)-1H-indol-3-yl]-4-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 125314-40-1 CAPLUS CN 1H-Pyrrole-2,5-dione, 3-[1-[3-[(methylsulfonyl)oxy|propyl]-1H-indol-3-yl]-4-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 57 OF 57 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 292.19 601.20

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
SINCE FILE TOTAL
ENTRY
SESSION
CA SUBSCRIBER PRICE
-42.75
-42.75

STN INTERNATIONAL LOGOFF AT 11:02:37 ON 27 FEB 2006